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NEWS	1	Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02 CA/Caplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19 BEILSTEIN updated with new compounds
NEWS	4	NOV 15 Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19 WPIX enhanced with XML display format
NEWS	6	NOV 30 ICSD reloaded with enhancements
NEWS	7	DEC 04 LINPADOCDB now available on STN
NEWS	8	DEC 14 BEILSTEIN pricing structure to change
NEWS	9	DEC 17 USPATOLD added to additional database clusters
NEWS	10	DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17 DGENE now includes more than 10 million sequences
NEWS	12	DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17 CA/Caplus enhanced with new custom IPC display formats
NEWS	15	DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02 STN pricing information for 2008 now available
NEWS	17	JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28 USPATFULL, USPATZ2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28 MARPAT searching enhanced
NEWS	20	JAN 28 USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08 STN Express, Version 8.3, now available
NEWS	24	FEB 20 PCI now available as a replacement to DPCI
NEWS	25	FEB 25 IFIREP reloaded with enhancements
NEWS	26	FEB 25 IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29 WPINDEX/WPIX/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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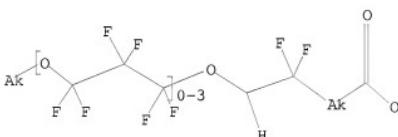
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<https://www.w3c.org/standards/iso/w3c-recommendations.html>

=> Uploading C:\Program Files\Stexp\Queries\10562730-cl-2.str

## 1.1 STRUCTURE UPLOADED

=> d 11  
L1 HAS NO ANSWERS  
L1 STOP



Structure attributes must be viewed using STN Express query preparation.

=> s 11  
SAMPLE SEARCH INITIATED 18:24:33 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 0.000 SEC TO ITERATE

21.5% PROCESSED      2000 ITERATIONS      0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 180594 TO 192166  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full  
FULL SEARCH INITIATED 18:24:38 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 184242 TO ITERATE

100.0% PROCESSED 184242 ITERATIONS 41 ANSWERS  
SEARCH TIME: 00.00.03

L3 41 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
178.36 178.78

FILE 'CAPLUS' ENTERED AT 18:24:45 ON 13 MAR 2008  
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FILE COVERS 1907 - 13 Mar 2008 VOL 148 ISS 11  
FILE LAST UPDATED: 12 Mar 2008 (20080312/ED)

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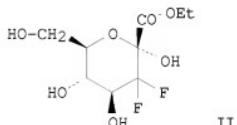
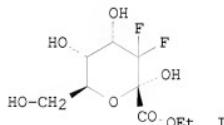
=> s 13  
L4 20 L3

=> s 14 not py > 2004  
4348315 PY > 2004  
L5 17 L4 NOT PY > 2004

=> d 15 ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:616738 CAPLUS  
DOCUMENT NUMBER: 141:277835  
TITLE: Syntheses of ethyl 3-deoxy-3,3-difluoro-D-arabino-heptulosonate and analogues  
AUTHOR(S): Li, Yuan; Drew, Michael G. B.; Welchman, Elizabeth V.;

Shirvastava, Rajeev K.; Jiang, Shende; Valentine, Roy;  
 Singh, Gurdial  
 CORPORATE SOURCE:  
 Department of Chemistry, University of Sunderland,  
 Sunderland, SR1 3SD, UK  
 SOURCE:  
 Tetrahedron (2004), 60(31), 6523-6531  
 CODEN: TETRAB; ISSN: 0040-4020  
 PUBLISHER:  
 Elsevier B.V.  
 DOCUMENT TYPE:  
 Journal  
 LANGUAGE:  
 English  
 OTHER SOURCE(S):  
 CASREACT 141:277835  
 GI



**AB** The difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid (DAH) I, II and its enantiomer have been synthesized from D- and L-erythrose via a Reformatsky reaction which gave a mixture of diastereoisomers in favor of the anti isomer.

**IT** 841262-65-5

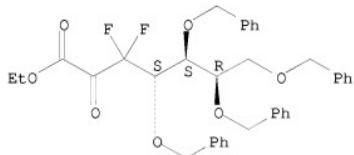
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 841262-65-5 CAPLUS

CN D-lyxo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



**IT** 760973-09-9P 760973-16-8P

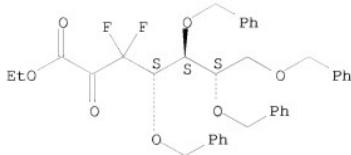
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-09-9 CAPLUS

CN L-ribo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

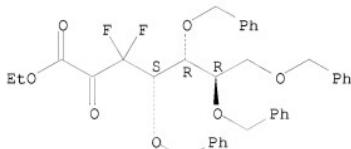
Absolute stereochemistry. Rotation (+).



RN 760973-16-8 CAPLUS

CN D-arabinino-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:511439 CAPLUS

DOCUMENT NUMBER: 141:190987

TITLE: A stereodivergent asymmetric approach to difluorinated aldonic acids

AUTHOR(S): Audouard, Christophe; Barsukov, Igor; Fawcett, John; Griffith, Gerry A.; Percy, Jonathan M.; Pintat, Stephane; Smith, Clive A.

CORPORATE SOURCE: Department of Chemistry, University of Leicester, Leicester, LE1 7RH, UK

SOURCE: Chemical Communications (Cambridge, United Kingdom) (2004), (13), 1526-1527

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:190987

AB A (bromodifluoromethyl)alkyne has been deployed in a stereoselective route to difluorinated aldonic acid analogs, in which a Sharpless asym. dihydroxylation reaction and diastereoisomer separation set the stage for Ph group oxidation

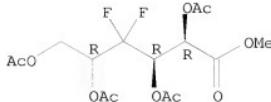
IT 740839-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(asym. synthesis of difluorinated aldonic acid analogs via stereoselective reduction, Sharpless dihydroxylation, diastereoisomer separation, and oxidative cleavage)

RN 740839-82-1 CAPLUS

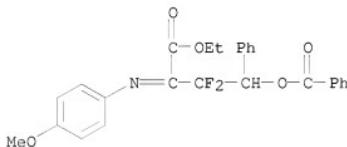
CN D-xyo-Hexonic acid, 4-deoxy-4,4-difluoro-, methyl ester, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

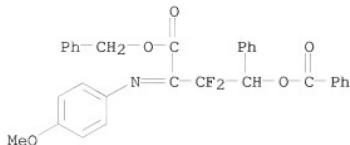


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:827052 CAPLUS  
 DOCUMENT NUMBER: 140:16390  
 TITLE: Mg-Promoted Double Silylation of Trifluoroacetimidoyl Chlorides. A New Entry to the Fluorinated Dianion Equivalents  
 AUTHOR(S): Kobayashi, Takeshi; Nakagawa, Takashi; Amii, Hideki; Uneyama, Kenji  
 CORPORATE SOURCE: Department of Applied Chemistry, Faculty of Engineering, Okayama University, Okayama, 700-8530, Japan  
 SOURCE: Organic Letters (2003), 5(23), 4297-4300  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:16390  
 AB A Mg(0)/Me<sub>3</sub>SiCl system was found to be effective for the preparation of a novel fluorinated dianion equivalent. A one-pot reaction sequence involving reductive C-F and C-Cl bond cleavage reactions of trifluoroacetimidoyl chlorides afforded bis-silylated difluoroenamines. Subsequent carbon-carbon bond-forming reactions of the bis(silyl)enamines with two kinds of electrophiles gave a variety of difluorinated imines.  
 IT 629625-57-6P 629625-58-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of difluorinated imines via magnesium-promoted double silylation of trifluoroacetimidoyl chlorides followed by reaction of bis(silyl)enamines with electrophiles)  
 RN 629625-57-6 CAPLUS  
 CN Benzenebutanoic acid,  $\gamma$ -(benzyloxy)- $\beta,\beta$ -difluoro- $\alpha$ -[(4-methoxyphenyl)imino]-, ethyl ester (CA INDEX NAME)

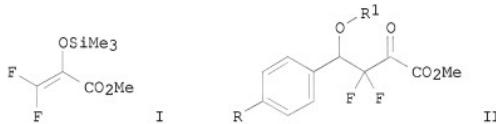


RN 629625-58-7 CAPLUS  
 CN Benzenebutanoic acid,  $\gamma$ -(benzyloxy)- $\beta,\beta$ -difluoro- $\alpha$ -[(4-methoxyphenyl)imino]-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:675024 CAPLUS  
 DOCUMENT NUMBER: 138:122444  
 TITLE: Methyl 3,3-difluoro-2-trimethylsilyloxyacrylate: preparation and Mukaiyama-type aldol condensation as a novel route to  $\beta,\beta$ -difluoro- $\alpha$ -keto ester derivatives  
 AUTHOR(S): Jiang, Biao; Zhang, Xiaobing; Shi, Guoqiang  
 CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, State Key Laboratory of Organometallic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China  
 SOURCE: Tetrahedron Letters (2002), 43(38), 6819-6821  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:122444  
 GI



AB Mukaiyama-type aldol condensation of arylaldehyde acetals occurs smoothly with Me 3,3-difluoro-2-trimethylsilyloxyacrylate (I, derived from Et 3,3-difluoro-2-benzoyloxyacrylate) when catalyzed by a Lewis acid, allowing preparation of 4-alkyloxy-3,3-difluoro-2-keto esters II (R = H, Cl, OMe, NO2, R1 = Me; R = F, R1 = Et).

IT 491612-53-4P 491612-54-5P 491612-55-6P  
 491612-56-7P 491612-57-8P

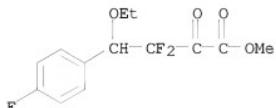
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of  $\alpha$ -keto- $\beta,\beta$ -difluoro esters via debenzylation/silylation of  $\alpha$ -benzoyloxy- $\beta,\beta$ -difluoroacrylate followed by Lewis acid-catalyzed Mukaiyama-type aldol condensation with di-Me acetals of aromatic aldehydes)

RN 491612-53-4 CAPLUS

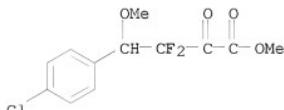
CN Benzenebutanoic acid,  $\beta,\beta$ -difluoro- $\gamma$ -methoxy- $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



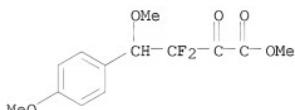
RN 491612-54-5 CAPLUS  
 CN Benzenebutanoic acid,  $\gamma$ -ethoxy- $\beta,\beta$ ,4-trifluoro- $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



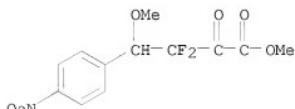
RN 491612-55-6 CAPLUS  
 CN Benzenebutanoic acid, 4-chloro- $\beta,\beta$ -difluoro- $\gamma$ -methoxy- $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



RN 491612-56-7 CAPLUS  
 CN Benzenebutanoic acid,  $\beta,\beta$ -difluoro- $\gamma$ ,4-dimethoxy- $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



RN 491612-57-8 CAPLUS  
 CN Benzenebutanoic acid,  $\beta,\beta$ -difluoro- $\gamma$ -methoxy-4-nitro- $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



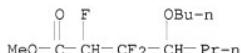
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:1324 CAPLUS  
 DOCUMENT NUMBER: 136:325124

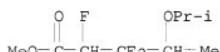
TITLE: Novel rearrangement of secondary alkoxyalkyl radicals during addition to a double bond. Steric shielding in the formation of tertiary alkoxyethyl radicals  
 AUTHOR(S): Paleta, Oldrich; Hajduch, Jan; Bohm, Stanislav  
 CORPORATE SOURCE: Department of Organic Chemistry, Prague Institute of Chemical Technology, Prague, 16628, Czech Rep.  
 SOURCE: Tetrahedron Letters (2002), 43(3), 481-485  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:325124  
 AB The participation of a 1,3-hydrogen shift in initially formed secondary alkoxyethyl radicals R1R2CH-O-CH<sub>n</sub>-CH<sub>3</sub> during their free-radical chain addns. to Me 2,3,3-trifluoroacrylate has been confirmed using a deuterium marked additive. Indirect evidence has been obtained for a partial 1,3-hydrogen shift in secondary radicals CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>-CH<sub>n</sub>-O-CH<sub>3</sub> to primary radicals CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>-CH<sub>2</sub>-O-CH<sub>2</sub><sup>2</sup>. Initial formation of tertiary alkoxyethyl radicals R1R2C<sub>v</sub>-O-CHR<sub>4</sub> in the propagation step was not observed due to steric factors.  
 IT 412310-49-7P  
 RL: BYP (Byproduct); PREP (Preparation)  
     (rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)  
 RN 412310-49-7 CAPLUS  
 CN Butanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



IT 412310-43-1P 412310-45-3P 412310-48-6P  
 412310-50-0P 412310-52-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
     (rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)  
 RN 412310-43-1 CAPLUS  
 CN Heptanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



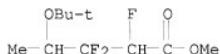
RN 412310-45-3 CAPLUS  
 CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy)-, methyl ester (CA INDEX NAME)



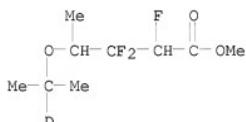
RN 412310-48-6 CAPLUS  
 CN Heptanoic acid, 2,3,3-trifluoro-4-methoxy-, methyl ester (CA INDEX NAME)



RN 412310-50-0 CAPLUS  
 CN Pentanoic acid, 4-(1,1-dimethylethoxy)-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



RN 412310-52-2 CAPLUS  
 CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy-1-d)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:481438 CAPLUS  
 DOCUMENT NUMBER: 135:210736  
 TITLE: A Novel Strategy for the Synthesis of  $\omega$ -Functionalized Perfluoroalkyl Iodides  
 AUTHOR(S): Sziavik, Zoltan; Tarkanyi, Gabor; Skribanek, Zsolt;  
 Vass, Elemer; Rabai, Jozsef  
 CORPORATE SOURCE: Department of Organic Chemistry, Eotvos University,  
 Budapest, H-1518, Hung.  
 SOURCE: Organic Letters (2001), 3(15), 2365-2366  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:210736  
 AB The applicability of telomeric alcs.,  $H(CF_2CF_2)nCH_2OH$  [ $n = 5$ ], for the synthesis of  $\omega$ -functionalized F-alkylating reagents,  $I(CF_2CF_2)n-1CH_2OAc$ , is demonstrated. The key steps of this optimized method are the activation of the  $HCF_2-$  terminus in a lithiation process yielding  $(Z+E)-BuCF_2CF(CF_2CF_2)4CH_2OH$  [I, 86%] and a successive ozonation reaction in trifluoroethanol media affording  $CF_3CH_2O_2C(CF_2CF_2)4CH_2OH$  [93%]. This compound underwent addition reaction with 1-undecene to give  $Me(CH_2)_8CH_2CH_2(CF_2)8CH_2OAc$ . Highly stereospecific ozone cleavage of (E)-I was observed in methanol due to the competitive oxidation of the solvent.  
 IT 358352-39-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of functionalized polyfluoroalkyl acetates)  
 RN 358352-39-3 CAPLUS  
 CN Decanoic acid, 10-(acetoxy)-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoro-, monosilver(1+) salt (9CI) (CA INDEX NAME)

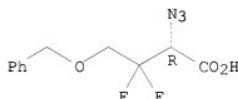
AcO—CH<sub>2</sub>—(CF<sub>2</sub>)<sub>8</sub>—CO<sub>2</sub>H

● Ag(I)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

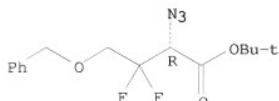
L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:330478 CAPLUS  
DOCUMENT NUMBER: 129:54564  
TITLE: Synthesis of  $\beta$ -difluorine-containing amino acids  
AUTHOR(S): Li, Keqiang; Leriche, Caroline; Liu, Hung-Wen  
CORPORATE SOURCE: Department of Chemistry, University of Minnesota,  
Minneapolis, MN, 55455, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(9),  
1097-1100  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 129:54564  
AB A convenient strategy was developed to prepare several  $\beta,\beta$ -difluoroamino acids. 5,6-O-isopropylidene-L-isoascorbic acid was the starting material for the syntheses of 3,3-difluoro-L-homocysteine, 3,3-difluoro-L-homoserine and 3,3-difluoro-L-methionine. This approach has the potential to synthesize other  $\beta,\beta$ -difluoroamino acids.  
IT 208755-96-8P 208755-97-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of  $\beta,\beta$ -difluoroamino acids)  
RN 208755-96-8 CAPLUS  
CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 208755-97-9 CAPLUS  
CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

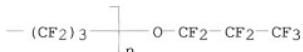
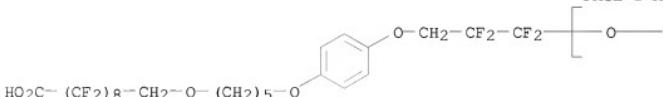
L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1997:250727 CAPLUS  
DOCUMENT NUMBER: 1261:240583  
TITLE: Magnetic recording media and the apparatus using them  
INVENTOR(S): Koike, Asako; Shoji, Saburo; Nakakawaji, Takayuki;  
Murakami, Juko  
PATENT ASSIGNEE(S): Hitachi Ltd, Japan  
SOURCE: Jpn. Kokai Tokyo Koho, 10 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09035252	A	19970207	JP 1995-181415	19950718
PRORITY APPLN. INFO.: JP 1995-181415 19950718				
AB	Magnetic recording media having a surface layer formed on a recording layer in which information can be recorded or regenerated by a magnetic head comprise forming a lubricating layer on the surface of recording layer, where the lubricating layer contains the mols. having an adsorption-increasing portion at the terminal end for increasing the adsorption between the terminal and substrate and an aggregation (cohesion)-increasing portion in the middle part of mol. chain for increasing the cohesive energy between adjacent mols., two mol. portions comprising ≥1 of organic compds. selected from aromatic ring, condensed ring or N-containing aromatic ring compds.			
IT	188432-12-4 188432-21-5 RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses) (film; magnetic recording media with recording layer coated by lubricant)			
RN	188432-12-4 CAPLUS			
CN	Benzenamine, 2-methoxy-, compd. with α-[3-[4-[[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoronyl)oxyl]pentyl]oxyl]phenoxy]-1,1,2,2-tetrafluoropropyl]-ε-(heptafluoropropoxy)poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)			

CM 1

CRN 188432-11-3  
CMF (C<sub>3</sub> F<sub>6</sub> O)<sub>n</sub> C27 H19 F27 O<sub>6</sub>  
CCI PMS

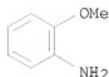
PAGE 1-A



PAGE 1-B

CM 2

CRN 90-04-0  
CMF C7 H9 N O

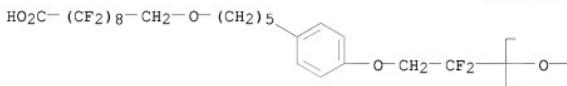


RN 188432-21-5 CAPLUS  
CN Benzenamine, 2-methoxy-, compd. with  $\alpha$ -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluororononyl)oxy]pentyl]phenoxy]-1,1-difluoroethyl]- $\omega$ -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluororononyl)oxy]pentyl]phenyl]-1,1,2,2-tetrafluoroethoxy]poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (2:1) (9CI) (CA INDEX NAME)

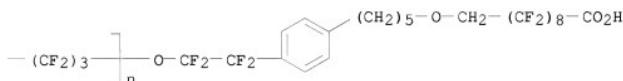
CM 1

CRN 188432-20-4  
CMF (C3 F6 O)n C46 H36 F38 O8  
CCI PMS

PAGE 1-A

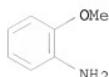


PAGE 1-B



CM 2

CRN 90-04-0  
CMF C7 H9 N O



L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1995:740928 CAPLUS  
DOCUMENT NUMBER: 123:127788  
TITLE: Mesomorphic compound, liquid crystal composition

containing the compound, liquid crystal device using the composition, liquid crystal apparatus and display method.

INVENTOR(S): Shinichi, Nakamura; Takao, Takiguchi; Takashi, Iwaki; Takeshi, Togano; Yoko, Kosaka  
PATENT ASSIGNEE(S): Canon K. K., Japan  
SOURCE: Eur. Pat. Appl., 84 pp.  
CODEN: EPXWDW

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 640676	A1	19950301	EP 1994-113508	19940830
EP 640676	B1	19990120		
R: CH, DE, ES, JP 07097354	FR, GB, IT, LI, NL, SE			
JP 3230024	A	19950411	JP 1993-237215	19930831
JP 07133244	B2	20011119		
JP 3216752	A	19950523	JP 1993-243580	19930906
US 5653913	B2	20011009		
PRIORITY APPLN. INFO.:	A	19970805	US 1996-628446	19960405
			JP 1993-237215	A 19930831
			JP 1993-243580	A 19930906
			US 1994-297840	B1 19940830

OTHER SOURCE(S): MARPAT 123:127788

AB A mesomorphic compound  $CmH2m+1O(CH_2)^n(CH_2)p(CH_2)q-Y1-A1-R1$  [R1 = H, halogen, CN, or a linear, branched or cyclized alkyl group having 1-30 C atoms capable of including at least one  $-CH_2-$  group which can be replaced with  $-O-$ ,  $-S-$ ,  $-CO-$ ,  $-CH(C_1)-$ ,  $-CH(CN)-$ ,  $-CCH_3(CN)-$ ,  $-CH:CH-$  or  $-C.tpbond.C-$  provided that heteroatoms are not adjacent to each other and capable of including at least one H which can be replaced with F; m, n, p and q = 1-16 provided that  $m + n + p + q \leq 18$ ; Y1 denotes a single bond,  $-O-$ ,  $-CO-$ ,  $-OCO-$ ,  $-CH:CH$  or  $-C.tpbond.C-$ ; A1 =  $-A2-$ ,  $-A2-X1-A3-$  or  $-A2-X1-A3-X2-A4$  in which A2, A3 and A4 independently denote a divalent cyclic group; X1, X2 = a single bond,  $-COO-$ ,  $-OCO-$ ,  $-CH_2O-$ ,  $-OCH_2-$ ,  $-CH_2CH_2-$ ,  $-CH:CH-$  or  $-C.tpbond.C-$  having  $\geq 2$  ether groups between alkylene groups in a specific alkoxy perfluoroalkyl terminal group is suitable as a component for a liquid crystal composition providing improved response characteristics and a high contrast. A liquid crystal device is constituted by disposing the liquid crystal composition between a pair of substrates. The liquid crystal device is used as a display panel constituting a liquid crystal apparatus providing good display characteristics.

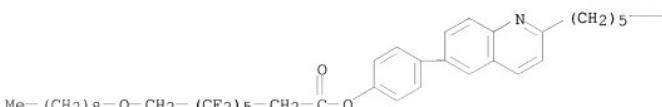
IT 166439-53-8

RL: MOA (Modifier or additive use); USES (Uses)  
(perfluoroalkyl mesomorphic compound for liquid crystal composition)

RN 166439-53-8 CAPLUS

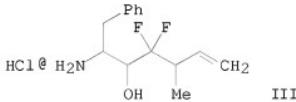
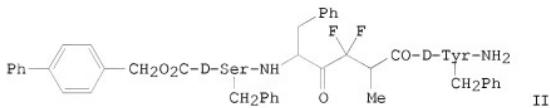
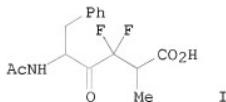
CN Octanoic acid, 3,3,4,4,5,5,6,6,7,7-decafluoro-8-(nonyloxy)-,  
4-(2-hexyl-6-quinolinyl)phenyl ester (CA INDEX NAME)

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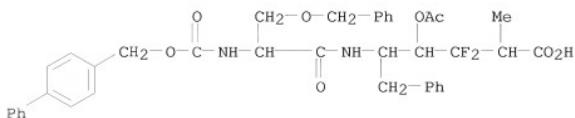


— Me

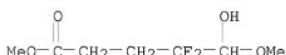
L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:427103 CAPLUS  
 DOCUMENT NUMBER: 117:27103  
 TITLE: Synthesis and N- and C-terminal extension of peptidyl  
 $\alpha,\alpha$ -difluoroalkyl ketones  
 AUTHOR(S): Hong, Wonpyo; Dong, Liwen; Cai, Zhenhong; Titmas,  
 Richard  
 CORPORATE SOURCE: IGEN, Inc., Rockville, MD, 20852, USA  
 SOURCE: Tetrahedron Letters (1992), 33(6), 741-4  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 117:27103  
 GI



AB The synthesis of peptidyl  $\alpha,\alpha$ -difluoroalkyl ketones I and II is described. The key intermedium III can be extended at not only the C-terminal but also the N-terminal.  
 IT 140195-69-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and peptide coupling of, with D-tyrosinamide derivative)  
 RN 140195-69-3 CAPLUS  
 CN Benzenehexanoic acid,  $\gamma$ -(acetoxy)- $\delta$ -[(2-[(1,1'-biphenyl)-4-ylmethoxy]carbonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino- $\beta,\beta$ -difluoro- $\alpha$ -methyl- (CA INDEX NAME)



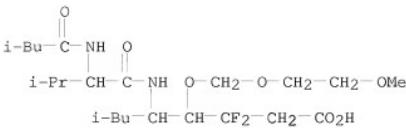
L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1990:547802 CAPLUS  
 DOCUMENT NUMBER: 113:147802  
 TITLE: Structure-activity studies of fluoroketone inhibitors  
       of  $\alpha$ -lytic protease and human leukocyte elastase  
 AUTHOR(S): Govardhan, Chandrika P.; Abeles, Robert H.  
 CORPORATE SOURCE: Grad. Dep. Biochem., Brandeis Univ., Waltham, MA,  
                   02254, USA  
 SOURCE: Archives of Biochemistry and Biophysics (1990),  
           280(1), 137-46  
 CODEN: ABBIA4; ISSN: 0003-9861  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of peptidyl fluoroketones that reversibly inhibit the serine  
   proteases human leukocyte elastase (HLE) and  $\alpha$ -lytic protease  
   ( $\alpha$ -LP) were synthesized. Ac-ambo-AlaCF3 inhibits HLE and  $\alpha$ -LP  
   with  $K_i$ s of 2.4 and 15 mM, resp. The effects of structural variations on  
   this parent compound on  $K_i$  and the kinetics of inhibition were studied. The  
   acetyl group was replaced by the tripeptide Z-L-Ala-L-Ala-L-Pro to yield  
   the tetrapeptide trifluoroketone (TFK) Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF3  
   (I). This extension reduced  $K_i$  3500-fold for HLE and 3000-fold for  
    $\alpha$ -LP. Removal of a F atom from a TFK decreases  $K_i$  .apprx.15-30-fold  
   with both enzymes. Replacement of one atom of I by a residue  
   (-CH2-CH2-COLeuOMe) (II) which can interact with the S'1 and S'2 subsites  
   decreased  $K_i$  30-fold for HLE and 150-fold for  $\alpha$ -LP compared to  
   Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF2H. The  $K_i$  of II for HLE is approx. equal  
   to that of trifluoroketone I. For  $\alpha$ -LP  $K_i$  of II is 10-fold lower  
   than that for the trifluoroketone I. Inhibitors with  $K_i$  values <10-7M  
   exhibit slow binding kinetics. By analogy to cholinesterases and  
   chymotrypsin, it is likely that these enzymes combine with the keto form  
   of the inhibitor to form the enzyme-inhibitor complex. Therefore,  $K_{on}$  and  
    $K_i$  were corrected for the ketone concentration. The corrected  $K_{on}$  values for  
   the slow  
   binding inhibitors are in most cases less than diffusion controlled,  
   ranging between 8.2 + 104 and 4.68 + 106 M-1 s0-1. An  
   exception is Z-L-Ala-L-Ala-L-Pro-ambo-ValCF3 where  $K_{on}$  = 9 + 107 M-1  
   s-1, which is nearly diffusion controlled.  
 IT 129660-36-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
     (preparation and conversion to nitro alc.)  
 RN 129660-36-2 CAPLUS  
 CN Pentanoic acid, 4,4-difluoro-5-hydroxy-5-methoxy-, methyl ester (CA INDEX  
   NAME)



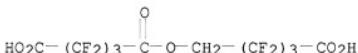
L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:85059 CAPLUS  
 DOCUMENT NUMBER: 106:85059  
 TITLE: Amino acid and peptide derivatives as peptidase  
       inhibitors  
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA  
 SOURCE: Jpn. Kokai Tokkyo Koho, 52 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61183253	A	19860815	JP 1986-21371	19860204
JP 2529825	B2	19960904		
AU 8652881	A	19860807	AU 1986-52881	19860131
AU 600226	B2	19900809		
ZA 8600746	A	19860924	ZA 1986-746	19860131
IL 77748	A	19911121	IL 1986-77748	19860131
CA 1341029	C	20000620	CA 1986-500832	19860131
DK 8600515	A	19860805	DK 1986-515	19860203
FI 8600484	A	19860805	FI 1986-484	19860203
FI 94254	B	19950428		
FI 94254	C	19950810		
NO 8600371	A	19860805	NO 1986-371	19860203
NO 169543	B	19920330		
NO 169543	C	19920708		
HU 40142	A2	19861128	HU 1986-467	19860203
HU 207102	B	19930301		
CN 86101268	A	19870204	CN 1986-101268	19860203
ES 551597	A1	19871116	ES 1986-551597	19860203
EP 195212	A2	19860924	EP 1986-101437	19860204
EP 195212	A3	19881005		
EP 195212	B1	19931124		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 97652	T	19931215	AT 1986-101437	19860204
ES 553504	A1	19871016	ES 1986-553504	19860326
ES 553505	A1	19871016	ES 1986-553505	19860326
US 5496927	A	19960305	US 1994-248847	19940525
US 5849866	A	19981215	US 1995-481666	19950607
US 6130315	A	20001010	US 1998-139009	19980824
PRIORITY APPLN. INFO.:				
			US 1985-697987	A 19850204
			EP 1986-101437	A 19860204
			US 1986-874721	B1 19860616
			US 1988-267758	B1 19881101
			US 1989-372162	B2 19890627
			US 1990-540033	B1 19900619
			US 1992-980141	B1 19921123
			US 1993-102522	B1 19930804
			US 1994-248847	A3 19940525
			US 1995-481666	A3 19950607
AB R1NHCHR2COX [R1 = H, amino protecting group, amino acid residue, peptide residue; R2 = side chain of an amino acid; X = H, (un)substituted fluoroalkyl, etc.], useful as peptidase inhibitors (no data), were prepared Thus, CH2:CHCH2CF2CH(OH)CH(NH2)CH2CHMe2 was condensed with N-isovalerylvaline in THF containing dicyclohexylcarbodiimide at 23° for 15 h to give N1-(3,3-difluoro-2-hydroxy-1-isobutyl-5-hexenyl)-N2-isovalerylvalinamide.				
IT 106771-24-8P				
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as peptidase inhibitor)				
RN 106771-24-8 CAPLUS				
CN Octanoic acid, 3,3-difluoro-4-[(2-methoxyethoxy)methoxy]-7-methyl-5-[[3-methyl-2-[(3-methyl-1-oxobutyl)amino]-1-oxobutyl]amino]- (CA INDEX NAME)				



L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:529011 CAPLUS  
 DOCUMENT NUMBER: 89:129011  
 ORIGINAL REFERENCE NO.: 89:19953a,19956a  
 TITLE: Reduction of perfluorocarboxylic acid anhydrides to  
 1,1-dihydroperfluoro alcohols  
 AUTHOR(S): Kolomnikova, G. D.; Kalinin, M. I.; Tskhurbaeva, Z.  
 Ts.; Parnes, Z. N.; Kursanov, D. N.  
 CORPORATE SOURCE: Inst. Elementoorg. Soedin., Moscow, USSR  
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya  
 (1978), (7), 1681-3  
 CODEN: IASKA6; ISSN: 0002-3353  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB Et<sub>3</sub>SiH reduced (RCO)<sub>2</sub>0 [I; R = CF<sub>3</sub>, C<sub>3</sub>F<sub>7</sub>; R<sub>2</sub> = (CF<sub>2</sub>)<sub>3</sub>] to the corresponding RCH<sub>2</sub>OH and HO<sub>2</sub>C(CF<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>OH in 60-80% yield and lesser amts. of RCH<sub>2</sub>2CR. Hydrogenation of I (R = same) with PtO<sub>2</sub>, (Ph<sub>3</sub>P)<sub>2</sub>PtCl<sub>2</sub> or Ru(O<sub>2</sub>CCF<sub>3</sub>)<sub>3</sub> gave lower yields of same products.  
 IT 67710-61-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 67710-61-6 CAPLUS  
 CN Pentanedioic acid, hexafluoro-, mono(4-carboxy-2,2,3,3,4,4-hexafluorobutyl) ester (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:442477 CAPLUS  
 DOCUMENT NUMBER: 89:42477  
 ORIGINAL REFERENCE NO.: 89:6569a,6572a  
 TITLE: Functional fluorine derivatives by transformation of a  
 1H-perfluoroalkyl group  
 INVENTOR(S): Wakselman, Claude; Nguyen Thoai  
 PATENT ASSIGNEE(S): Agence Nationale de Valorisation de la Recherche, Fr.  
 SOURCE: Fr. Demande, 10 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2341559	A1	19770916	FR 1976-4711	19760220
FR 2341559	B1	19790824		
PRIORITY APPLN. INFO.:			FR 1976-4711	A 19760220
AB R1(CF <sub>2</sub> ) <sub>n+1</sub> CHF <sub>2</sub> (R <sub>1</sub> = F or protected organic group, n is an integer) were				

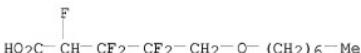
treated with M1/mNR2 (M = alkali or alkaline earth metal, m = valence of M, R = hydrocarbon group) and the products hydrolyzed by acid to give the resp. R2(CF2)nCHFCNR2 (R2 = F or organic group). The reaction of PhCH2OCH2(CF2)3CHF2 with Et2NH and BuLi and addition of concentrated HCl in H2O gave PhCH2OCH2(CF2)2CHFCONET2.

IT 66790-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydride reduction of)

RN 66790-29-2 CAPLUS

CN Pentanoic acid, 2,3,3,4,4-pentafluoro-5-(heptyloxy)- (CA INDEX NAME)



L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1975:496334 CAPLUS

DOCUMENT NUMBER: 83:96334

ORIGINAL REFERENCE NO.: 83:15116n,15117a

TITLE: Haloacrylic acids. IV. Reaction of Grignard reagents with substituted methyl-2,3,3-trifluoroalkanoates

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedeck, Vaclav

CORPORATE SOURCE: Inst. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1975), 40(5), 1542-9

DOCUMENT TYPE: CODEN: CCCCAK; ISSN: 0010-0765

LANGUAGE: Journal

AB Reaction of MeMgI with EtOCHMeCF2CHFCO2Me at 35° gave

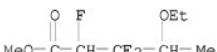
EtOCHMeCF2CHFCMe2OH (I). The reaction at -35° gave a mixture of I and EtOCHMeCF2CHFCOMe. Analogous results were obtained with EtMgBr or in the reaction of RCF2CHFCO2Me (II) (R = 2-tetrahydrofuryl throughout) with MeMgI or EtMgBr. Reaction of II with Me2CHMgBr gave a mixture of RCF2CHFC(OH)(CHMe2)2 and (by reduction) RCF2CHFC(OH)CHMe2. When treated with P2O5, I, EtOCHMeCF2CHFCET2OH, and RCF2CHFCMe2OH (III) gave EtOCHMeCF2CHFCMe:CH2 (IV), EtOCHMeCF2CHFCET:CHMe, and RCF2CHFCMe:CH2, resp.; with SOCl2, I gave I and IV whereas III yielded RCF2CHFCMe2Cl.

IT 52916-69-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(Grignard reactions of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:449032 CAPLUS

DOCUMENT NUMBER: 81:49032

ORIGINAL REFERENCE NO.: 81:7835a,7838a

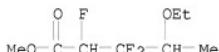
TITLE: Photochemical addition of ethers to methyl trifluoroacrylate

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedeck, Vaclav

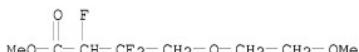
CORPORATE SOURCE: Vys. Sk. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1974), 39(4), 1061-71

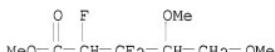
DOCUMENT TYPE: CCCCAK; ISSN: 0010-0765  
 LANGUAGE: English  
 AB In the uv-initiated 1:1 adduct formation of ethers with F2C:CFCO2Me, the reactivity decreased in the order:THF > 4-methyl-1,3-dio-xane > 1,3-dioxolane > Et2O > MeOCH2CH2OMe > 1,4-dioxane.  
 IT 52916-69-5P 52916-70-8P 52916-71-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 52916-69-5 CAPLUS  
 CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



RN 52916-70-8 CAPLUS  
 CN Butanoic acid, 2,3,3-trifluoro-4-(2-methoxyethoxy)-, methyl ester (CA INDEX NAME)



RN 52916-71-9 CAPLUS  
 CN Pentanoic acid, 2,3,3-trifluoro-4,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

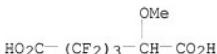


L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1971:124920 CAPLUS  
 DOCUMENT NUMBER: 74:124920  
 ORIGINAL REFERENCE NO.: 74:20183a,20186a  
 TITLE: Polyfluorocycloalkenes. IX. Reactions of 1H,2H-octafluorocyclohexene, -hexafluorocyclopentene, and -tetrafluorocyclobutene with methanol under ionic conditions  
 AUTHOR(S): Stephens, Robert; Clayton, A. B.; Collins, D.; Tatlow, John C.  
 CORPORATE SOURCE: Chem. Dep., Univ. Birmingham, Birmingham, UK  
 SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (7), 1177-82  
 DOCUMENT TYPE: CODEN: JSOOAX; ISSN: 0022-4952  
 LANGUAGE: English  
 AB 1H,2H-Octafluoro-cyclohexene reacted with NaOMe-MeOH to give 1H,1H,2H-2-methoxytafluorocyclohexane, 1H,6H-6-methoxyheptafluoro-cyclohexene, 1H,6H - 2 - methoxyheptafluorocyclohexene, and 1H,2H-3,3-dimethoxyhexafluorocyclohexene. Similarly, 1H,-2H - hexafluorocyclopentene gave 1H,1H,2H - 2 - methoxyhexa-fluorocyclopentane and 1H,5H - 5 - methoxypentafluorocyclo-pentene, and 1H,2H-tetrafluorocyclobutene gave 1H,4H-4-methoxytrifluorocyclobutene. The results are consistent with an addition-elimination mechanism and not a

direct allylic substitution.  
IT 32670-08-9P 32670-09-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 32670-08-9 CAPLUS  
CN Hexanedioic acid, 2,2,3,3,4,4-hexafluoro-5-methoxy-, compd. with  
phenylmethyl carbamimidothioate (1:2) (CA INDEX NAME)

CM 1

CRN 45213-92-1  
CMF C7 H6 F6 O5



CM 2

CRN 621-85-2  
CMF C8 H10 N2 S



RN 32670-09-0 CAPLUS  
CN Glutaric acid, 2,2,3,3-tetrafluoro-4-methoxy-, compd. with  
2-benzyl-2-thiopseudourea (1:2) (8CI) (CA INDEX NAME)

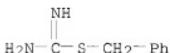
CM 1

CRN 45153-12-6  
CMF C6 H6 F4 O5



CM 2

CRN 621-85-2  
CMF C8 H10 N2 S



=> filr reg  
FILR IS NOT A RECOGNIZED COMMAND  
The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

```
=> file reg
COST IN U.S. DOLLARS                               SINCE FILE      TOTAL
                                                ENTRY SESSION
FULL ESTIMATED COST                           97.65        276.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                ENTRY SESSION
CA SUBSCRIBER PRICE                          -13.60       -13.60
```

FILE 'REGISTRY' ENTERED AT 18:28:34 ON 13 MAR 2008  
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DICTIONARY FILE UPDATES: 12 MAR 2008 HIGHEST RN 1007632-31-6

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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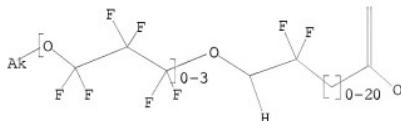
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqen/stndoc/properties.html>

=> Uploading C:\Program Files\Stnexp\Queries\10562730-cl-2b.str

## L6 STRUCTURE UPLOADED

=> d 16  
L6 HAS NO ANSWERS  
L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16  
SAMPLE SEARCH INITIATED 18:28:57 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 1177 TO ITERATE

100.0% PROCESSED 1177 ITERATIONS

## 1.1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 21482 TO 25598  
PROJECTED ANSWERS: 22 TO 418

L7 11 SEA SSS SAM L6

=> s 16 full  
FULL SEARCH INITIATED 18:29:02 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 23778 TO ITERATE

100.0% PROCESSED 23778 ITERATIONS 217 ANSWERS  
SEARCH TIME: 00.00.01

L8 217 SEA SSS FUL L6

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
178.36 454.79  
  
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL  
CA SUBSCRIBER PRICE ENTRY SESSION  
0.00 -13.60

FILE 'CAPLUS' ENTERED AT 18:29:07 ON 13 MAR 2008  
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FILE LAST UPDATED: 12 Mar 2008 (20080312/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.  
They are available for your review at:

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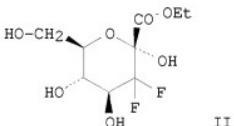
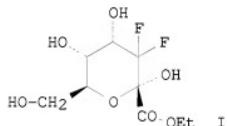
=> s 18  
L9 101 L8

=> s 19 py not > 2004  
MISSING OPERATOR L9 PY  
The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 19 not py > 2004  
4348315 PY > 2004  
L10 72 L9 NOT PY > 2004

=> d l10 ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 72 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:616738 CAPLUS  
DOCUMENT NUMBER: 141:277835  
TITLE: Syntheses of ethyl 3-deoxy-3,3-difluoro-D-arabino-heptulosonate and analogues  
AUTHOR(S): Li, Yuan; Drew, Michael G. B.; Welchman, Elizabeth V.; Shirvastava, Rajeev K.; Jiang, Shende; Valentine, Roy; Singh, Gurudal  
CORPORATE SOURCE: Department of Chemistry, University of Sunderland, Sunderland, SR1 3SD, UK  
SOURCE: Tetrahedron (2004), 60(31), 6523-6531  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:277835  
GI



AB The difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid (DAH) I, II and its enantiomer have been synthesized from D- and L-erythrose via a Reformatsky reaction which gave a mixture of diastereoisomers in favor of the anti isomer.

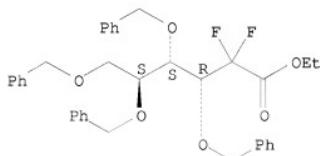
IT 760973-07-7P 760973-14-6P

RL: BYP (Byproduct); PREP (Preparation)  
(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-07-7 CAPLUS

CN L-arabino-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

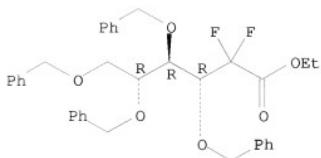
Absolute stereochemistry.



RN 760973-14-6 CAPLUS

CN D-ribo-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 841262-65-5

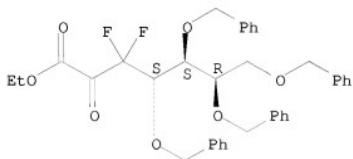
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 841262-65-5 CAPLUS

CN D-lyxo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 760973-06-6P 760973-09-9P 760973-13-5P

760973-16-8P

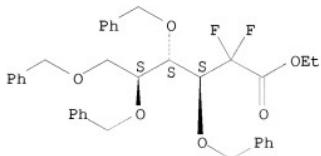
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of difluorinated analogs of 3-deoxy-D-arabino-heptulosonic acid from D- and L-erythrose via a Reformatsky reaction)

RN 760973-06-6 CAPLUS

CN L-ribo-Hexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

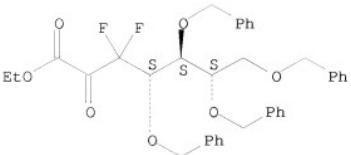
Absolute stereochemistry. Rotation (-).



RN 760973-09-9 CAPLUS

CN L-ribo-2-Heptulosonic acid, 3-deoxy-3,3-difluoro-4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

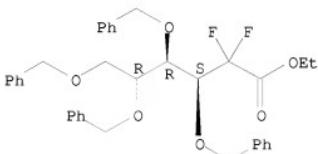
Absolute stereochemistry. Rotation (+).



RN 760973-13-5 CAPLUS

CN D-arabinohexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6-tetrakis-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

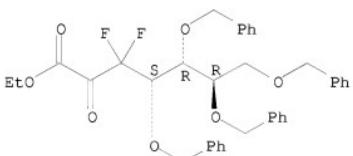
Absolute stereochemistry. Rotation (+).



RN 760973-16-8 CAPLUS

CN D-arabinohexonic acid, 2-deoxy-2,2-difluoro-3,4,5,6,7-tetrakis-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:511439 CAPLUS

DOCUMENT NUMBER: 141:190987

TITLE: A stereodivergent asymmetric approach to difluorinated aldonic acids

AUTHOR(S): Audouard, Christophe; Barsukov, Igor; Fawcett, John; Griffith, Gerry A.; Percy, Jonathan M.; Pintat, Stephane; Smith, Clive A.

CORPORATE SOURCE: Department of Chemistry, University of Leicester, Leicester, LE1 7RH, UK

SOURCE: Chemical Communications (Cambridge, United Kingdom) (2004), (13), 1526-1527

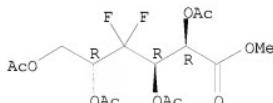
CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 141:190987  
 AB A (bromodifluoromethyl)alkyne has been deployed in a stereoselective route to difluorinated aldonic acid analogs, in which a Sharpless asym. dihydroxylation reaction and diastereoisomer separation set the stage for Ph group oxidation  
 IT 740839-82-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
     (asym. synthesis of difluorinated aldonic acid analogs via stereoselective reduction, Sharpless dihydroxylation, diastereoisomer separation, and oxidative cleavage)  
 RN 740839-82-1 CAPLUS  
 CN D-xylo-Hexonic acid, 4-deoxy-4,4-difluoro-, methyl ester, tetraacetate (9CI) (CA INDEX NAME)

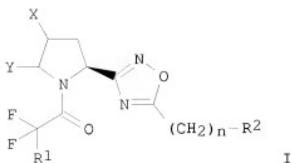
Absolute stereochemistry.



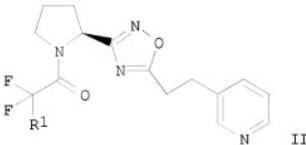
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:330143 CAPLUS  
 DOCUMENT NUMBER: 140:357351  
 TITLE: Preparation of (2S)-2-(1,2,4-oxadiazol-3-yl)pyrrolidine derivatives binding to FKBP12 binding protein  
 INVENTOR(S): Taguchi, Minoru; Wataya, Kengo  
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004123556	A	20040422	JP 2002-285857	20020930
PRIORITY APPLN. INFO.:			JP 2002-285857	20020930
OTHER SOURCE(S):	MARPAT	140:357351		
GI				



I



II

**AB** The title compds. [I; X, Y = H, F; R<sup>1</sup> = Ph optionally substituted by 1-3 number of C1-5 alkoxy, C4-9 cycloalkylcarbonyl, C R3R4R5; wherein R3 = C1-8 alkyl, C3-8 cycloalkyl, C3-8 cycloalkenyl, C1-5 alkoxy optionally substituted by 1-3 number of C1-5 alkoxy, thienyl, norbornanyl; R4 = H, C1-5 alkyl; or CR3R4 together represents (un)substituted C3-8 cycloalkyl, C3-8 cycloalkenyl, norbornanyl, piperidinyl, N-C2-5 alkanoylpiperidinyl, tetrahydropyranyl, or indanyl; R5 = H, HO, C1-5 alkoxy, C2-5 alkanoyl, C1-5 alkylsulfonylxyloxy; R2 = Ph optionally substituted by 1-3 number of C1-5 alkoxy, C3-8 cycloalkyl, pyridyl; n = an integer of 0-3] are prepared. These compds. are ligands for FKBP12 (FK506-binding protein, 12 kDa mol. weight), exhibit neurotrophic activity without calcineurin-inhibitory activity, i.e. immunosuppressant activity, and are useful as therapeutic agents for various neurodegenerative diseases. Thus, 9.44 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride was added to a solution of (2S)-2-[5-[2-(3-pyridyl)ethyl]-1,2,4-oxadiazol-3-yl]pyrrolidine 10.0, 3-cyclohexyl-2,2-difluoro-3-hydroxypropionic acid 8.52, 1-hydroxybenzotriazole monohydrate 6.64 in 100 mL CH<sub>2</sub>Cl<sub>2</sub> under ice-cooling, stirred for 2 h at the same temperature to give, after workup and silica gel chromatog., 13.2 g (2S)-1-(3-cyclohexyl-2,2-difluoro-3-hydroxypropionyl)-2-[5-[2-(3-pyridyl)ethyl]-1,2,4-oxadiazol-3-yl]pyrrolidine (II; R = cyclohexyl(hydroxy)methyl). II [R<sup>1</sup> = cyclohexyl(hydroxy)methyl] and II (R<sup>1</sup> = 1-hydroxy-3,3,5,5-tetramethylcyclohexyl) inhibited the rotamase activity of FKBP12 binding protein on a substrate L-1605 peptide in the presence of  $\alpha$ -chymotrypsin with IC<sub>50</sub> of 0.35 and 0.035  $\mu$ M, resp.

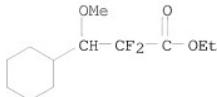
**IT** 681240-45-9P 681240-46-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

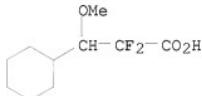
(preparation of (2S)(oxadiazolyl)pyrrolidine derivs. binding to FKBP12 binding protein as therapeutic agents for various neurodegenerative diseases)

**RN** 681240-45-9 CAPLUS

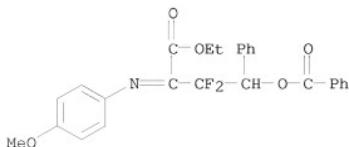
**CN** Cyclohexanepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -methoxy-, ethyl ester (CA INDEX NAME)



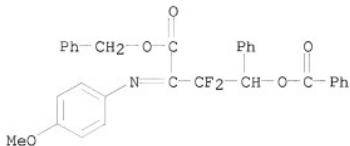
RN 681240-46-0 CAPLUS  
 CN Cyclohexanepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -methoxy- (CA INDEX NAME)



L10 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:827052 CAPLUS  
 DOCUMENT NUMBER: 140:16390  
 TITLE: Mg-Promoted Double Silylation of Trifluoroacetimidoyl Chlorides. A New Entry to the Fluorinated Dianion Equivalents  
 AUTHOR(S): Kobayashi, Takeshi; Nakagawa, Takashi; Amii, Hideki; Uneyama, Kenji  
 CORPORATE SOURCE: Department of Applied Chemistry, Faculty of Engineering, Okayama University, Okayama, 700-8530, Japan  
 SOURCE: Organic Letters (2003), 5(23), 4297-4300  
 PUBLISHER: CODEN: ORLEF7; ISSN: 1523-7060  
 DOCUMENT TYPE: American Chemical Society  
 LANGUAGE: Journal  
 OTHER SOURCE(S): English  
 AB A Mg(O)/Me3SiCl system was found to be effective for the preparation of a novel fluorinated dianion equivalent. A one-pot reaction sequence involving reductive C-F and C-Cl bond cleavage reactions of trifluoroacetimidoyl chlorides afforded bis-silylated difluoroenamines. Subsequent carbon-carbon bond-forming reactions of the bis(silyl)enamines with two kinds of electrophiles gave a variety of difluorinated imines.  
 IT 629625-57-6P 629625-58-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of difluorinated imines via magnesium-promoted double silylation of trifluoroacetimidoyl chlorides followed by reaction of bis(silyl)enamines with electrophiles)  
 RN 629625-57-6 CAPLUS  
 CN Benzenebutanoic acid,  $\gamma$ -(benzoyloxy)- $\beta,\beta$ -difluoro- $\alpha$ -[(4-methoxyphenyl)imino]-, ethyl ester (CA INDEX NAME)



RN 629625-58-7 CAPLUS  
CN Benzenebutanoic acid,  $\gamma$ -(benzoyloxy)- $\beta,\beta$ -difluoro- $\alpha$ -[(4-methoxyphenyl)imino]-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:800312 CAPLUS

DOCUMENT NUMBER: 140:128623

TITLE: 4-Fluorinated L-lysine analogs as selective i-NOS inhibitors: methodology for introducing fluorine into the lysine side chain

AUTHOR(S): Hallinan, E. Ann; Kramer, Steven W.; Houdek, Stephen C.; Moore, William M.; Jerome, Gina M.; Spangler, Dale P.; Stevens, Anna M.; Shieh, Huey S.; Manning, Pamela T.; Pitzele, Barnett S.

CORPORATE SOURCE: Pharmacia, Skokie, IL, 60077, USA

SOURCE: Organic & Biomolecular Chemistry (2003), 1(20), 3527-3534

CODEN: OBCRAK; ISSN: 1477-0520  
Royal Society of Chemistry

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:128623

AB In the literature, the introduction of fluorine into bioactive mols. is known to enhance the biol. activity relative to the parent mol. Described in this article is the synthesis of 4R-fluoro-L-NIL and 4,4-difluoro-L-NIL as part of an iNOS program. Both were found to be selective iNOS inhibitors. Secondly, methodol. to synthesize orthogonally protected 4-fluoro-L-lysine and 4,4-difluoro-L-lysine was developed.

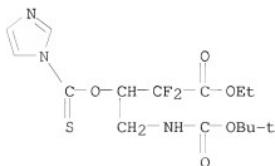
IT 650605-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-fluorinated L-lysine analogs from the Garner aldehyde and selective i-NOS inhibitory activity)

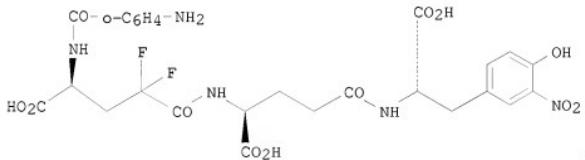
RN 650605-00-8 CAPLUS

CN Butanoic acid, 4-[(1,1-dimethylethoxy)carbonyl]amino]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2002:947475 CAPLUS  
DOCUMENT NUMBER: 138:304521  
TITLE: The synthesis of (2S)-4,4-difluoroglutamyl  $\gamma$ -peptides based on Garner's aldehyde and fluoro-Reformatskii chemistry  
AUTHOR(S): Konas, David W.; Pankuch, Jessica J.; Coward, James K.  
CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109, USA  
SOURCE: Synthesis (2002), (17), 2616-2626  
CODEN: SYNTBF; ISSN: 0039-7881  
PUBLISHER: Georg Thieme Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 138:304521  
GI



AB The development of optically active fluorinated synthetic building blocks of general utility is a current goal of organo-fluorine chemists. The serine-derived Garner aldehyde was converted to a general 4,4-difluoroamino acid building block via fluoro-Reformatskii reaction with Et bromodifluoroacetate. The utility of this building block was demonstrated by the synthesis of derivs. of (2S)-4,4-difluoroglutamine, (2S)-4,4-difluoroglutamic acid, and its incorporation into a fluorophore-containing isopeptide (I) designed as a mechanistic probe of  $\gamma$ -glutamyl hydrolase. Compound I proved to be a substrate for  $\gamma$ -glutamyl hydrolase and was hydrolyzed at a rate significantly slower than the corresponding non-fluorinated analog.

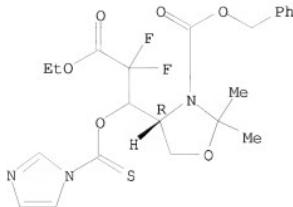
IT 510713-90-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of fluorinated peptides using fluoro-amino acids prepared via fluoro-Reformatskii reaction with Et bromodifluoroacetate)

RN 510713-90-3 CAPLUS

CN 4-Oxazolidinepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthiomethoxy)-2,2-dimethyl-3-[(phenylmethoxy)carbonyl]-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:846200 CAPLUS

DOCUMENT NUMBER: 138:286986

TITLE: Synthesis of optically active 2,2-difluorohomoallyl alcohols by lipase-catalyzed transesterification

AUTHOR(S): Kirihsara, Masayuki; Kawasaki, Masashi; Katsumata, Hiroki; Kakuda, Hiroko; Shiro, Motoo; Kawabata, Shigeki

CORPORATE SOURCE: Department of Materials Science, Shizuoka Institute of Science and Technology, Fukuroi, Shizuoka, 437-8555, Japan

SOURCE: Tetrahedron: Asymmetry (2002), 13(20), 2283-2289

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:286986

AB Racemic 2,2-difluorohomoallyl alcs. could be resolved into (R)-alcs. and (S)-acetates through Pseudomonas fluorescens lipase-catalyzed enantioselective transesterification. The utility of the resulting chiral, non-racemic 2,2-difluorohomoallyl alcs. was demonstrated by conversion of one of the (S)-acetates into a synthetically important 2,2-difluoro-3-hydroxycarboxylate derivative

IT 505068-93-9P

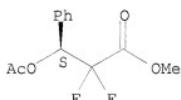
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active carboxylated compound derived from their corresponding difluorohomoallyl alc.)

RN 505068-93-9 CAPLUS

CN Benzenepropanoic acid,  $\beta$ -(acetyloxy)- $\alpha,\alpha$ -difluoro-, methyl ester, ( $\beta$ S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:675024 CAPLUS

DOCUMENT NUMBER:

TITLE:

138:122444

Methyl 3,3-difluoro-2-trimethylsilyloxyacrylate: preparation and Mukaiyama-type aldol condensation as a novel route to  $\beta,\beta$ -difluoro- $\alpha$ -keto ester derivatives

AUTHOR(S):

Jiang, Biao; Zhang, Xiaobing; Shi, Guoqiang

CORPORATE SOURCE:

Shanghai Institute of Organic Chemistry, State Key Laboratory of Organometallic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE:

Tetrahedron Letters (2002), 43(38), 6819-6821

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

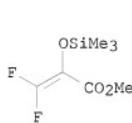
LANGUAGE:

English

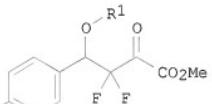
OTHER SOURCE(S):

CASREACT 138:122444

GI



I



II

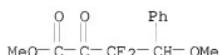
AB Mukaiyama-type aldol condensation of arylaldehyde acetals occurs smoothly with Me 3,3-difluoro-2-trimethylsilyloxyacrylate (I, derived from Et 3,3-difluoro-2-benzylxoyacrylate) when catalyzed by a Lewis acid, allowing preparation of 4-alkyloxy-3,3-difluoro-2-keto esters II (R = H, Cl, OMe, NO<sub>2</sub>, R1 = Me; R = F, R1 = Et).

IT 491612-53-4P 491612-54-5P 491612-55-6P  
491612-56-7P 491612-57-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of  $\alpha$ -keto- $\beta,\beta$ -difluoro esters via  
debenzylation/silylation of  $\alpha$ -benzyloxy- $\beta,\beta$ -  
difluoroacrylate followed by Lewis acid-catalyzed Mukaiyama-type aldol  
condensation with di-Me acetals of aromatic aldehydes)

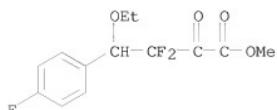
RN 491612-53-4 CAPLUS

CN Benzenesbutanoic acid,  $\beta,\beta$ -difluoro- $\gamma$ -methoxy- $\alpha$ -oxo-,  
methyl ester (CA INDEX NAME)

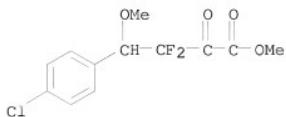


RN 491612-54-5 CAPLUS

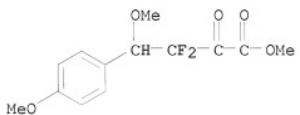
CN Benzenesbutanoic acid,  $\gamma$ -ethoxy- $\beta,\beta$ ,4-trifluoro- $\alpha$ -oxo-,  
methyl ester (CA INDEX NAME)



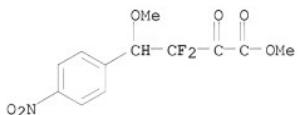
RN 491612-55-6 CAPLUS  
CN Benzenebutanoic acid, 4-chloro- $\beta$ , $\beta$ -difluoro- $\gamma$ -methoxy-  
 $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



RN 491612-56-7 CAPLUS  
CN Benzenebutanoic acid,  $\beta$ , $\beta$ -difluoro- $\gamma$ ,4-dimethoxy- $\alpha$ -  
oxo-, methyl ester (CA INDEX NAME)



RN 491612-57-8 CAPLUS  
CN Benzenebutanoic acid,  $\beta$ , $\beta$ -difluoro- $\gamma$ -methoxy-4-nitro-  
 $\alpha$ -oxo-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:586220 CAPLUS

DOCUMENT NUMBER: 139:230959

TITLE: A concise synthesis of L-4,4-difluoroglutamine.  
[Erratum to document cited in CA136:217020]

AUTHOR(S): Meffre, Patrick; Dave, Rajesh H.; Leroy, Jacques;  
Badet, Bernard

CORPORATE SOURCE: ENSCP, UMR 7573-CNRS, Paris, 75231, Fr.

SOURCE: Tetrahedron Letters (2002), 43(35), 6279

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Re-examination of the structural assignments of the final product reported showed that the product obtained after amino group deprotection was actually L-4,4-difluoroglutamic acid due to concomitant hydrolytic cleavage of the amide group. This problem could be circumvented by using a different amino protecting group which could be removed under non-hydrolytic conditions. Anal. data given in reference 23 on page 8627 correspond indeed to L-4,4-difluoroglutamic acid and are in agreement with the previously described data for the same compound (Konas et al., 1999;

Ding et al., 2001). Mol. mass for L-4,4-difluoroglutamic acid is M = 183 u vs. M = 182 u for L-4,4-difluoroglutamine. Supplementary MS and microanal. data are given. The analyses of the Boc-protected amide are consistent with the proposed structure.

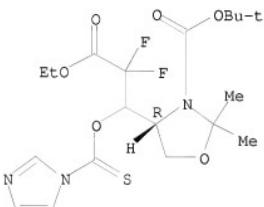
IT 401915-30-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(concise preparation of L-4,4-difluoroglutamine starting from Garner's aldehyde with Reformatskii reaction as key step (Erratum))

RN 401915-30-8 CAPLUS

CN 4-Oxazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-2,2-dimethyl-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2002:1324 CAPLUS

DOCUMENT NUMBER: 136:325124

TITLE: Novel rearrangement of secondary alkoxyalkyl radicals during addition to a double bond. Steric shielding in the formation of tertiary alkoxyethyl radicals

Paleta, Oldrich; Hajduch, Jan; Bohm, Stanislav

Department of Organic Chemistry, Prague Institute of Chemical Technology, Prague, 16628, Czech Rep.

Tetrahedron Letters (2002), 43(3), 481-485

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:325124

AB The participation of a 1,3-hydrogen shift in initially formed secondary alkoxyethyl radicals R1R2CH-O-CH<sub>n</sub>-CH<sub>3</sub> during their free-radical chain addns. to Me 2,3,3-trifluoroacrylate has been confirmed using a deuterium marked additive. Indirect evidence has been obtained for a partial 1,3-hydrogen shift in secondary radicals CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>-CH<sub>n</sub>-O-CH<sub>3</sub> to primary radicals CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>V. Initial formation of tertiary alkoxyethyl radicals R1R2CV-O-CHR3R4 in the propagation step was not observed due to steric factors.

IT 412310-49-7P

RL: BYP (Byproduct); PREP (Preparation)  
(rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

RN 412310-49-7 CAPLUS

CN Butanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



IT 412310-43-1P 412310-45-3P 412310-48-6P  
 412310-50-0P 412310-52-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (rearrangement of secondary alkoxyalkyl radicals during addition to a double bond)

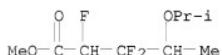
RN 412310-43-1 CAPLUS

CN Heptanoic acid, 4-butoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



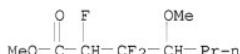
RN 412310-45-3 CAPLUS

CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy)-, methyl ester (CA INDEX NAME)



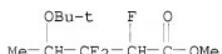
RN 412310-48-6 CAPLUS

CN Heptanoic acid, 2,3,3-trifluoro-4-methoxy-, methyl ester (CA INDEX NAME)



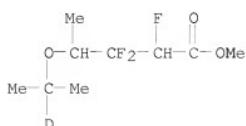
RN 412310-50-0 CAPLUS

CN Pentanoic acid, 4-(1,1-dimethylethoxy)-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



RN 412310-52-2 CAPLUS

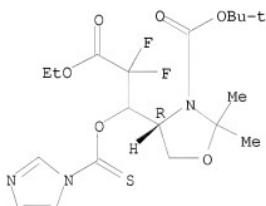
CN Pentanoic acid, 2,3,3-trifluoro-4-(1-methylethoxy-1-d)-, methyl ester (9CI) (CA INDEX NAME)



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:831828 CAPLUS  
DOCUMENT NUMBER: 136:217020  
TITLE: A concise synthesis of L-4,4-difluoroglutamine  
AUTHOR(S): Meffre, Patrick; Dave, Rajesh H.; Leroy, Jacques;  
Badet, Bernard  
CORPORATE SOURCE: UMR 7573-CNRS, ENSCP, Paris, F-75231, Fr.  
SOURCE: Tetrahedron Letters (2001), 42(49), 8625-8627  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 136:217020  
AB L-4,4-Difluoroglutamine of high optical purity was prepared from (R)-Garner's aldehyde [tert-Bu (4R)-formyl-2,2-dimethyloxazolidine-3-carboxylate] using Reformatskii reaction as the key step for introducing the fluorinated side-chain.  
IT 401915-30-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(concise preparation of L-4,4-difluoroglutamine starting from Garner's aldehyde with Reformatskii reaction as key step)  
RN 401915-30-8 CAPLUS  
CN 4-Oxazolidinepropanoic acid, 3-[{(1,1-dimethylethoxy)carbonyl}- $\alpha$ , $\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-2,2-dimethyl-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

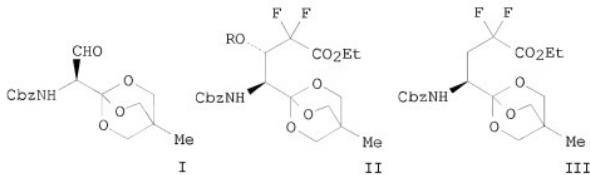


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:619600 CAPLUS  
DOCUMENT NUMBER: 135:344696  
TITLE: Synthesis of L-4,4-difluoroglutamic acid via nucleophilic addition to a chiral aldehyde  
AUTHOR(S): Ding, Yun; Wang, Jianqiang; Abboud, Khalil A.; Xu, Yuelian; Dolbier, William R., Jr.; Richards, Nigel G. J.  
CORPORATE SOURCE: Department of Chemistry, University of Florida, Gainesville, FL, 32611-7200, USA  
SOURCE: Journal of Organic Chemistry (2001), 66(19), 6381-6388  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

OTHER SOURCE(S):  
GI

CASREACT 135:344696



AB This work reports a new, flexible route to enantiomerically pure L-4,4-difluoroglutamic acid. The key reaction step was the addition of difluorinated nucleophile, Reformatskii reagent (derived from Et bromodifluoroacetate and zinc), to the configurationally stable aminoaldehyde I. The resulting intermediate hydroxy ester II ( $R = OH$ ) was converted to oxythiocarbonylimidazole derivative II ( $R = 1-$ -imidazoylthiocarbonyl), which underwent Barton-McCombie dehydroxylation to the protected difluoroester III. Acid hydrolysis of III gave the title product.

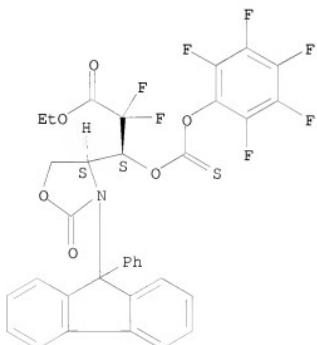
IT 371155-43-0P 371155-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of chiral difluoroglutamic acid via nucleophilic addition of Reformatskii reagent to serine-derived chiral aminoaldehyde)

RN 371155-43-0 CAPLUS

CN 4-Oxazolidinepropanoic acid,  $\alpha,\alpha$ -difluoro-2-oxo- $\beta$ -[(pentafluorophenoxy)thioxomethoxy]-3-(9-phenyl-9H-fluoren-9-yl)-, ethyl ester, (BS,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

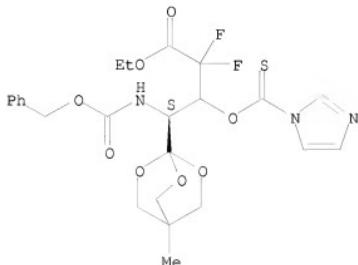


RN 371155-46-3 CAPLUS

CN 2,6,7-Trioxabicyclo[2.2.2]octane-1-butanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-4-methyl- $\gamma$ -[(phenylmethoxy)carbonyl]aminol-, ethyl ester, ( $\gamma S$ )- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

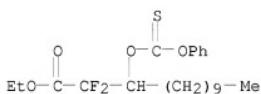
L10 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:481438 CAPLUS  
DOCUMENT NUMBER: 135:210736  
TITLE: A Novel Strategy for the Synthesis of  $\omega$ -Functionalized Perfluoroalkyl Iodides  
AUTHOR(S): Szlavik, Zoltan; Tarkanyi, Gabor; Skribanek, Zsolt;  
Vass, Elemer; Rabai, Jozsef  
CORPORATE SOURCE: Department of Organic Chemistry, Eotvos University,  
Budapest, H-1518, Hung.  
SOURCE: Organic Letters (2001), 3(15), 2365-2366  
CODEN: ORLEF7; ISSN: 1523-7060  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 135:210736  
AB The applicability of telomeric alcs.,  $H(CF_2CF_2)_nCH_2OH$  [ $n = 5$ ], for the synthesis of  $\omega$ -functionalized F-alkylating reagents,  $I(CF_2CF_2)_n-1CH_2OAc$ , is demonstrated. The key steps of this optimized method are the activation of the  $HCF_2$ - terminus in a lithiation process yielding ( $Z+E$ )- $BuCF_2:CF(CF_2CF_2)4CH_2OH$  [I, 86%] and a successive ozonation reaction in trifluoroethanol media affording  $CF_3CH_2O_2C(CF_2CF_2)4CH_2OH$  [93%]. This compound underwent addition reaction with 1-undecene to give  $Me(CH_2)_8CH_2CF_2(CF_2)_8CH_2OAc$ . Highly stereospecific ozone cleavage of ( $E$ )-I was observed in methanol due to the competitive oxidation of the solvent.  
IT 358352-39-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of functionalized polyfluoroalkyl acetates)  
RN 358352-39-3 CAPLUS  
CN Decanoic acid, 10-(acetoxy)-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoro-, monosilver(1+) salt (9CI) (CA INDEX NAME)

$ACO-\text{CH}_2-(CF_2)_8-\text{CO}_2H$

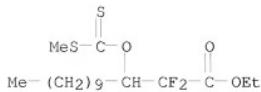
● Ag(I)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

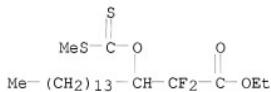
L10 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2000:841344 CAPLUS  
DOCUMENT NUMBER: 134:131064  
TITLE: Practical and efficient synthesis of alkyl, alkenyl and aryl-alkyl  $\alpha,\alpha$ -difluoro esters as precursors of potential inhibitors of the pheromone catabolism in insects  
AUTHOR(S): Jimenez, Oscar; Bosch, Maria Pilar; Guerrero, Angel  
CORPORATE SOURCE: Department of Biological Organic Chemistry, Institute of Chemical and Environmental Research (CSIC), Barcelona, E-08034, Spain  
SOURCE: Synthesis (2000), (13), 1917-1924  
PUBLISHER: Georg Thieme Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 134:131064  
AB An efficient method for the synthesis of long chain alkyl, alkenyl and aryl-alkyl  $\alpha,\alpha$ -difluoro esters through reductive cleavage of the corresponding S-Me dithiocarbonates with diphenylphosphine oxide and di-*tert*-Bu peroxide as initiator is reported. The  $\alpha,\alpha$ -difluoro esters have been obtained for the first time and in good overall yields. A limitation of the method is the presence of radical-sensitive functions, such as disubstituted double or triple bonds, in the substrate since the concomitant addition of the phosphonyl radical to the unsatd. carbons may induce isomerization of the double bond or polymerization. If stereomerically pure alkenyl  $\alpha,\alpha$ -difluoro esters are required, it is suggested to run the reductive cleavage on the S-Me dithiocarbonate of the acetylenic precursor followed by stereoselective hydrogenation to the alkene.  
IT 321856-74-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation  $\alpha,\alpha$ -difluoro esters by reductive dehydroxylation of  $\alpha,\alpha$ -difluoro  $\beta$ -hydroxy esters)  
RN 321856-74-0 CAPLUS  
CN Tridecanoic acid, 2,2-difluoro-3-(phenoxythioxomethoxy)-, ethyl ester (CA INDEX NAME)



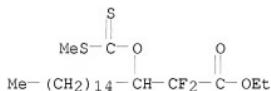
IT 321856-44-4P 321856-46-6P 321856-48-8P  
321856-50-2P 321856-52-4P 321856-54-6P  
321856-56-8P 321856-58-0P 321856-59-1P  
321856-61-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation  $\alpha,\alpha$ -difluoro esters by reductive dehydroxylation of  $\alpha,\alpha$ -difluoro  $\beta$ -hydroxy esters)  
RN 321856-44-4 CAPLUS  
CN Tridecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



RN 321856-46-6 CAPLUS  
 CN Heptadecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

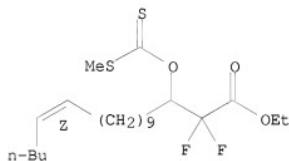


RN 321856-48-8 CAPLUS  
 CN Octadecanoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



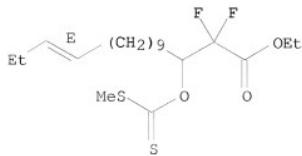
RN 321856-50-2 CAPLUS  
 CN 13-Octadecenoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester, (13Z)- (CA INDEX NAME)

Double bond geometry as shown.

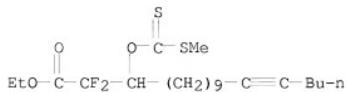


RN 321856-52-4 CAPLUS  
 CN 13-Hexadecenoic acid, 2,2-difluoro-3-[(methylthio)thioxomethoxy]-, ethyl ester, (13E)- (CA INDEX NAME)

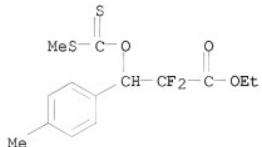
Double bond geometry as shown.



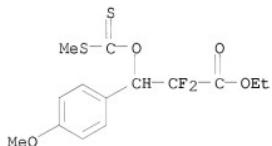
RN 321856-54-6 CAPLUS  
CN 13-Octadecenoic acid, 2,2-difluoro-3-[ (methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



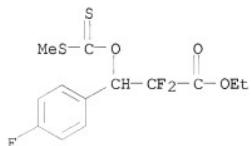
RN 321856-56-8 CAPLUS  
CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro-4-methyl- $\beta$ -[ (methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



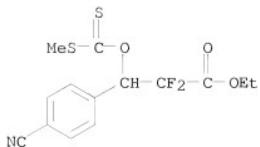
RN 321856-58-0 CAPLUS  
CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro-4-methoxy- $\beta$ -[ (methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



RN 321856-59-1 CAPLUS  
CN Benzenepropanoic acid,  $\alpha,\alpha$ ,4-trifluoro- $\beta$ -[ (methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)

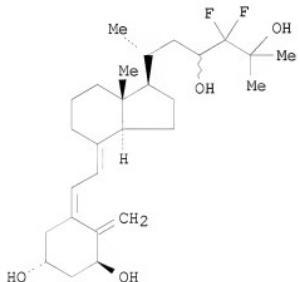


RN 321856-61-5 CAPLUS  
CN Benzenepropanoic acid, 4-cyano- $\alpha,\alpha$ -difluoro- $\beta$ -[ (methylthio)thioxomethoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:3010 CAPLUS  
 DOCUMENT NUMBER: 130:168539  
 TITLE: Synthesis and biological evaluation of (23R)- and (23S)-24,24-difluoro-1 $\alpha$ ,23,25-trihydroxyvitamin D3  
 AUTHOR(S): Iwasaki, Hiroshi; Miyamoto, Yoichi; Hosotani, Ryuzo;  
 Nakano, Yoshio; Konno, Katsuhiro; Takayama, Hiroaki  
 CORPORATE SOURCE: Tsukuba Research Laboratory, NOF Corporation, Tsukuba,  
 300-2635, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(12),  
 1932-1935  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 130:168539  
 GI



I

AB The syntheses and biol. evaluations of (23R)- and (23S)-24,24-difluoro-1 $\alpha$ ,23,25-trihydroxyvitamin D3 I, new C-24 fluorinated analogs of 1 $\alpha$ ,25-dihydroxyvitamin D3, are described. The syntheses of these compds. were achieved in steps from (5Z,7E,20R)-1 $\alpha$ ,3 $\beta$ -bis-[(tert-butyldimethylsilyl)oxy]-20-formylmethyl-9,10-seco-5,7,10(19)pregnatriene which is derived from vitamin D2. The absolute configuration at the C-23 position of I was determined by the modified Mosher method. The relative affinities of R- and S-I to the vitamin D receptor were both 10 and 14 times lower than that of 1 $\alpha$ ,25-dihydroxyvitamin D3, and to vitamin D binding protein were also both 130 and 40 times lower. The HL-60 cell differentiating activity of R-I was 6 times more

potent than that of 1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>, while there was no remarkable difference in activity between S-I and 1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>.

IT 220370-07-0P 220370-08-1P 220370-09-2P  
220370-10-5P

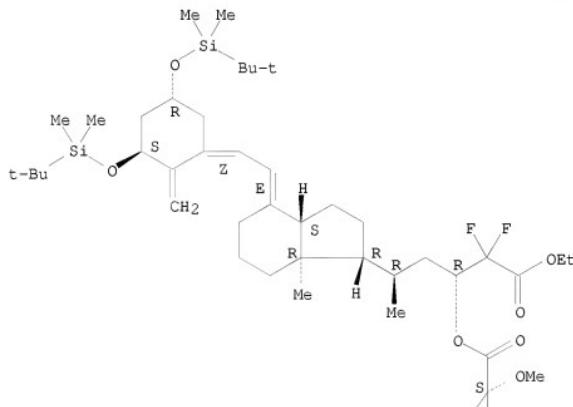
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis and biol. evaluation of (23R)- and (23S)-24,24-difluoro-1 $\alpha$ ,23,25-trihydroxyvitamin D<sub>3</sub>)

RN 220370-07-0 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy-, ethyl ester, (1 $\alpha$ ,3 $\beta$ ,5 $\gamma$ ,7E,23R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



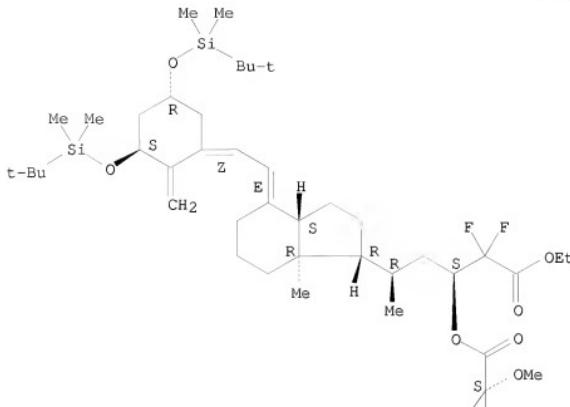
PAGE 2-A



RN 220370-08-1 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy-, ethyl ester, (1 $\alpha$ ,3 $\beta$ ,5 $\gamma$ ,7E,23S)-(9CI) (CA INDEX NAME)

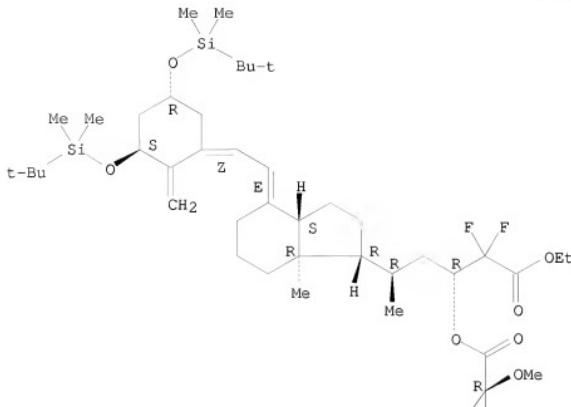
Absolute stereochemistry.  
Double bond geometry as shown.



RN 220370-09-2 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxyl]-24,24-difluoro-23-[{(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy}-, ethyl ester, (1 $\alpha$ ,3 $\beta$ ,5Z,7E,23R)-(9CI) (CA INDEX NAME)

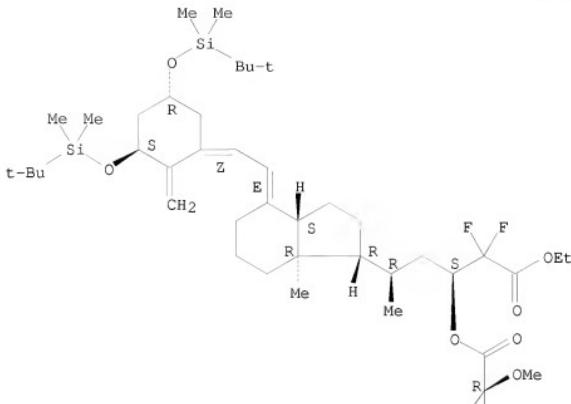
Absolute stereochemistry.  
Double bond geometry as shown.



RN 220370-10-5 CAPLUS

CN 9,10-Secochola-5,7,10(19)-triene-24-carboxylic acid, 1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxyl]-24,24-difluoro-23-[(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy]-, ethyl ester, (1 $\alpha$ ,3 $\beta$ ,5Z,7E,23S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:454154 CAPLUS  
 DOCUMENT NUMBER: 129:189593  
 TITLE: Synthesis of 2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranosyl nucleosides  
 AUTHOR(S): Kotra, Lakshmi P.; Newton, M. Gary; Chu, Chung K.  
 CORPORATE SOURCE: Department of Medicinal Chemistry, College of Pharmacy, The University of Georgia, Athens, GA, 30602-2352, USA  
 SOURCE: Carbohydrate Research (1998), 306(1-2), 69-80  
 CODEN: CRBRAT; ISSN: 0008-6215  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Various 2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranosyl nucleosides were synthesized via the key intermediate, 5-O-benzoyl-2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranose. 2,3-O-Isopropylidene-L-glyceraldehyde was coupled with Et bromodifluoroacetate under Reformatsky conditions to obtain the diastereomeric mixture of Et (4S)-3-hydroxy-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-difluoro propionate. Treatment with carbon disulfide, sodium hydride and Me iodide followed by reduction afforded Et (4S)-3-(2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-difluoro propionate. This compound was treated with 5% HCl in ethanol, followed by refluxing in benzene under Dean-Stark conditions, to afford the lactone. The lactone was protected and reduced to afford the key intermediate,

5-O-benzoyl-2,3-dideoxy-2,2-difluoro-L-glycero-pentofuranose. For the synthesis of pyrimidine derivs., the intermediate was converted to the mesylate and condensed with various silyl protected pyrimidine bases. The inosine and adenine derivs. were obtained from the intermediate and 6-chloropurine using standard procedures. The compds. were evaluated for their antiviral activity against HIV-1, HBV, HSV-1 and HSV-2, and for cellular toxicity. None of the synthesized compds. showed any significant activity or toxicity. Single-crystal X-ray structure of 1-(2,3-dideoxy-2,2-difluoro- $\beta$ -L-glycero-pentofuranosyl)-5-iodocytosine suggested a 2'-exo/3'-endo conformation for the carbohydrate moiety.

IT 211807-31-7P 211807-62-4P

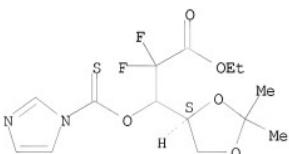
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dideoxydifluoroglyceropentofuranosyl nucleosides)

RN 211807-31-7 CAPLUS

CN L-glycero-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethyldiene)-, ethyl ester, 1H-imidazole-1-carbothioate, (3S)- (9CI) (CA INDEX NAME)

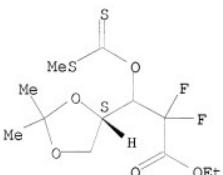
Absolute stereochemistry.



RN 211807-62-4 CAPLUS

CN L-glycero-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethyldiene)-, ethyl ester, S-methyl carbonodithioate, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:450902 CAPLUS

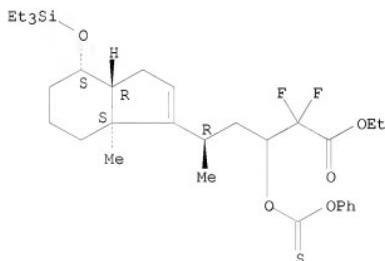
DOCUMENT NUMBER: 129:203135

TITLE: Noncalcemic, Antiproliferative, Transcriptionally Active, 24-Fluorinated Hybrid Analogs of the Hormone 1 $\alpha$ ,25-Dihydroxyvitamin D<sub>3</sub>. Synthesis and Preliminary Biological Evaluation

AUTHOR(S): Posner, Gary H.; Lee, Jae Kyoo; Wang, Qiang; Peleg, Sara; Burke, Martin; Brem, Henry; Dolan, Patrick; Kensler, Thomas W.

CORPORATE SOURCE: Department of Chemistry, Johns Hopkins University,  
 Baltimore, MD, 21218, USA  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(16),  
 3008-3014  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Four new hybrid analogs of  $\alpha$ , $\omega$ -dihydroxyvitamin D<sub>3</sub> have been synthesized in a convergent manner by joining A-ring and C,D-ring fragments. Each hybrid analog, having a noncalcemic 1-hydroxymethyl group and a potentiating 16-ene 24,24-difluorinated C,D-ring side chain, was designed to be lipophilic and inert toward 24-hydroxylase enzyme catabolism. Each hybrid analog with 1 $\beta$ ,3 $\alpha$ -substituent stereochem. showed a pharmacol. desirable combination of in vitro high antiproliferative activity in two different cell lines and high transcriptional activity with also low calcemic activity in vivo.  
 IT 212124-41-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of noncalcemic, antiproliferative, transcriptionally active, 24-fluorinated hybrid analogs of  $\alpha$ , $\omega$ -dihydroxyvitamin D<sub>3</sub>)  
 RN 212124-41-9 CAPLUS  
 CN 1H-Indene-3-pentanoic acid,  $\alpha$ , $\alpha$ -difluoro-3a,4,5,6,7,7a-hexahydro- $\delta$ ,3a-dimethyl- $\beta$ -(phenoxythioxomethoxy)-7-[(triethylsilyl)oxyl]-, ethyl ester, ( $\delta$ R,3aS,7S,7aR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:396827 CAPLUS  
 DOCUMENT NUMBER: 129:122385  
 TITLE: New expedient route to the stereoselective synthesis of fluorinated 1,3-diol derivatives via aluminum acetals derived from  $\beta$ -alkoxy esters and DIBAL  
 AUTHOR(S): Ishihara, Takashi; Takahashi, Atsuya; Hayashi, Hidetoshi; Yamanaka, Hiroki; Kubota, Toshio  
 CORPORATE SOURCE: Department of Chemistry and Materials Technology, Kyoto Institute of Technology, Kyoto, 606-8585, Japan  
 SOURCE: Tetrahedron Letters (1998), 39(26), 4691-4694  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 129:122385

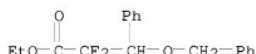
AB On treating the aluminum acetal intermediates, generated in situ from Et 3-benzyloxy-2,2-difluoroalkanoates or 3-benzyloxy-4,4,4-trifluorobutanoate and diisobutylaluminum hydride at -78 °C for 1 h, with allylic stannanes in the presence of titanium(IV) dichloride diisopropoxide at 0 °C for 8 h or at -30 °C for 6 h, the corresponding allylated products, polyfluoro-1,3-diol derivs., were obtained in good yields with high anti stereoselectivity.

IT 171251-57-3 210352-19-5 210352-20-8  
210352-21-9 210352-22-0 210352-23-1  
210352-25-3 210352-26-4 210352-27-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(stereoselective preparation of fluorinated 1,3-diols via aluminum acetals derived from β-alkoxy esters)

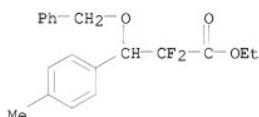
RN 171251-57-3 CAPLUS

CN Benzenepropanoic acid, α,α-difluoro-β-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



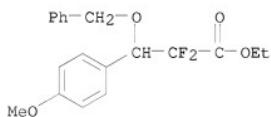
RN 210352-19-5 CAPLUS

CN Benzenepropanoic acid, α,α-difluoro-4-methyl-β-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



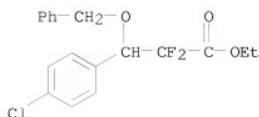
RN 210352-20-8 CAPLUS

CN Benzenepropanoic acid, α,α-difluoro-4-methoxy-β-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)

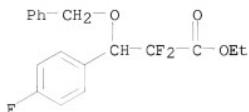


RN 210352-21-9 CAPLUS

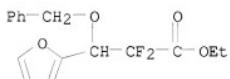
CN Benzenepropanoic acid, 4-chloro-α,α-difluoro-β-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



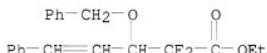
RN 210352-22-0 CAPLUS  
CN Benzenepropanoic acid,  $\alpha,\alpha$ ,4-trifluoro- $\beta$ -(phenylmethoxy)-,  
ethyl ester (CA INDEX NAME)



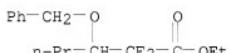
RN 210352-23-1 CAPLUS  
CN 2-Furanpropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(phenylmethoxy)-,  
ethyl ester (CA INDEX NAME)



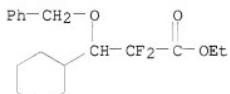
RN 210352-25-3 CAPLUS  
CN 4-Pentenoic acid, 2,2-difluoro-5-phenyl-3-(phenylmethoxy)-, ethyl ester  
(CA INDEX NAME)



RN 210352-26-4 CAPLUS  
CN Hexanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX  
NAME)



RN 210352-27-5 CAPLUS  
CN Cyclohexanepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(phenylmethoxy)-,  
ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:330478 CAPLUS

DOCUMENT NUMBER: 129:54564  
TITLE: Synthesis of  $\beta$ -difluorine-containing amino acids  
AUTHOR(S): Li, Keqiang; Leriche, Caroline; Liu, Hung-Wen  
CORPORATE SOURCE: Department of Chemistry, University of Minnesota,  
Minneapolis, MN, 55455, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(9),  
1097-1100  
CODEN: BMCL8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 129:54564

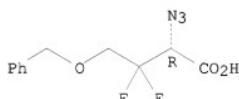
AB A convenient strategy was developed to prepare several  $\beta,\beta$ -difluoroamino acids. 5,6-O-isopropylidene-L-isoascorbic acid was the starting material for the syntheses of 3,3-difluoro-L-homocysteine, 3,3-difluoro-L-homoserine and 3,3-difluoro-L-methionine. This approach has the potential to synthesize other  $\beta,\beta$ -difluoroamino acids.

IT 208755-96-8P 208755-97-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of  $\beta,\beta$ -difluoroamino acids)

RN 208755-96-8 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

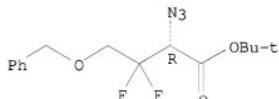
Absolute stereochemistry.



RN 208755-97-9 CAPLUS

CN Butanoic acid, 2-azido-3,3-difluoro-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:227021 CAPLUS  
DOCUMENT NUMBER: 128:323921  
TITLE: Lubricants and magnetic recording media using them  
INVENTOR(S): Furuya, Takahiro; Sasamoto, Sayaka  
PATENT ASSIGNEE(S): Hitachi Maxell, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10095991	A	19980414	JP 1996-254260	19960926

PRIORITY APPLN. INFO.:  
 AB Lubricants for magnetic recording media are compds. having F-containing polyether blocks of  $(CH_2CF_2CF_2O)_l$  and  $(CHFCF_2CF_2O)_m$ , where l or m  $\geq 1$  and  $2 \leq l+m \leq 200$ , and at least one terminal end having ammonium salt group. The lubricants provide improved lubricity and durability of magnetic recording media.

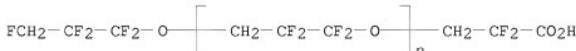
IT 206852-52-0P 206852-53-1P 206852-54-2P  
 206852-55-3P 206852-56-4P 206852-57-5P  
 206852-60-0P 206852-62-2P 206852-65-5P  
 206852-69-9P 206852-70-2P 206852-72-4P  
 RL: IMF (Industrial manufacture); NUU (Other use, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (lubricant; lubricants and magnetic recording media using them)

RN 206852-52-0 CAPLUS

CN 1-Octadecanamine, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8  
 CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
 CCI PMS



CM 2

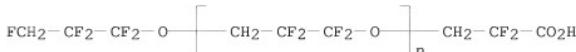
CRN 124-30-1  
 CMF C<sub>18</sub> H<sub>39</sub> N

H<sub>2</sub>N-(CH<sub>2</sub>)<sub>17</sub>-Me

RN 206852-53-1 CAPLUS  
 CN 9-Octadecen-1-amine, (9Z)-, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8  
 CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
 CCI PMS



CM 2

CRN 112-90-3  
CMF C18 H37 N

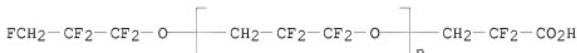
Double bond geometry as shown.



RN 206852-54-2 CAPLUS  
CN 1-Octanamine, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8  
CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
CCI PMS



CM 2

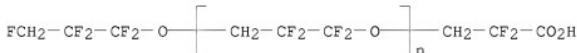
CRN 111-86-4  
CMF C<sub>8</sub> H<sub>19</sub> N



RN 206852-55-3 CAPLUS  
CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8  
CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
CCI PMS



CM 2

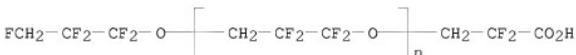
CRN 121-44-8  
CMF C<sub>6</sub> H<sub>15</sub> N



RN 206852-56-4 CAPLUS  
 CN 1-Octanamine, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8  
 CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
 CCI PMS



CM 2

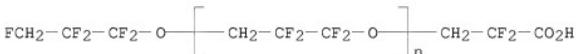
CRN 307-29-9  
 CMF C<sub>8</sub> H<sub>4</sub> F<sub>15</sub> N



RN 206852-57-5 CAPLUS  
 CN Benzenamine, 4-phenoxo-, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

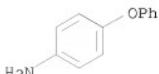
CM 1

CRN 104677-65-8  
 CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
 CCI PMS



CM 2

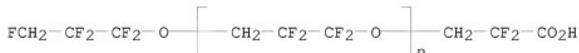
CRN 139-59-3  
 CMF C<sub>12</sub> H<sub>11</sub> N O



RN 206852-60-0 CAPLUS  
CN 1,3-Benzodioxole-5-methanamine, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

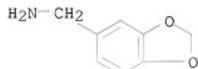
CM 1

CRN 104677-65-8  
CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
CCI PMS



CM 2

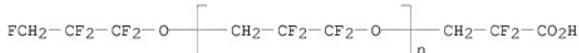
CRN 2620-50-0  
CMF C<sub>8</sub> H<sub>9</sub> N O<sub>2</sub>



RN 206852-62-2 CAPLUS  
CN Benzenamine, 4-methoxy-, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

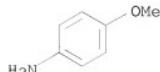
CM 1

CRN 104677-65-8  
CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>  
CCI PMS



CM 2

CRN 104-94-9  
CMF C<sub>7</sub> H<sub>9</sub> N O



RN 206852-65-5 CAPLUS  
CN Benzenamine, 4-(trifluoromethyl)-, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-

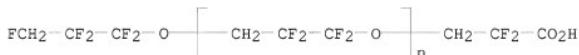
tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>

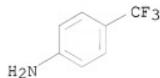
CCI PMS



CM 2

CRN 455-14-1

CMF C<sub>7</sub> H<sub>6</sub> F<sub>3</sub> N



RN 206852-69-9 CAPLUS

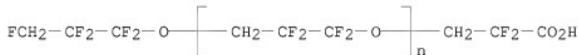
CN [1,1'-Biphenyl]-4-amine, compd. with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>

CCI PMS



CM 2

CRN 92-67-1

CMF C<sub>12</sub> H<sub>11</sub> N



RN 206852-70-2 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy)-, ammonium salt (9CI) (CA INDEX NAME)



● NH<sub>3</sub>

RN 206852-72-4 CAPLUS

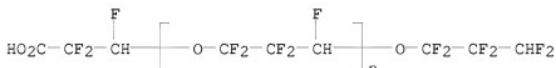
CN 1-Octadecanamine, compd. with  $\alpha$ -(2-carboxy-1,2,2-trifluoroethyl)- $\omega$ -(1,1,2,2,3,3-hexafluoropropoxy)poly[oxy(1,1,2,2,3-pentafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 206852-71-3

CMF (C<sub>3</sub> H F<sub>5</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>3</sub> F<sub>9</sub> O<sub>3</sub>

CCI PMS



CM 2

CRN 124-30-1

CMF C<sub>18</sub> H<sub>39</sub> N

H<sub>2</sub>N-(CH<sub>2</sub>)<sub>17</sub>-Me

L10 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:346080 CAPLUS

DOCUMENT NUMBER: 127:50911

TITLE: The first syntheses of GLA-60 positional isomers and their biological activities

AUTHOR(S): Shiozaki, Masao; Arai, Masami; Macindoe, Wallace M.; Mochizuki, Takashi; Wakabayashi, Takanori; Kurakata, Shin-Ichi; Tatsuta, Tohru; Maeda, Hiroaki; Nishijima, Masahiro

CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140, Japan

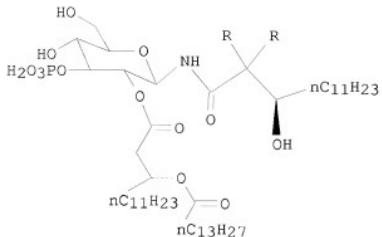
SOURCE: Bulletin of the Chemical Society of Japan (1997), 70(5), 1149-1161

PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Six GLA-60 positional isomers , e.g. I (R = H, F), were synthesized to investigate their biol. activities. I (R = H) exhibited potent agonistic activity, on TNF $\alpha$  production toward human monoblastic U937 cells. TNF $\alpha$  production (% control; 10 ng ml $^{-1}$  of LPS = 100) of I (R = H) in the concentration of 10  $\mu$ M was 611, and that of lipid A in the same concentration was

651. In contrast, the difluorinated compds. showed little agonistic activity on TNF $\alpha$  production

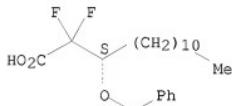
IT 191157-59-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of GLA-60 positional isomers and their agonistic activities)

RN 191157-59-2 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:250727 CAPLUS

DOCUMENT NUMBER: 126:240583

TITLE: Magnetic recording media and the apparatus using them  
INVENTOR(S): Koike, Asako; Shoji, Saburo; Nakakawaji, Takayuki;

Murakami, Juko

PATENT ASSIGNEE(S): Hitachi Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09035252	A	19970207	JP 1995-181415	19950718
PRIORITY APPLN. INFO.:			JP 1995-181415	19950718

AB Magnetic recording media having a surface layer formed on a recording layer in which information can be recorded or regenerated by a magnetic head comprise forming a lubricating layer on the surface of recording layer, where the lubricating layer contains the mols. having an adsorption-increasing portion at the terminal end for increasing the adsorption between the terminal and substrate and an aggregation (cohesion)-increasing portion in the middle part of mol. chain for increasing the cohesive energy between adjacent mols., two mol. portions comprising  $\geq 1$  of organic compds. selected from aromatic ring, condensed ring or N-containing aromatic ring compds.

IT 188432-12-4 188432-21-5

RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses)  
(film; magnetic recording media with recording layer coated by lubricant)

RN 188432-12-4 CAPLUS

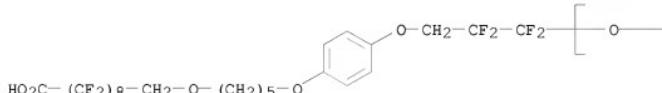
CN Benzenamine, 2-methoxy-, compd. with  $\alpha$ -[3-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoronyl)oxy]pentyl]oxy]phenoxy]-1,1,2,2-tetrafluoropropyl]- $\omega$ -(heptafluoropropoxy)poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-propanediyl)] (1:1) (9CI) (CA INDEX NAME)

CM 1

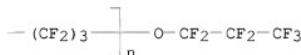
CRN 188432-11-3

CMF (C3 F6 O)n C27 H19 F27 O6  
CCI PMS

PAGE 1-A



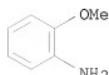
PAGE 1-B



CM 2

CRN 90-04-0

CMF C7 H9 N O



RN 188432-21-5 CAPLUS

CN Benzenamine, 2-methoxy-, compd. with  $\alpha$ -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoronyl)oxy]pentyl]phenoxy]-1,1-difluoroethyl]- $\omega$ -[2-[4-[5-[(9-carboxy-2,2,3,3,4,4,5,5,6,6,7,7,8,8,9,9-hexadecafluoronyl)oxy]pentyl]phenyl]-1,1,2,2-tetrafluoroethoxy]poly[oxy(1,1,2,2,3,3-hexafluoro-1,3-

propanediyl]) (2:1) (9CI) (CA INDEX NAME)

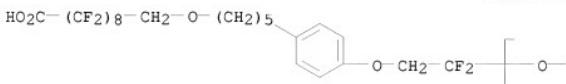
CM 1

CRN 188432-20-4

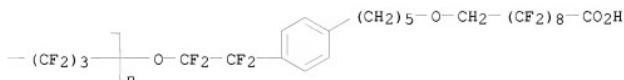
CMF (C<sub>3</sub> F<sub>6</sub> O)<sub>n</sub> C<sub>46</sub> H<sub>36</sub> F<sub>38</sub> O<sub>8</sub>

CCI PMS

PAGE 1-A



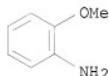
PAGE 1-B



CM 2

CRN 90-04-0

CMF C<sub>7</sub> H<sub>9</sub> N O



L10 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:592222 CAPLUS

DOCUMENT NUMBER: 125:328451

TITLE: Preparation of heterocyclic compounds via carbon-carbon bond formation catalyzed by an antibody

AUTHOR(S): Kitazume, Tomoya; Tsukamoto, Takashi; Murata, Kouichi; Yoshimura, Koutaro

CORPORATE SOURCE: Department of Bioengineering, Tokyo Institute of Technology Nagatsuta, Midori-ku, Yokohama, 226, Japan

SOURCE: Journal of Molecular Catalysis B: Enzymatic (1996), 2(1), 27-31

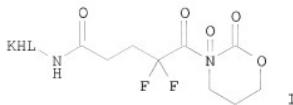
PUBLISHER: CODEN: JMCEF8; ISSN: 1381-1177

DOCUMENT TYPE: Elsevier

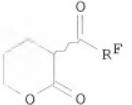
LANGUAGE: Journal

OTHER SOURCE(S): English

GI CASREACT 125:328451



I



II

AB A monoclonal antibody, elicited by a transition-state analog (I; KHL = keyhole limpet hemocyanin), acted as an enzyme-like catalyst for the formation of a carbon-carbon bond in the cyclization of diesters  $\text{RFO}_2(\text{CH}_2)_4\text{CO}_2\text{Me}$  [RF =  $\text{CHF}_2$ ,  $\text{CF}_3$ ] to give chiral  $\delta$ -lactones II. The generation of a carbanion by the action of an abzyme, and the internal nucleophilic attack on an activated functional group, such as a carbonyl and/or imine group with an attached fluoroalkyl group, are described. The method gave (+)-II [RF =  $\text{CHF}_2$ ] in 64% yield and >56% ee, and (+)-II [RF =  $\text{CF}_3$ ] in 57% yield and >54% ee.

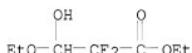
IT 141546-97-6 Ethyl 3-ethoxy-2,2-difluoro-3-hydroxypropionate

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material for antigen; preparation of (fluoroacetoxy)pyrone derivs. from (fluoroacetoxy)pentanoates via antibody-catalyzed carbon-carbon bond formation)

RN 141546-97-6 CAPLUS

CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)



L10 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:328120 CAPLUS

DOCUMENT NUMBER: 125:11369

TITLE: Preparation of N-alkanoyl-3-O-phosphono-1-deoxy-1-amino-D-glucose derivatives as antitumor agents and immunostimulants

INVENTOR(S): Shiosaki, Masao; Arai, Masami; Uoresu, Matsukindoo; Kurakata, Shinichi; Tatsuta, Tooru; Hiraoka, Tetsuo; Nishijima, Masahiro; Akamatsu, Minoru

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

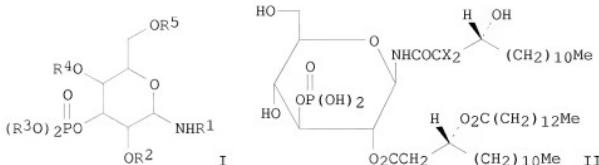
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

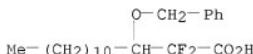
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 08053486	A	19960227	JP 1994-189330	19940811
PRIORITY APPLN. INFO.:			JP 1994-189330	19940811
OTHER SOURCE(S):	MARPAT	125:11369		
GI				



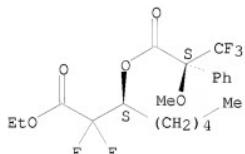
- AB The title compds. [I; R1, R2 = C6-20 alkanoyl optionally having 1-5 substituents selected from a group of substituents such as halo, (un)protected OH, and (un)substituted C6-20 alkanoyloxy; R3 = H, C7-11 aralkyl or C6-10 aryl optionally having 1 or 2 substituents selected from Cl-4 alkoxy and NO2; R4, R5 = H, HO-protecting group], which have excellent macrophage-activating activity and are lipopolysaccharide agonists useful as antitumor agents and immunostimulants and lipopolysaccharide antagonists useful as immunosuppressants and antiinflammatories and for the treatment of autoimmune diseases, are prepared. Thus, azidation of pentaacetyl- $\beta$ -D-glucose with trimethylsilyl azide in the presence of SnCl4 in CH2Cl2 at room temperature (93.6%) and hydrogenation of the resulting 1-deoxy-2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl azide in the presence of Pd(OH)2/C in EtOH (44.4%) gave 1-deoxy-2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosylamine, which underwent N-acylation with (3R)-3-benzylxyloxytetradecanoyl chloride in CH2Cl2 containing Et3N, deacetylation with NaOMe/MeOH, 4,6-O-isopropylidenation with dimethoxypropane in the presence of pyridinium p-toluenesulfonate in DMF, 2-O-esterification with (3R)-3-tetradecanoyloxytetradecanoic acid using DCC and 4-dimethylaminopyridine in Et2O, 3-O-phosphorylation with di-Ph chlorophosphate in the presence of 4-dimethylaminopyridine in CH2Cl2, deisopropylidenation with 90% aqueous AcOH at 60° for 5 h, and two-step hydrogenolysis using 10% Pd-C and then Pt20 in THF to give the title compound (II; X = H). II (X = F) showed ED50 of 0.44  $\mu$ M for the production of tumor necrosis factor  $\alpha$  (TNF- $\alpha$ ) in mouse macrophage J774.1 cells in the absence of lipopolysaccharide as compared to lipopolysaccharide-induced TNF- $\alpha$  production (100%) in the macrophage.
- IT 177086-14-5, 2,2-Difluoro-3-benzylxyloxytetradecanoic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of alkanoyl(alkanoylamino)phosphonodeoxyglucose derivs. as antitumor agents, immunostimulants, immunosuppressants, and antiinflammatories)
- RN 177086-14-5 CAPLUS  
CN Tetradecanoic acid, 2,2-difluoro-3-(phenylmethoxy)- (CA INDEX NAME)



L10 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:1003905 CAPLUS  
 DOCUMENT NUMBER: 124:86700  
 TITLE: Synthesis of chiral difluorinated[6]-gingerol  
 AUTHOR(S): Fukuda, Hiroshi; Tetsu, Makio; Kitazume, Tomoya  
 CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 226, Japan  
 SOURCE: Tetrahedron (1996), 52(1), 157-64  
 CODEN: TETRAB; ISSN: 0040-4020

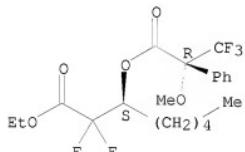
PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 124:86700  
 AB Total synthesis of chiral difluorinated[6]-gingerol, (R)- or (S)-4-HO-3-MeOC<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>COCF<sub>2</sub>CH(OH)(CH<sub>2</sub>)<sub>4</sub>Me, using key intermediates (R)-(-)- and (S)-(-)-Et 2,2-difluoro-3-hydroxyoctanoates, obtained via enzymic resolution with olipase/4S (*Rhizopus japonicus*) is described.  
 IT 172546-97-3P 172721-85-6P  
 RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (total synthesis of chiral difluorinated gingerol via enzymic resolution of difluorohydroxyoctanoate)  
 RN 172546-97-3 CAPLUS  
 CN Benzeneacetic acid,  $\alpha$ -methoxy- $\alpha$ -(trifluoromethyl)-, 1-(2-ethoxy-1,1-difluoro-2-oxoethyl)hexyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172721-85-6 CAPLUS  
 CN Benzeneacetic acid,  $\alpha$ -methoxy- $\alpha$ -(trifluoromethyl)-, 1-(2-ethoxy-1,1-difluoro-2-oxoethyl)hexyl ester, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

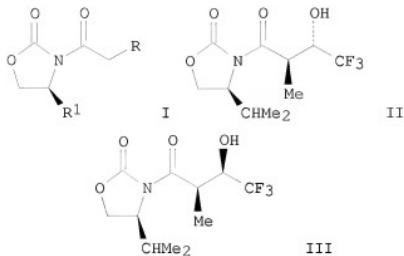
Absolute stereochemistry.



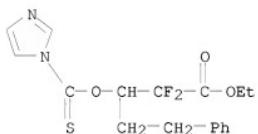
L10 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:1003897 CAPLUS  
 DOCUMENT NUMBER: 124:202076  
 TITLE: Reversal of stereoselectivity in the Evans aldol reaction of  $\alpha,\alpha$ -difluoro and  $\alpha,\alpha$ -trifluoro carbonyl compounds  
 AUTHOR(S): Iseki, Katsuhiro; Oishi, Satoshi; Kobayashi, Yoshiro  
 CORPORATE SOURCE: MEC Lab., Daikin Ind. Inc., Tsukuba, 305, Japan  
 SOURCE: Tetrahedron (1996), 52(1), 71-84  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

OTHER SOURCE(S) :  
G1

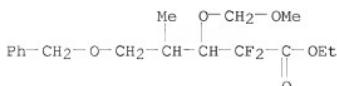
CASREACT 124:202076



- AB The Evans aldol reaction of hexafluoroacetone and trifluoroacetaldehyde causes complete reversal of diastereofacial selectivity. The boron enolates derived from N-acyl oxazolidinones I (R = Me, CH<sub>2</sub>Ph, Bu, R<sub>1</sub> = CHMe<sub>2</sub>, CH<sub>2</sub>Ph) react with F<sub>3</sub>CCHO to give anti and "non-Evans" syn aldols, e.g. II and III, resp., with stereoselectivity in the range of 7:3-17:3. With Ph(CH<sub>2</sub>)<sub>3</sub>CF<sub>2</sub>CHO, a small amount of the normal syn aldol was formed; however, the anti aldol was the major product.
- IT 173974-89-5P 174172-44-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(stereochem. of Evans aldol reaction of acyl oxazolidinones with fluoro carbonyl compds)
- RN 173974-89-5 CAPLUS
- CN Benzenepentanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthiomethoxy)-, ethyl ester (CA INDEX NAME)

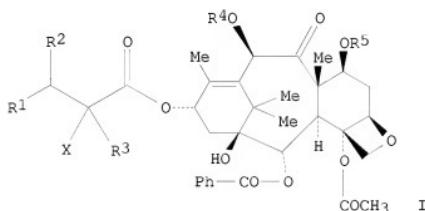


- RN 174172-44-2 CAPLUS
- CN Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



DOCUMENT NUMBER: 124:9049  
TITLE: Preparation of taxol derivatives as antitumors  
INVENTOR(S): Terasawa, Hirofumi; Soga, Tsunehiko; Uoto, Koichi  
PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 07233159	A	19950905	JP 1994-314474	19941219
JP 3400582	B2	20030428		
PRIORITY APPLN. INFO.:			JP 1994-314474	A 19941219
			JP 1993-319888	19931220
OTHER SOURCE(S):		MARPAT	124:9049	
GT				



**AB** The title compds. [I; X = halo; R1 = protected amino, Z-R6; R6 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; Z = NH, O, CO2, etc.; R2 = (un)substituted alkyl, (un)substituted alkenyl, aryl, etc.; R3 = H, alkyl, halo; R4 = H, protecting group; R5 = H, protecting group] are prepared. Thus, a mixture of 7,10-bis(2,2,2-trichloroethoxycarbonyl)-10-deacetylbaaccatin III and 3-(tert-butoxycarbonylamino)-2,2-difluoro-3-phenylpropionic acid (preparation given) in toluene containing 4-(dimethylamino)pyridine and di-2-pyridyl carbonate was heated at 80° for 60 h to give I [R1 = tBu-O2C-NH, R2 = Ph, R3 = X = fluoro, R4 = R5 = CO2-CH2-CCl3], which was treated with zinc in HOAc-MeOH at 60° for 15 min to give I [R1 = tBu-O2C-NH, R2 = Ph, R3 = X = fluoro, R4 = R5 = H]. In an in vitro study using P388 tumor cells, this had a GI50 value (concentration inhibiting 50% of tumor cell growth) of 21.0 ng/mL vs. taxol's 30.4 ng/mL.

IT 171250-98-9P 171250-99-0P 171338-89-9P  
171338-90-2P

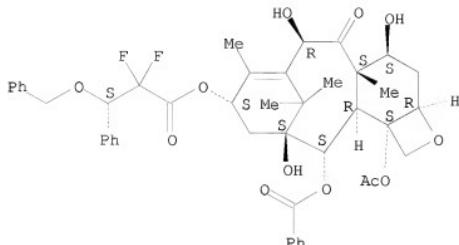
RL: BAC (Bio)

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of taxol derivs. as antitumors)

RN 171250-98-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ , $\alpha$ -difluoro- $\beta$ -(phenylmethoxy)-,  
 12b-(acetoxy)-12-(benzyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
 dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-  
 cycloocta[3,4]benz[1,2-b]oxole-9-yl ester, [2aR-  
 {2aa,4b,4ab,6b,9a(S\*)},11a,12a,12a.a  
 lpha.,12b]- (9C1) (CA INDEX NAME)

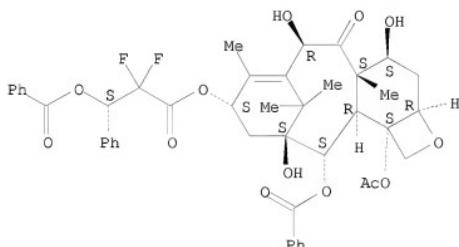
Absolute stereochemistry.



RN 171250-99-0 CAPLUS

CN Benzenespropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro-,  
12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-  
cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-  
[2a $\alpha$ ,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (S\*)],11a,12a,12a.a  
lpha.,12ba]- (9CI) (CA INDEX NAME)

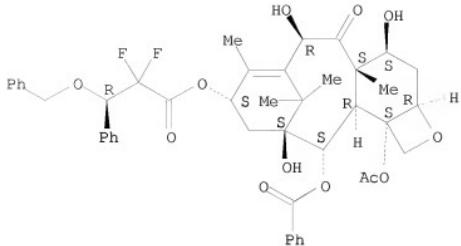
Absolute stereochemistry.



RN 171338-89-9 CAPLUS

CN Benzenespropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(phenylmethoxy)-,  
12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-  
cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-  
[2a $\alpha$ ,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (R\*)],11a,12a,12a.a  
lpha.,12ba]- (9CI) (CA INDEX NAME)

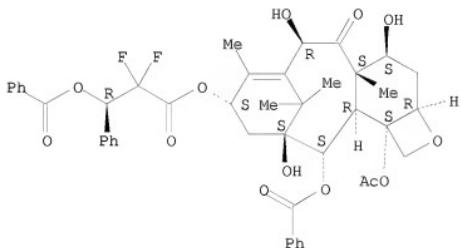
Absolute stereochemistry.



RN 171338-90-2 CAPLUS

CN Benzenepropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro-,  
12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-  
cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-  
[2aa,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (R\*)],11 $\alpha$ ,12a,12a.a  
lpha.,12ba]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 171251-25-5P 171251-53-9P 171251-54-0P

171251-57-3P 171251-58-4P 171251-59-5P

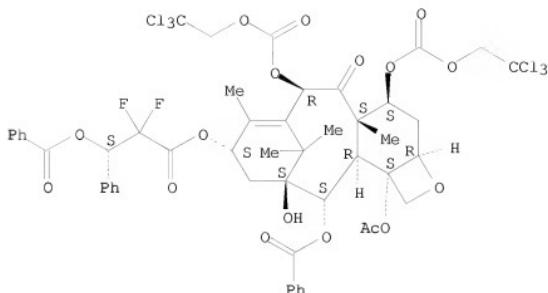
171251-60-8P 171339-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of taxol derivs. as antitumors)

RN 171251-25-5 CAPLUS

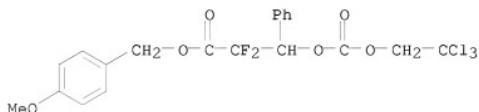
CN Benzenepropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro-,  
12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4,6-bis[(2,2,2-  
trichloroethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-  
b]oxet-9-yl ester, [2aR-[2aa,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (S\*)],1  
1 $\alpha$ ,12a,12aa,12ba]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



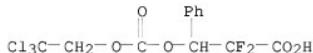
RN 171251-53-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -[(2,2,2-trichloroethoxy)carbonyloxy]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



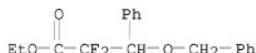
RN 171251-54-0 CAPLUS

CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -[(2,2,2-trichloroethoxy)carbonyloxy]- (CA INDEX NAME)



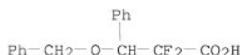
RN 171251-57-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



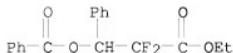
RN 171251-58-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(phenylmethoxy)- (CA INDEX NAME)



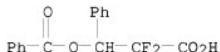
RN 171251-59-5 CAPLUS

CN Benzenepropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro-,  
ethyl ester (CA INDEX NAME)



RN 171251-60-8 CAPLUS

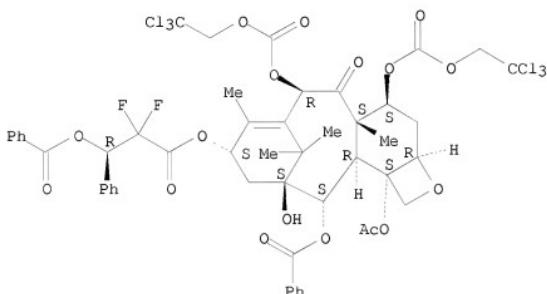
CN Benzenepropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro- (CA  
INDEX NAME)



RN 171339-15-4 CAPLUS

CN Benzenepropanoic acid,  $\beta$ -(benzoyloxy)- $\alpha,\alpha$ -difluoro-,  
12b-(acetylxyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-  
dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4,6-bis([(2,2,2-  
trichloroethoxy)carbonyl]oxy)-7,11-methano-1H-cyclodeca[3,4]benz[1,2-  
b]oxet-9-yl ester, [2aR-[2a $\alpha$ ,4 $\beta$ ,4a $\beta$ ,6 $\beta$ ,9 $\alpha$ (R $\ast$ ),1  
1 $\alpha$ ,12a $\alpha$ ,12ba]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:740928 CAPLUS

DOCUMENT NUMBER: 123:127788

TITLE: Mesomorphic compound, liquid crystal composition  
containing the compound, liquid crystal device using  
the composition, liquid crystal apparatus and display  
method.

INVENTOR(S): Shinichi, Nakamura; Takao, Takiguchi; Takashi, Iwaki;  
Takeshi, Togano; Yoko, Kosaka

PATENT ASSIGNEE(S): Canon K. K., Japan

SOURCE: Eur. Pat. Appl., 84 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 640676	A1	19950301	EP 1994-113508	19940830
EP 640676	B1	19990120		
R: CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 07097354	A	19950411	JP 1993-237215	19930831
JP 3230024	B2	20011119		
JP 07133244	A	19950523	JP 1993-243580	19930906
JP 3216752	B2	20011009		
US 5653913	A	19970805	US 1996-628446	19960405
PRIORITY APPLN. INFO.:			JP 1993-237215	A 19930831
			JP 1993-243580	A 19930906
			US 1994-297840	B1 19940830

OTHER SOURCE(S): MARPAT 123:127788

AB A mesomorphic compound  $CmH2m+1O(CH2)n(CH2)p(CH2)q-Y1-A1-R1$  [R1 = H, halogen, CN, or a linear, branched or cyclized alkyl group having 1-30 C atoms capable of including at least one  $-CH2-$  group which can be replaced with  $-O-$ ,  $-S-$ ,  $-CO-$ ,  $-CH(C1)-$ ,  $-CH(CN)-$ ,  $-CCH3(CN)-$ ,  $-CH:CH-$  or  $-C:tpibond.C-$  provided that heteroatoms are not adjacent to each other and capable of including at least one H which can be replaced with F; m, n, p and q = 1-16 provided that  $m + n + p + q \leq 18$ ; Y1 denotes a single bond,  $-O-$ ,  $-CO-$ ,  $-OCO-$ ,  $-OOC-$ ,  $-CH:CH$  or  $-C:tpibond.C-$ ; A1 =  $-A2-$ ,  $-A2-X1-A3-$  or  $-A2-X1-A3-X2-A4$  in which A2, A3 and A4 independently denote a divalent cyclic group; X1, X2 = a single bond,  $-COO-$ ,  $-OCO-$ ,  $-CH2O-$ ,  $-OCH2-$ ,  $-CH2CH2-$ ,  $-CH:CH-$  or  $-C:tpibond.C-$  having  $\geq 2$  ether groups between alkylene groups in a specific alkoxy perfluoroalkyl terminal group is suitable as a component for a liquid crystal composition providing improved response characteristics and a high contrast. A liquid crystal device is constituted by disposing the liquid crystal composition between a pair of substrates. The liquid crystal device is used as a display panel constituting a liquid crystal apparatus providing good display characteristics.

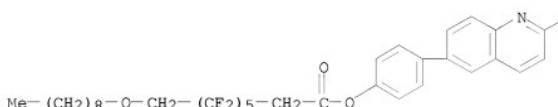
IT 166439-53-8

RL: MOA (Modifier or additive use); USES (Uses)  
(perfluoroalkyl mesomorphic compound for liquid crystal composition)

RN 166439-53-8 CAPLUS

CN Octanoic acid, 3,3,4,4,5,5,6,6,7,7-decafluoro-8-(nonyloxy)-,  
4-(2-hexyl-6-quinolinyl)phenyl ester (CA INDEX NAME)

PAGE 1-A

(CH<sub>2</sub>)<sub>5</sub>

PAGE 1-B

— Me

L10 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:650926 CAPLUS

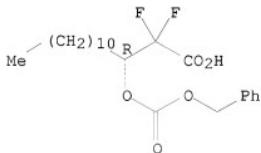
DOCUMENT NUMBER: 121:250926

TITLE: Biochemical reduction of 3-oxoalkanoic esters by a bottom-fermentation yeast, *Saccharomyces cerevisiae*  
IFO 0565

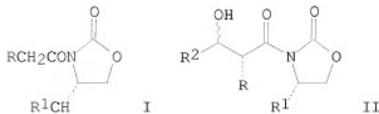
AUTHOR(S): Mochizuki, Naoki; Sugai, Takeshi; Ohta, Hiromichi

CORPORATE SOURCE: Central Res. Lab., Tokyo, 143, Japan  
 SOURCE: Bioscience, Biotechnology, and Biochemistry (1994),  
 58(9), 1666-70  
 CODEN: BBBIEJ; ISSN: 0916-8451  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 121:250926  
 AB The scope and limitation of a bottom-fermentation yeast (*Saccharomyces cerevisiae* IFO 0565) toward the reduction of 3-oxoalkanoic esters were examined. The substrate specificity of this microorganism for various kinds of 3-oxoalkanoic esters was studied. This microorganism was distinct from conventional bakers' yeast in terms of its selectivity in the reduction and its high expression of a hydrolytic enzyme. 3-Oxoalkanoic esters with an aromatic substituent, a halogen substituted 3-oxoalkanoic ester, and aliphatic longer-chain 3-oxoalkanoic ester and its  $\alpha,\alpha$ -difluoro analog were also accepted by this microorganism. The products are useful intermediates in the synthesis of physiol. active compds.  
 IT 141507-38-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 141507-38-2 CAPLUS  
 CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:323330 CAPLUS  
 DOCUMENT NUMBER: 120:323330  
 TITLE: Reversal of stereoselectivity in the Evans aldol reaction of  $\alpha,\alpha$ -difluoro and  $\alpha,\alpha,\alpha$ -trifluoro carbonyl compounds  
 AUTHOR(S): Iseki, Katasuhiko; Oishi, Satoshi; Taguchi, Takeo; Kobayashi, Yoshiro  
 CORPORATE SOURCE: MEC Lab., Daikin Ind. Ltd., Tsukuba, 305, Japan  
 SOURCE: Tetrahedron Letters (1993), 34(50), 8147-50  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 120:323330  
 GI



AB The Evans aldol reaction of hexafluoroacetone and trifluoroacetaldehyde causes reversal of stereoselectivity. The boron enolate derived from oxazolidinones I ( $R = Me, PhCH_2, Bu, R_1 = Me_2CH, PhCH_2$ ) react with trifluoroacetaldehyde to give anti and syn "non-Evans" aldols II ( $R_2 = CF_3$ ) with stereoselectivities of 7:3-17:3.

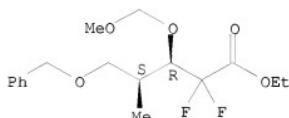
IT 155245-76-4P 155245-77-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate in study of stereoselective aldol condensations of oxazolidinone boron enolates with fluoro aldehydes)

RN 155245-76-4 CAPLUS

CN L-threo-Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

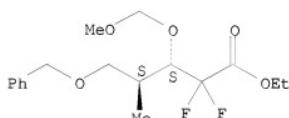
Absolute stereochemistry.



RN 155245-77-5 CAPLUS

CN L-erythro-Pentonic acid, 2,4-dideoxy-2,2-difluoro-3-O-(methoxymethyl)-4-methyl-5-O-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:322786 CAPLUS

DOCUMENT NUMBER: 120:322786

TITLE: Free-radical approach to the synthesis of fluorine-substituted cyclic compounds. Cyclization reactions of trifluoromethyl- and difluoromethylene-substituted carbon radicals

AUTHOR(S): Morikawa, Tsutomu; Uejima, Masayuki; Kobayashi, Yoshiro; Taguchi, Takeo

CORPORATE SOURCE: Tokyo Coll. Pharm., Tokyo, 192-03, Japan

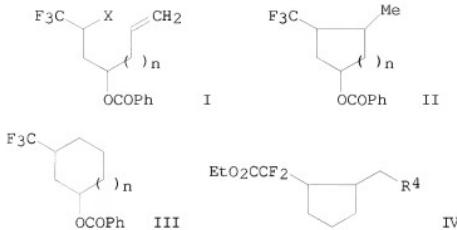
SOURCE: Journal of Fluorine Chemistry (1993), 65(1-2), 79-89  
CODEN: JFLCAR; ISSN: 0022-1139

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:322786

GI



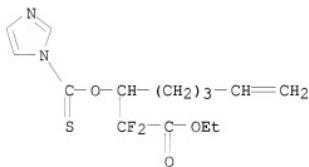
**AB** Trifluoromethyl- or difluoromethylene-substituted alkyl radicals ( $\text{CF}_3\text{ovrdot.C}$ - or  $\text{CF}_2\text{ovrdot.C:C}$ -) and trifluoromethyl-substituted alkenyl radicals ( $\text{CF}_3\text{ovrdot.C:C}$ -) cyclize effectively intramolecularly to allow the synthesis of fluorine-substituted cyclic compds. Radical reactions of thiocarbonylimidazolidine derivs. I ( $^7\text{a,b}$ ,  $13\text{a-d}$ ,  $14\text{e,f}$ ) gave  $\text{CF}_3$ -substituted cyclopentane derivs. II ( $22\text{a}$ ,  $25\text{a-d}$ ) or cyclohexane derivs. III ( $22\text{b}$ ,  $26\text{e,f}$ ) via 5- or 6-exo selective cyclization.  $\text{CF}_3$ -substituted cyclopentene derivs. ( $27\text{a,b}$ ) or cyclohexene derivs. ( $27\text{c}$ ) were also obtained from alkenyl iodides ( $17\text{a-c}$ ) via radical cyclization. Cyclopentane derivs. IV ( $\text{R}4 = \text{H}$ ) ( $29\text{a-f}$ ,  $31$ ) containing the  $\text{CF}_2\text{CO}_2\text{Et}$  group were synthesized by Reformatskii reaction and radical cyclization.

**IT** 155226-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 155226-17-8 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



**IT** 155226-41-8 155226-43-0 155226-45-2

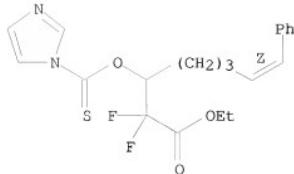
155226-47-4 155226-49-6 155226-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(radical cyclization reaction of)

RN 155226-41-8 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester, ( $Z$ )- (9CI) (CA INDEX NAME)

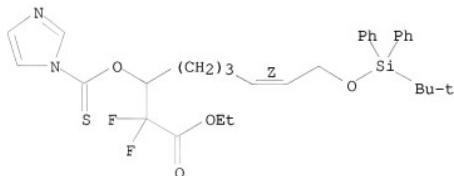
Double bond geometry as shown.



RN 155226-43-0 CAPLUS

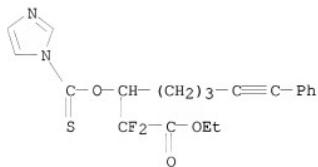
CN 7-Nonenoic acid, 9-[(1,1-dimethylethyl)diphenylsilyloxy]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



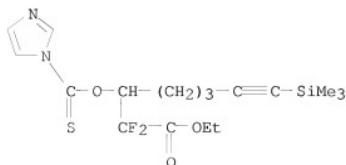
RN 155226-45-2 CAPLUS

CN 7-Octynoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester (CA INDEX NAME)



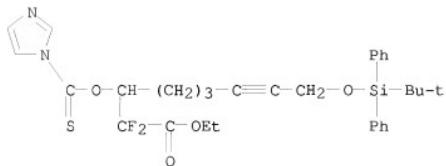
RN 155226-47-4 CAPLUS

CN 7-Octynoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-(trimethylsilyl)-, ethyl ester (CA INDEX NAME)



RN 155226-49-6 CAPLUS

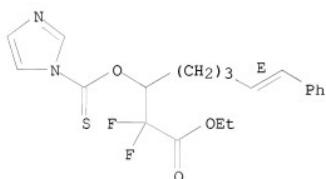
CN 7-Nonynoic acid, 9-[(1,1-dimethylethyl)diphenylsilyloxy]-2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



RN 155226-63-4 CAPLUS

CN 7-Octenoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-8-phenyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L10 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:164839 CAPLUS

DOCUMENT NUMBER: 120:164839

TITLE: Synthesis of 4,4-difluoro-L-arginine

AUTHOR(S): Kim, Kyoung Soon; Qian, Ligang

CORPORATE SOURCE: Bristol-Myers Squibb Pharm. Res. Inst., Princeton, NJ, 08543-4000, USA

SOURCE: Tetrahedron Letters (1993), 34(45), 7195-6  
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:164839

AB Preparation of 4,4-difluoro-L-arginine (I) as an L-arginine surrogate is described starting with Boc-D-Ser-OH (Boc = Me3CO2C). The pKa of guanidine moiety of I was 11.2, compared to 13.2 for the arginine guanidine group.

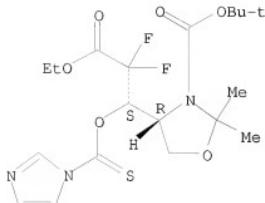
IT 153335-04-7P 153335-05-8P

RL: SPN (Synthetic preparation); PRP (Preparation)  
(intermediate in preparation of difluoroarginine)

RN 153335-04-7 CAPLUS

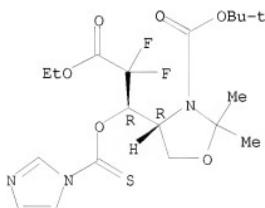
CN 4-Oxazolidinepropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]- $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-2,2-dimethyl-, ethyl ester, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153335-05-8 CAPLUS  
 CN 4-Oxazolidinopropanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]-  
*a,a*-difluoro- $\beta$ -(1*H*-imidazol-1-ylthioxomethoxy)-2,-2-  
 dimethyl-, ethyl ester, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

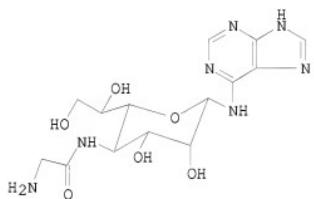
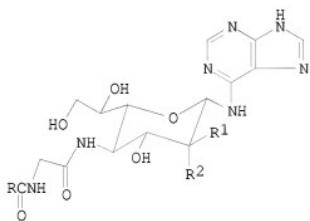
Absolute stereochemistry.



L10 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:671632 CAPLUS  
 DOCUMENT NUMBER: 119:271632  
 TITLE: Spicamycin derivatives and the use thereof  
 INVENTOR(S): Otake, Noboru; Kawai, Hiroyuki; Kawasaki, Tomiko;  
 Odagawa, Atsuo; Kamishohara, Masaru; Sakai, Teruyuki  
 PATENT ASSIGNEE(S): Kirin Beer K. K., Japan  
 SOURCE: Eur. Pat. Appl., 99 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 525479	A1	19930203	EP 1992-111782	19920710
EP 525479	B1	19971105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05186494	A	19930727	JP 1992-110665	19920403
JP 2783722	B2	19980806		
NO 9202674	A	19930113	NO 1992-2674	19920708
NO 178500	B	19960102		
NO 178500	C	19960410		
US 5461036	A	19951024	US 1992-910640	19920708
FI 105815	B1	20001013	FI 1992-3170	19920709
CA 2073567	A1	19930113	CA 1992-2073567	19920710

CA 2073567	C 19980505	AU 1992-19600	19920710
AU 9219600	A 19930114		
AU 657551	B2 19950316		
HU 61773	A2 19930301	HU 1992-2285	19920710
HU 221808	B1 20030128		
ZA 9205175	A 19930428	ZA 1992-5175	19920710
AT 159948	T 19971115	AT 1992-111782	19920710
ES 2111019	T3 19980301	ES 1992-111782	19920710
US 5631238	A 19970520	US 1995-429303	19950426
PRIORITY APPLN. INFO.:		JP 1991-198903	A 19910712
OTHER SOURCE(S) :	MARPAT 119:2/1632	JP 1991-326845	A 19911115
GI		JP 1992-110665	A 19920403
		US 1992-910640	A3 19920708



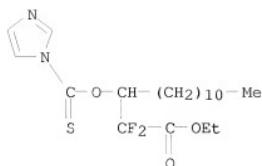
**AB** Spicamycin derivs. I [R = alkenyl, haloalkyl, Me(CH<sub>2</sub>)<sub>n</sub>CHOH, Me(CH<sub>2</sub>)<sub>n</sub>-1CH(OH)CH<sub>2</sub>, n = 9-13; Me(CH<sub>2</sub>)<sub>b</sub> = CO<sub>2</sub>(CH<sub>2</sub>)<sub>b</sub>, b = 10-15; Me(CH<sub>2</sub>)<sub>d</sub>-1CHOSO<sub>2</sub>(CH<sub>2</sub>)<sub>c</sub>Me, c = 0-3, d = 10-15; Me<sub>3</sub>Si(CH<sub>2</sub>)<sub>10</sub>, Me<sub>3</sub>SiC.tpbond.C(CH<sub>2</sub>)<sub>8</sub>, Me(CH<sub>2</sub>)<sub>5</sub>CO(CH<sub>2</sub>)<sub>10</sub>, alkynylfurans or thiophenes, R1, R2 = H, OH], useful as antitumor agents, were prepared using derivative II as a starting material. Thus, esterifying trans-2-dodecenoic acid with p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>OH in DMF initiated by N,N-dicyclohexylcarbodiimide gave the corresponding ester which was treated with II to give spicamycin derivative I [R = Me(CH<sub>2</sub>)<sub>8</sub>CH:CH, R1 = H, R2 = OH]. The latter was effective against human colon cancer (COL-1) at a maximum dose 18/mg/kg/day with an 86% tumor growth inhibition rate.

**IT** 151309-47-6P

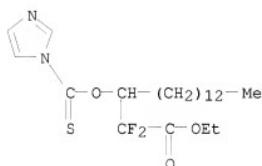
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and reduction by tributyltin hydride)

**RN** 151309-47-6 CAPLUS

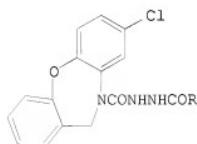
**CN** Tetradecanoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



IT 151309-51-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reduction of)  
 RN 151309-51-2 CAPLUS  
 CN Hexadecanoic acid, 2,2-difluoro-3-(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



L10 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:671122 CAPLUS  
 DOCUMENT NUMBER: 119:271122  
 TITLE: N-Substituted dibenzoxazepines as analgesic PGE2 antagonists  
 AUTHOR(S): Hallinan, E. Ann.; Hagen, Timothy J.; Husa, Robert K.; Tsymbalov, Sofya; Rao, Shashidhar N.; vanHoek, Jean Pierre; Rafferty, Michael F.; Stapelfeld, Awilda; Savage, Michael A.; Reichman, Melvin  
 CORPORATE SOURCE: Dep. Chem. Res., Searle, Skokie, IL, 60077, USA  
 SOURCE: Journal of Medicinal Chemistry (1993), 36(22), 3293-9  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:271122  
 GI



I

AB Analogs of 8-chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid, 2-acetylhydrazide (I, R = Me) (SC-19220) in which the acetyl moiety has

been replaced with pyridylpropionyl groups and their homologs, were prepared, as illustrated by I [ $R = 2-(4\text{-pyridyl})\text{ethyl}$  (SC-51089),  $1,1\text{-difluoro-2-hydroxy-2-(2-pyridyl)ethyl}$  (SC-51234A)]. These and other members of this series were effective analgesics and prostaglandin E2 (PGE2) antagonists of the EP1 receptor subtype. Structure activity relationships within this series are discussed.

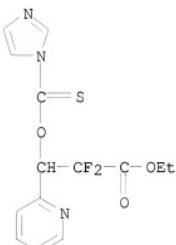
IT 146033-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and radical deoxygenation of)

BN 146033-37-6 CAPLUS

CN 2-Pyridinepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



L10 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1993:602963 CAPLUS

ACCESSION NUMBER: 1993.00290  
DOCUMENT NUMBER: 119.202963

DOCUMENT NUMBER: 119-202963  
TITLE: Preparation and reaction of difluorinated malonaldehydic acid derivatives: a new route to functionalized  $\alpha,\alpha$ -difluorinated esters and amides

AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya  
CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 222  
Japan

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1993), (10), 1177-81  
ISSN: 0300-490X

DOCUMENT TYPE: CODEN: JCPRB4; ISSN: 0300-922X  
Journal

**LANGUAGE:** English

OTHER SOURCE(S): CASREACT 119:202963

**AB** Formylation of difluorinated Reformatsky reagents derived from chlorodifluoroacetic acid derivs.  $\text{ClCF}_2\text{COX}$  ( $X = \text{OEt}$ ,  $\text{NMe}_2$ ) provided  $\beta,\beta$ -difluorinated  $N,\text{O}$ -acetals  $\text{EtOCH}(\text{NMe}_2)\text{CF}_2\text{COX}$  (same  $X$ ), which were easily converted into the corresponding Et hemiacetals  $\text{EtOCH(OH)}\text{CF}_2\text{COX}$  (same  $X$ ). These compds. were effective aldehyde equivs. and reacted with active methylene compds., nitromethane, or phosphonoacetate to afford  $\alpha$ -difluoro-functionalized esters and amides, e.g.,  $(\text{EtO}_2\text{C})_2\text{CHCO(OH)CF}_2\text{COX}$  (same  $X$ ) in good yields.

IT 141546-96-5P

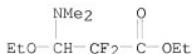
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of, to hemiacetal)

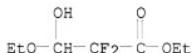
RN 141546-96-5 CAPLUS

CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA)

INDEX NAME)



IT 141546-97-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, with nucleophiles)  
RN 141546-97-6 CAPLUS  
CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX  
NAME)



L10 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1993:581085 CAPLUS  
DOCUMENT NUMBER: 119:181085  
TITLE: Preparation of 24,24-difluoro-5,7-cholestadiene-  
1 $\alpha$ ,3 $\beta$ ,25-triol  
INVENTOR(S): Takayama, Hiroaki; Konno, Katsuhiro; Hayashi, Takaaki  
PATENT ASSIGNEE(S): Nippon Oils & Fats Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

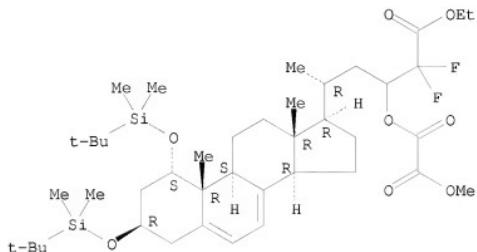
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05059094	A	19930309	JP 1991-250336	19910904
PRIORITY APPLN. INFO.:			JP 1991-250336	19910904
OTHER SOURCE(S): GI	CASREACT	119:181085; MARPAT	119:181085	

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compound [I] is prepared, e.g., via Grignard reaction of the cholestadiene-24-carboxylic acid derivative II [R<sub>1</sub>, R<sub>2</sub> = trialkylsilyl, alkyl, diarylsilyl, etc.] (prepared by treating the aldehyde III (preparation also described) with dibromofluoroacetic acid ester and subsequent 25-O-esterification and then treatment with trialkyltin hydride) with methylmagnesium halides followed by treatment with tetraalkylammonium fluoride. II [R<sub>1</sub> = R<sub>2</sub> = Me<sub>2</sub>SiBu] (multi-step preparation given) was treated with MeMgBr and the resulting III was treated with Bu<sub>4</sub>NF in THF at 70° for 1 h to give 80% I.  
IT 150054-30-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for vitamin D<sub>3</sub> derivative)  
RN 150054-30-1 CAPLUS  
CN Chola-5,7-diene-24-carboxylic acid, 1,3-bis{[(1,1-

dimethylethyl)dimethylsilyl]oxy]-24,24-difluoro-23-[ (methoxyoxoacetyl)oxy]-  
 , ethyl ester, (1 $\alpha$ ,3 $\beta$ )- (9CI) (CA INDEX NAME)

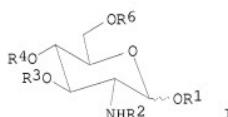
Absolute stereochemistry.



L10 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:147981 CAPLUS  
 DOCUMENT NUMBER: 118:147981  
 TITLE: Preparation of lipid X analogs as immunostimulants and antitumor agents  
 INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Arai, Masami;  
 Kobayashi, Tomoo; Hiraoka, Tetsuo; Nishijima,  
 Masahiro; Akamatsu, Minoru  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04235193	A	19920824	JP 1991-147075	19910619
JP 3040847	B2	20000515		

PRIORITY APPLN. INFO.: JP 1990-164646 A1 19900622  
 OTHER SOURCE(S): MARPAT 118:147981  
 GI



AB The title compds. [I; one of R1, R4 = H, P(O)(OH)2, OH-protecting group, and the other = P(O)(OH)2; R2, R3 = (un)substituted C6-20 acyl; R6 = H, OH-protecting group; provided that both R2 and R3  $\neq$  (HO- or C2-20 acyl-substituted) C6-20 acyl], having excellent macrophage-activating activity with little toxicity, are prepared. Thus, acylation of allyl 2-deoxy-2-amino-4,6-isopropylidene- $\beta$ -D-glucopyranoside with (R)-3-benzylxymyristic acid followed by ( $\pm$ )-syn-2-fluoro-3-

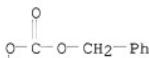
benzyloxycarbonyloxymyristic acid in the presence of DCC in CH<sub>2</sub>C<sub>12</sub> gave β-I [R1 = allyl, R2 = (3'R)-3'-benzyloxymyristoylamino, R3 = (2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)myristoyl, R4R6 = isopropylidene] which was deprotected with 1,5-cyclooctadiene-bis(methyldiphenylphosphine)iridium hexafluorophosphate, H<sub>2</sub>O, iodine, and pyridine in THF to give I [R1 = OH, R2 = (3'R)-3'-benzyloxymyristoylamino, R3 = (2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)myristoyl, R4R6 = isopropylidene]. This was acylated with (PhCH<sub>2</sub>)<sub>2</sub>POCl in the presence of BuLi in THF at -78° followed by hydrogenolysis over 10% Pd-C at -78° and simultaneous deacetonation to give I [R1 = P(O)(OH)<sub>2</sub>, R2 = (3'R)-3'-benzyloxymyristoylamino, R3 = (2''RS,3''SR)-2''-fluoro-3''-(benzyloxycarbonyloxy)myristoyl, R4 = R6 = H]. When I [R1 = R6 = H, R2 = COCH<sub>2</sub>(OH)C11H<sub>23</sub>, R3 = COCHF(O<sub>2</sub>CC13H<sub>27</sub>)C11H<sub>23</sub>, R4 = P(O)(OH)<sub>2</sub>] (sic) was incubated for 18 h with [<sup>14</sup>C]-arachidonic acid in animal cells [J. Bio. Chemical, volume 262(35) page 17, 212-17, 220], the count of labeled prostaglandin, which was correlated to macrophage activity, was 185/min.

IT 132792-10-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of immunostimulant and antitumor lipid X analog)

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy- (CA INDEX NAME)



HO<sub>2</sub>C-CF<sub>2</sub>-CH- (CH<sub>2</sub>)<sub>10</sub>-Me

L10 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:102002 CAPLUS

DOCUMENT NUMBER: 118:102002

TITLE: Preparation of dibenz[b,f][1,4]oxazepines and related compounds as analgesics and prostaglandin antagonists

INVENTOR(S): Hallinan, E. Ann; Hagen, Timothy Joseph; Husa, Robert Knol; Tsymbalov, Sofya; Lee, Albert C.; Van Hoeck, Jean Pierre

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: Eur. Pat. Appl., 61 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

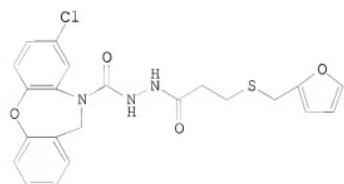
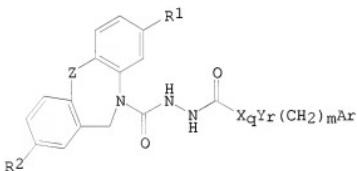
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512400	A1	19921111	EP 1992-107328	19920429
EP 512400	B1	19981202		
R: PT				
CA 2108903	A1	19921104	CA 1992-2108903	19920416
CA 2108903	C	20040210		
WO 9219617	A2	19921112	WO 1992-US3028	19920416
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9222462	A	19921221	AU 1992-22462	19920416
EP 583421	A1	19940223	EP 1992-914560	19920416

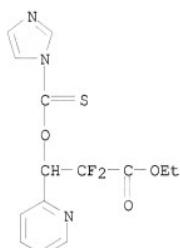
EP 583421	B1	19990616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE	T	19940825	JP 1992-511838	19920416
JP 06507408	B2	20011029		
JP 3222891	A2	19960131	EP 1995-116871	19920416
EP 694545	A3	19960327		
EP 694545	B1	20000726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE	T	19990715	AT 1992-914560	19920416
AT 181329	T3	19990916	ES 1992-914560	19920416
ES 2133324	T	20000815	AT 1995-116871	19920416
AT 194987	T3	20001101	ES 1995-116871	19920416
ES 2149305	A2	19960131	EP 1995-116872	19920429
EP 694546	A3	19960327		
EP 694546	B1	20010606		
R: PT				
EP 911331	A2	19990428	EP 1999-101029	19920429
EP 911331	A3	20000119		
EP 911331	B1	20031022		
R: PT				
PT 694546	T	20010928	PT 1995-116872	19920429
PT 911331	T	20040331	PT 1999-101029	19920429
US 5378840	A	19950103	US 1993-108551	19930824
US 5464830	A	19951107	US 1994-295302	19940824
US 5576315	A	19961119	US 1995-509846	19950801
GR 3034650	T3	20010131	GR 2000-402337	20001020
PRIORITY APPLN. INFO.:			US 1991-695654	A 19910503
			WO 1992-US3028	A 19920416
			EP 1992-914560	A3 19920416
			EP 1992-107328	A3 19920429
			EP 1995-116872	A3 19920429
			US 1993-108551	A1 19930824
			US 1994-295302	A3 19940824
OTHER SOURCE(S):	CASREACT 118:102002; MARPAT 118:102002			
GI				



AB Title compds. [I; R1 = H, halo, CF3; R2 = H, halo, OH, OMe; Z = O, S, SO, SO2; X = CH:CH, CF2, CHF, (CH2)n, (CH2)pCH:CH; Y = CH(OH), NR3, S, SO, SO2, O; q, r = 0, 1; m = 0-6; n, p = 1-6; R3 = H, Me3CO2C; Ar = (substituted) aryl] were prepared. Thus, 3-[(2-furylmethyl)thiopropionic acid hydrazide (prepn given) and 8-chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid (preparation given) were condensed in PhMe containing Et3N at

reflux to give 100% title compound II. II showed ED50 = 0.9 mg/kg in the phenylbenzoquinone-induced writhing test in mice, and antagonized prostaglandin E2 in guinea pig ileum with pA2 = 8.5.

IT 146033-37-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, intermediate for analgesics and prostaglandin antagonists)  
RN 146033-37-6 CAPLUS  
CN 2-Pyridinepropanoic acid,  $\alpha,\alpha$ -difluoro- $\beta$ -(1H-imidazol-1-ylthioxomethoxy)-, ethyl ester (CA INDEX NAME)



L10 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:38725 CAPLUS

DOCUMENT NUMBER: 118:38725

TITLE: Cyclization reactions of  $\beta,\beta$ -difluoroalkyl radicals (CF2C•) for synthesizing gem-difluorocyclic compounds

AUTHOR(S): Morikawa, Tsutomu; Kodama, Yoshitoshi; Uchida, Jun; Takano, Masami; Washio, Yoshiaki; Taguchi, Takeo

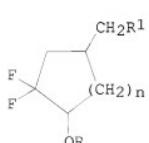
CORPORATE SOURCE: Tokyo Coll. Pharm., Hachioji, 192-03, Japan  
SOURCE: Tetrahedron (1992), 48(41), 8915-26

DOCUMENT TYPE: CODEN: TETRAB; ISSN: 0040-4020

LANGUAGE: Journal

OTHER SOURCE(S): English

GI: CASREACT 118:38725



I

AB Cyclization reactions of  $\beta,\beta$ -difluoroalkyl radicals were carried out. 5- Or 6-Exo selective radical cyclizations of  $\text{ICH}_2\text{CF}_2\text{CH}(\text{OR})(\text{CH}_2)\text{nCH:CHR}$  ( $n = 1$ , R = MeOCH<sub>2</sub>, Me<sub>3</sub>CSiMe<sub>2</sub>, R<sub>1</sub> = cyclohexyl, Ph, BzCH<sub>2</sub>, PhCH<sub>2</sub>CH<sub>2</sub>; n = 2, R = MeOCH<sub>2</sub>, R<sub>1</sub> = Ph, CO<sub>2</sub>Et, PhCH<sub>2</sub>OCH<sub>2</sub>) gave gem-difluorocyclopentanes and -cyclohexanes I resp. in 53-96% yields. 2,5-Disubstituted-3,3-difluorotetrahydropyrans were similarly prepared in 36-82% yields with moderate trans-selectivity (2.0:1-3.1: 1), 4,5-disubstituted-3,3-difluorotetrahydropyrans were obtained via radical deoxygenation in 60-74% yields. High stereoselectivity of radical cyclization for the formation of gem-fluorotetrahydropyran rings was achieved by introducing the bulky tert-butyl diphenylsilyl group onto the acceptor double bond.

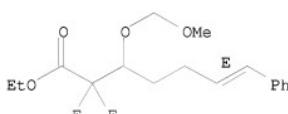
IT 145049-23-6P 145049-24-7P 145049-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation, reduction, and iodination of)

RN 145049-23-6 CAPLUS

CN 6-Heptenoic acid, 2,2-difluoro-3-(methoxymethoxy)-7-phenyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

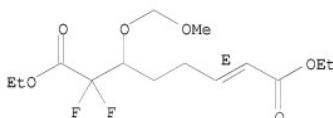
Double bond geometry as shown.



RN 145049-24-7 CAPLUS

CN 2-Octenedioic acid, 7,7-difluoro-6-(methoxymethoxy)-, diethyl ester, (E)- (9CI) (CA INDEX NAME)

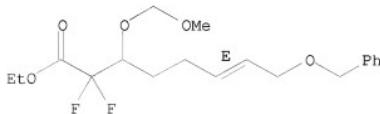
Double bond geometry as shown.



RN 145049-25-8 CAPLUS

CN 6-Octenoic acid, 2,2-difluoro-3-(methoxymethoxy)-8-(phenylmethoxy)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

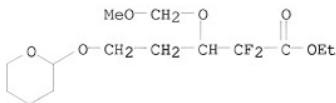
Double bond geometry as shown.



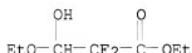
IT 145049-16-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, reduction, benzylation, detetrahydropyranylation, and oxidation of)

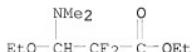
RN 145049-16-7 CAPLUS  
CN Pentanoic acid, 2,2-difluoro-3-(methoxymethoxy)-5-[(tetrahydro-2H-pyran-2-yloxy]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:570768 CAPLUS  
DOCUMENT NUMBER: 117:170768  
TITLE: Lewis acid-promoted reaction of  $\beta,\beta$ -difluorinated N,O-acetal with silylated nucleophiles  
AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya  
CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227, Japan  
SOURCE: Chemistry Letters (1992), (7), 1377-80  
DOCUMENT TYPE: CODEN: CMLTAG; ISSN: 0366-7022  
LANGUAGE: Journal  
OTHER SOURCE(S): English  
AB Lewis acid-promoted reaction of Et<sub>2</sub>CCF<sub>2</sub>CH(OEt)NMe<sub>2</sub> (I) with some silylated nucleophiles, e.g., TMSCN, afforded  $\beta,\beta$ -difluoroamines, e.g., Et<sub>2</sub>CCF<sub>2</sub>CH(CN)NMe<sub>2</sub> in good yields. The formation of an iminium ion intermediate from I and BF<sub>3</sub>OEt<sub>2</sub> was confirmed by <sup>19</sup>F and <sup>13</sup>C NMR spectroscopy.  
IT 141546-97-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 141546-97-6 CAPLUS  
CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)



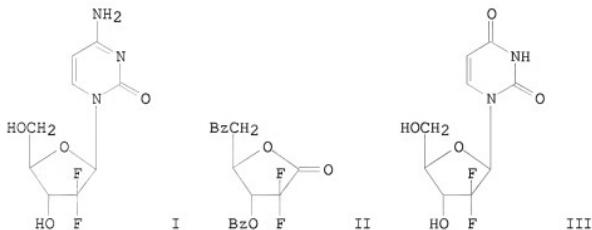
IT 141546-96-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with silylated nucleophiles, Lewis acid-promoted)  
RN 141546-96-5 CAPLUS  
CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA INDEX NAME)



L10 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:531482 CAPLUS  
DOCUMENT NUMBER: 117:131482  
TITLE: Stereospecific synthesis of 2-deoxy-2-

difluororibonolactone and its use in the preparation of 2'-deoxy-2',2'-difluoro- $\beta$ -D-ribofuranosyl pyrimidine nucleosides: the key role of selective crystallization

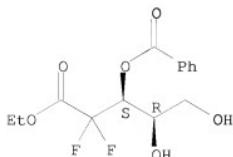
AUTHOR(S): Chou, T. S.; Heath, P. C.; Patterson, L. E.; Poteet, L. M.; Lakin, R. E.; Hunt, A. H.  
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA  
SOURCE: Synthesis (1992), (6), 565-70  
CODEN: SYNTBF; ISSN: 0039-7881  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 117:131482  
GI



AB A stereospecific synthesis of 2'-deoxy-2',2'-difluorocytidine (gemcitabine) (I), a potential anticancer agent, is described. The stereoselectivity was accomplished via two diastereoselective crystns., i.e. the crystallization of the key intermediate, difluororibonolactone II, and the crystallization of I.HCl from the anomeric mixture. Because of the availability of II in large quantities, other 2'-deoxy-2',2'-difluoropyrimidine nucleosides such as 2'-deoxy-2',2'-difluorouridine (III) were synthesized for structure-activity relationship studies.

IT 143234-93-9P  
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
(formation and intramol. cyclocondensation of)  
RN 143234-93-9 CAPLUS  
CN D-threo-Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate (CA INDEX NAME)

Absolute stereochemistry.



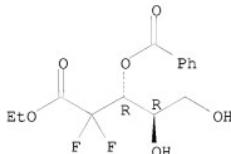
IT 143234-91-7P

RL: PREP (Preparation)  
(formation, spectra, and intramol. cyclocondensation of)

RN 143234-91-7 CAPLUS

CN D-erythro-Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate  
(CA INDEX NAME)

Absolute stereochemistry.



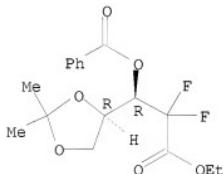
IT 143234-90-6P 143234-92-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and deisopropylidenation of)

RN 143234-90-6 CAPLUS

CN D-erythro-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethylidene)-,  
ethyl ester, 3-benzoate (CA INDEX NAME)

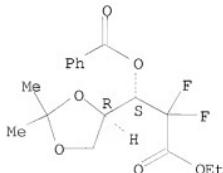
Absolute stereochemistry.



RN 143234-92-8 CAPLUS

CN D-threo-Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethylidene)-,  
ethyl ester, benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:427103 CAPLUS

DOCUMENT NUMBER: 117:27103

TITLE: Synthesis and N- and C-terminal extension of peptidyl  
 $\alpha,\alpha$ -difluoroalkyl ketones

AUTHOR(S): Hong, Wonpyo; Dong, Liwen; Cai, Zhenhong; Titmas,  
 Richard

CORPORATE SOURCE: IGEN, Inc., Rockville, MD, 20852, USA

SOURCE: Tetrahedron Letters (1992), 33(6), 741-4

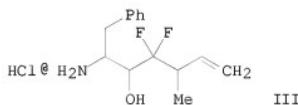
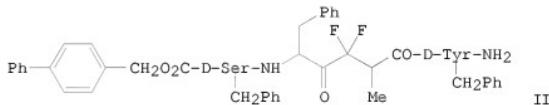
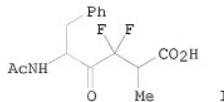
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:27103

GI



**AB** The synthesis of peptidyl  $\alpha,\alpha$ -difluoroalkyl ketones I and II is described. The key intermediate III can be extended at not only the C-terminal but also the N-terminal.

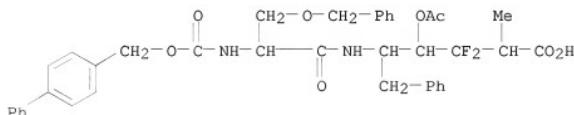
**IT** 140195-69-3P

**RL:** RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and peptide coupling of, with D-tyrosinamide derivative)

**RN** 140195-69-3 CAPLUS

**CN** Benzenehexanoic acid,  $\gamma$ -(acetoxy)- $\delta$ -[[2-[[[1,1'-biphenyl]-4-ylmethoxy]carbonyl]amino]-1-oxo-3-(phenylmethoxy)propyl]amino- $\beta,\beta$ -difluoro- $\alpha$ -methyl- (CA INDEX NAME)



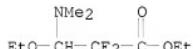
L10 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:255148 CAPLUS

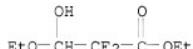
DOCUMENT NUMBER: 116:255148

**TITLE:** Difluorinated malonaldehyde derivatives as useful  
 difluoromethylene-containing building blocks

AUTHOR(S): Tsukamoto, Takashi; Kitazume, Tomoya  
 CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227,  
 Japan  
 SOURCE: Journal of the Chemical Society, Chemical  
 Communications (1992), (7), 540-1  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 116:255148  
 AB New CF<sub>2</sub>-containing building blocks, Et 3-ethoxy-2,2-difluoro-3-hydroxypropionate and 3-ethoxy-2,2-difluoro-3-hydroxypropionamide, were prepared by formylation of  $\alpha,\alpha$ -difluorinated Reformatskii reagents, and treated with active methylene compds., nitromethane or phosphonoacetate to give  $\alpha,\alpha$ -difluoro-functionalized esters and amides.  
 IT 141546-96-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conversion of, to hemiacetal)  
 RN 141546-96-5 CAPLUS  
 CN Propanoic acid, 3-(dimethylamino)-3-ethoxy-2,2-difluoro-, ethyl ester (CA INDEX NAME)



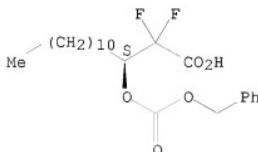
IT 141546-97-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with difluorohydroxy esters)  
 RN 141546-97-6 CAPLUS  
 CN Propanoic acid, 3-ethoxy-2,2-difluoro-3-hydroxy-, ethyl ester (CA INDEX NAME)



L10 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:255139 CAPLUS  
 DOCUMENT NUMBER: 116:255139  
 TITLE: Synthesis of (S)- and (R)-3-[(benzyloxycarbonyl)oxy]-2,2-difluorotetradecanoic acid  
 AUTHOR(S): Shiozaki, Masao; Kobayashi, Yoshiyuki  
 CORPORATE SOURCE: New Lead Res. Lab., Sankyo Co., Ltd., Tokyo, 140,  
 Japan  
 SOURCE: Tetrahedron: Asymmetry (1992), 3(3), 451-8  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 116:255139  
 AB Title acids n-C<sub>11</sub>H<sub>23</sub>CH(OOC<sub>2</sub>CH<sub>2</sub>Ph)CF<sub>2</sub>CO<sub>2</sub>H [(S)- and (R)-I] were synthesized from 3,4,6-tri-O-acetyl-D-glucal and Me galactopyranoside via 4,6-di-O-benzyl-2,3-dideoxy-D-erythro(or threo)-hexopyranoside, resp. Treatment of the hexopyranosides with octylidenetriphenylphosphorane followed by Jones oxidation of the alcs., treatment with DAST, catalytic hydrogenation of the double bond, and deprotection of the benzyl group yielded 2,2-difluoro-1,3-dihydroxytetradecane, from which (S)- and (R)-I

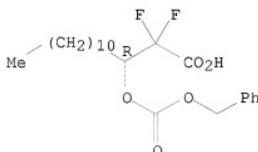
were obtained in four steps.  
IT 141507-37-1P 141507-38-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 141507-37-1 CAPLUS  
CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy-, (S)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141507-38-2 CAPLUS  
CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy-, (R)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:214840 CAPLUS  
DOCUMENT NUMBER: 116:214840  
TITLE: Preparation of lipid A analogs as immunostimulants and antitumor activity  
INVENTOR(S): Shiozaki, Masao; Ishida, Noboru; Kobayashi, Tomowo;  
Hiraoka, Tetsuo; Arai, Masami; Akamatsu, Yuzuru;  
Nishijima, Masahiro  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 130 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 437016	A2	19910717	EP 1990-307045	19900627
EP 437016	B1	19960501		
R: AT, BE, CH, JP 02256697	DE, DK, ES, FR, GB, IT, LU, NL, SE			
JP 2839921	A	19901017	JP 1989-321153	19891211
JP 03291292	B2	19981224		
	A	19911220	JP 1990-401087	19901210

JP 2980693  
PRIORITY APPLN. INFO.:

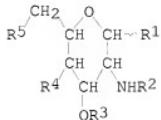
B2 19991122

JP 1989-321153  
JP 1990-37339  
JP 1988-329964

A 19891211  
A 19900220  
A1 19881227

OTHER SOURCE(S):  
GI

MARPAT 116:214840



I

AB The title compds. [I; R1, R5 = (protected) OH, F, OP(O)(OH)2; R2, R3 = (substituted) aliphatic acyl; R4 = (protected) OH, OP(O)(OH)2; with provisos] were prepared. Allyl 2-deoxy-2-amino-4,6-O-isopropylidene- $\beta$ -D-glucopyranoside (preparation given) was condensed with (R)-3-benzyl oxytetradecanoic acid in CH2Cl2 containing DCC to give allyl 2-deoxy-2-[(3R)-3'-benzyl oxytetradecanoylamino]-4,6-O-isopropylidene- $\beta$ -D-glucopyranoside, which was further condensed with (+)-syn-2-fluoro-3-benzyl oxycarbonyloxytetradecanoic acid to give allyl 2-deoxy-2-[(3R)-3'-benzyl oxytetradecanoylamino]-3-O-[(2'R'S,3'SR)-2'-fluoro-3''-(benzyl oxycarbonyloxy)tetradecanoyl]-4,6-O-isopropylidene- $\beta$ -D-glucopyranoside, which in THF was treated with 1,5-cyclohexadienobis[methyldiphenylphosphine]iridium hexafluorophosphate to give 2-deoxy-2-[(3R)-3'-benzyl oxytetradecanoylamino]-3-O-[(2'R'S,3'SR)-2''-fluoro-3''-(benzyl oxycarbonyloxy)tetradecanoyl]-4,6-O-isopropylidene-D-glucopyranose. This was phosphorylated with dibenzyl phosphorochloridate in THF-hexane containing BuLi to give, after hydrogenolysis over Pd/C, 2-deoxy-2-[(3R)-3'-hydroxytetradecanoyl]-3-O-[(2'R'S,3'SR)-2''-fluoro-3''-hydroxytetradecanoyl]- $\alpha$ -D-glucopyranose 1-phosphate. In an *in vitro* experiment comparing the ability of I to effect release of [<sup>14</sup>C]-prostaglandin D2 using a macrophage-like mouse cell line J774.1, 2-deoxy-2-[(2'S,3'R)-2'-fluoro-3'-hydroxytetradecanoylamino]-3-O-[(3'R)-tetradecanoyloxy)tetradecanoyl]-4,6-O-isopropylidene- $\alpha$ -D-glucopyranose 4-phosphate (prepared similarly) was 18% more active than the known GLA-60.

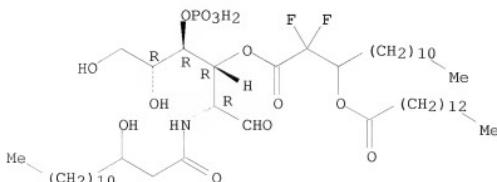
IT 138527-44-3P 138527-45-4P 138527-46-5P  
138551-05-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as immunostimulant and antitumor)

RN 138527-44-3 CAPLUS

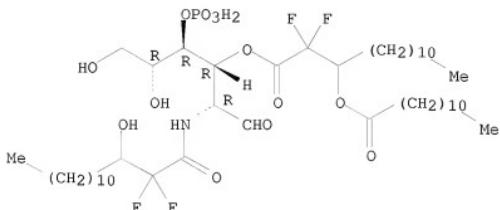
CN D-Glucose, 2-deoxy-2-[(3-hydroxy-1-oxotetradecyl)amino]-,  
3-[2,2-difluoro-3-[(1-oxotetradecyl)oxyltetradecanoate] 4-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



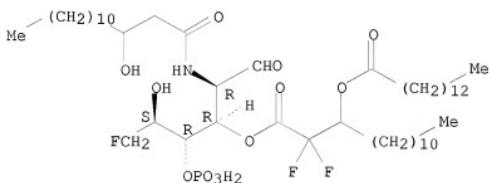
RN 138527-45-4 CAPLUS  
 CN D-Glucose, 2-deoxy-2-[*(2,2-difluoro-3-hydroxy-1-oxotetradecyl)amino*]-,  
 3-[2,2-difluoro-3-[*(1-oxododecyl)oxy*]tetradecanoate] 4-(dihydrogen  
 phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



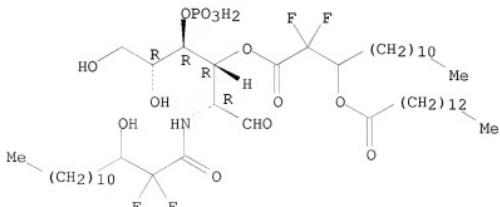
RN 138527-46-5 CAPLUS  
 CN D-Glucose, 2,6-dideoxy-6-fluoro-2-[*(3-hydroxy-1-oxotetradecyl)amino*]-,  
 3-[2,2-difluoro-3-[*(1-oxotetradecyl)oxy*]tetradecanoate] 4-(dihydrogen  
 phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



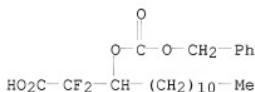
RN 138551-05-0 CAPLUS  
 CN D-Glucose, 2-deoxy-2-[*(2,2-difluoro-3-hydroxy-1-oxotetradecyl)amino*]-,  
 4-(dihydrogen phosphate) 3-[2,2-difluoro-3-[*(1-*  
*oxotetradecyl)oxy*]tetradecanoate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



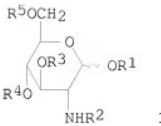
IT 132792-10-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of lipid A analogs)  
RN 132792-10-0 CAPLUS  
CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy- (CA  
INDEX NAME)



L10 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:59901 CAPLUS  
DOCUMENT NUMBER: 116:59901  
TITLE: Preparation of lipid A monosaccharide analogs as  
immunostimulants and antitumor agents.  
INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Kobayashi, Tomoo;  
Hiraoka, Tetsuo; Akamatsu, Minoru; Nishijima, Masahiro  
SANKYO CO., LTD., Japan  
PATENT ASSIGNEE(S): Jpn. Kokai Tokkyo Koho, 54 pp.  
SOURCE: CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02256697	A	19901017	JP 1989-321153	19891211
JP 2839921	B2	19981224		
DD 295854	A5	19911114	DD 1990-342041	19900625
SU 1836378	A3	19930823	SU 1990-4830600	19900625
CN 1052481	A	19910626	CN 1990-106805	19900626
CN 1029405	B	19950802		
HU 55793	A2	19910628	HU 1990-3991	19900626
HU 217114	B	19991129		
CZ 285583	B6	19990915	CZ 1990-3185	19900626
CA 2019972	A1	19910611	CA 1990-2019972	19900627
CA 2019972	C	20000808		
EP 437016	A2	19910717	EP 1990-307045	19900627
EP 437016	B1	19960501		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AT 137504	T	19960515	AT 1990-307045	19900627
ES 2088970	T3	19961001	ES 1990-307045	19900627
KR 187302	B1	19990401	KR 1990-9570	19900627
RU 2076107	C1	19970327	RU 1992-5052656	19920909
US 5792840	A	19980811	US 1994-280298	19940726
KR 183315	B1	19990401	KR 1998-37538	19980911
PRIORITY APPLN. INFO.:				
			JP 1988-329964	A1 19881227
OTHER SOURCE(S): GI			JP 1989-321153	A 19891211
			JP 1990-37339	A 19900220
			US 1990-539605	B1 19900618
			KR 1990-9570	A 19900627



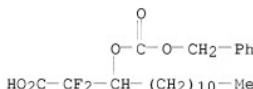
AB The title compds. [I; one of R1,R4 = H, P(O)(OH)2, protecting group; the other = P(O)(OH)2; one of R2,R3 = (halo-, HO-, or C6-20 aliphatic acyloxy-substituted) C6-20 aliphatic acyl and the other = halo-, HO-, or C6-20 aliphatic acyloxy-substituted C6-20 aliphatic acyl, (halo-, HO-, or C6-20 aliphatic acyloxy-substituted) C6-20 alkyl], possessing macrophage-activating activity (no data), are prepared. Thus, amidation of I (R1 = allyl, R2 = R3 = H, R4R5 = C(Me)2) (preparation given) with (R)-3-benzoyloxymyristic acid in CH2Cl2 containing DCC followed by esterification with ( $\pm$ )-syn-2-fluoro-3-benzoyloxycarboxyloxymyristic acid in CH2Cl2 containing DCC and 4-dimethylaminopyridine gave I [R1 = allyl, R2 = (R)-Me(CH2)10CH(OCH2Ph)CH2CO, R3 = ( $\pm$ )-Me(CH2)10CH(OCO2CH2Ph)CHFCO, R4R5 = C(Me)2]. The latter was treated with 1,5-cyclooctadiene-bis[methyldiphenylphosphine]iridium hexafluorophosphate and then H2, iodine, and pyridine to give I (R1 = H; R2-R5 = same as above) which was phosphorylated with (PhCH2O)2P(O)Cl in THF in the presence of BuLi followed by hydrogenolysis over 10% Pd/C to give I [R1 = P(O)(OH)2, R2 = (R)-Me(CH2)10CH(OH)CH2CO, R3 = ( $\pm$ )-Me(CH2)10CH(OH)CHFCO, R4 = R5 = H]. Addnl. 19 I were prepared

IT 132792-10-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of, antitumor and immunostimulant glucosamine lipid A analog)

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[(phenylmethoxy)carbonyl]oxy- (CA INDEX NAME)



L10 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:679387 CAPLUS

DOCUMENT NUMBER: 115:279387

TITLE: Enantioselective synthesis of  $\beta,\beta$ -difluoromalic acid via enzymic resolution of furyl-substituted derivative

AUTHOR(S): Tsukamoto, Takashi; Yoshiyama, Tomonori; Kitazume, Tomoya

CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227, Japan

SOURCE: Tetrahedron: Asymmetry (1991), 2(8), 759-62

DOCUMENT TYPE: CODEN: TASYE3; ISSN: 0957-4166

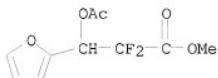
LANGUAGE: Journal

OTHER SOURCE(S): English

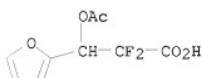
AB (R)- And (S)-N,N-Diethyl-2,2-difluoro-3-(2-furyl)-3-hydroxypropionamide

(I) have been obtained via enzymic resolution of the racemic acetate using lipase MY from *Candida cylindracea*. Ozonolysis of I followed by

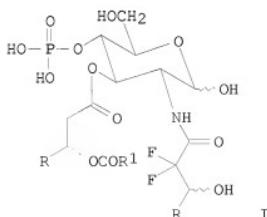
hydrolysis afforded the (S)- $\beta,\beta$ -difluoromalic acid.  
IT 137524-41-5P 137524-42-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 137524-41-5 CAPLUS  
CN 2-Furanpropanoic acid,  $\beta$ -(acetyloxy)- $\alpha,\alpha$ -difluoro-,  
methyl ester (CA INDEX NAME)



RN 137524-42-6 CAPLUS  
CN 2-Furanpropanoic acid,  $\beta$ -(acetyloxy)- $\alpha,\alpha$ -difluoro- (CA  
INDEX NAME)



L10 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1991:514932 CAPLUS  
DOCUMENT NUMBER: 115:114932  
TITLE: Synthesis of 2-deoxy-2-[(2,2-difluoro-3-hydroxytetradecanoyl)amino]-3-O-[(R)-3-(tetradecanoyloxy)tetradecanoyl]-D-glucopyranose 4-phosphate  
AUTHOR(S): Shiozaki, Masao; Kobayashi, Yoshiyuki; Arai, Masami; Watanabe, Takashi; Hiraoka, Tetsuo; Nishijima, Masahiro; Kuge, Sayuri; Otsuka, Toshiaki; Akamatsu, Yuzuru  
CORPORATE SOURCE: New Lead Res. Lab., Sankyo Co., Ltd., Tokyo, 140, Japan  
SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2643-6  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Title compds. I ( $R = n\text{-C}11\text{H}23$ ,  $RI = n\text{-C}13\text{H}27$ ) were synthesized from allyl 2-amino-2-deoxy-4,6-O-isopropylidene- $\beta$ -D-glucopyranoside, ( $\pm$ )-3-[ $(\text{benzyloxycarbonyl})\text{oxy}$ ]-2,2-difluorotetradecanoic acid, and ( $R$ )-3-(tetradecanoyloxy)teradecanoic acid. Compds. I were more active than GLA-60 for the prostaglandin D2 releasing test on macrophages.

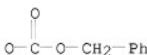
IT 132792-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and coupling of, with aminodeoxyglucopyranoside)

RN 132792-10-0 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]- (CA INDEX NAME)



HO2C-CF2-CH-(CH2)10-Me

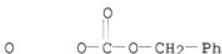
IT 135226-03-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deesterification of)

RN 135226-03-8 CAPLUS

CN Tetradecanoic acid, 2,2-difluoro-3-[[(phenylmethoxy)carbonyl]oxy]-, diphenylmethyl ester (CA INDEX NAME)



Ph2CH-O-CF2-CH-(CH2)10-Me

L10 ANSWER 49 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:64555 CAPLUS

DOCUMENT NUMBER: 114:64555

TITLE: Preparation of fluorine-containing cellulose derivatives and their properties

AUTHOR(S): Muramoto, Mieko; Yoshioka, Mariko; Shiraishi, Nobuo

CORPORATE SOURCE: Fac. Agric., Kyoto Univ., Kyoto, 606, Japan

SOURCE: Sen'i Gakkaishi (1990), 46(11), 496-505

CODEN: SENGA5; ISSN: 0037-9875

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cellulose dissolved in a mixture of LiCl and AcNMe<sub>2</sub> was esterified with 4-perfluoro(3-isopropyl-4-methyl-2-penten-2-yloxy)phthalic anhydride (I) using Et<sub>3</sub>N or pyridine as a catalyst. The products obtained with either catalyst had the same degree of substitution (DS) of 2.1. Fluorine-containing cellulose derivs. with DS of 0.16 and 0.36 were also prepared by esterifications of Et cellulose (II) (DS = 2.5) with I and with 1,1,2,2,3-pentafluoropropoxy-2,2-difluoropropyl fluoride (III), resp. Formation of these esters was confirmed by IR and <sup>1</sup>H- and <sup>19</sup>F-NMR spectra. Dynamic viscoelastic and thermoplastic characteristics of cellulose and II were changed considerably by their derivatization. Refractive indexes of the fluorine-containing cellulose derivs. were relatively low, 1.443-1.458. All the products were less hygroscopic than the starting materials. II, I-esterified II, and III-esterified II had low dielec. consts. and low

dielec. loss tangents, so they could be regarded as good insulators.  
IT 131552-78-8P  
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation and properties of, degree of substitution effects in)  
RN 131552-78-8 CAPLUS  
CN Cellulose, 2,2-difluoro-3-(1,1,2,2,3-pentafluoropropoxy)propanoate, ethyl  
ether (9CI) (CA INDEX NAME)

CM 1

CRN 168677-68-7  
CMF C6 H5 F7 O3



CM 2

CRN 9004-34-6  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 3

CRN 64-17-5  
CMF C2 H6 O



L10 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1991:45285 CAPLUS  
DOCUMENT NUMBER: 114:45285  
TITLE: Preparation of fluorine-containing cellulose derivatives  
INVENTOR(S): Shiraishi, Nobuo; Kubo, Motonobu  
PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan  
SOURCE: Eur. Pat. Appl., 11 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 382208	A2	19900816	EP 1990-102483	19900208
EP 382208	A3	19910522		
R: DE, FR, GB				
JP 02212501	A	19900823	JP 1989-31845	19890210
JP 02227401	A	19900910	JP 1989-47098	19890228
US 5187269	A	19930216	US 1990-476697	19900208
PRIORITY APPLN. INFO.:				
			JP 1989-31845	A 19890210
			JP 1989-47098	A 19890228

AB The title derivs. with high F content, having good water resistance, etc., are prepared by the reaction of cellulose with compds. such as 4-[2,2-bis(perfluoroisopropyl)-1-trifluoromethyl]ethoxyphthalic

anhydride (I), 4-[2,2-bis(perfluoroisopropyl)-1-(trifluoromethyl)ethoxy]benzoyl chloride, FCH<sub>2</sub>CF<sub>2</sub>CF<sub>2</sub>OCH<sub>2</sub>CF<sub>2</sub>COF, or F<sub>3</sub>CCF<sub>2</sub>CH<sub>2</sub>(OCF<sub>2</sub>CF<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>OF in the presence of an esterification catalyst. A solution of cellulose in AcNMe<sub>2</sub> containing LiCl and Et<sub>3</sub>N was treated with I (6 mol/mol cellulose units) to give a cellulose ester having degree of substitution 2.1 and F content 47.8%.

IT 131552-77-7P 131571-36-3P

RL: IMF (Industrial manufacture); PREP (Preparation)  
(preparation of, with high fluorine content and water repellency)

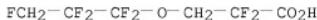
RN 131552-77-7 CAPLUS

CN Cellulose, 2,2-difluoro-3-(1,1,2,2,3-pentafluoropropoxy)propanoate (9CI)  
(CA INDEX NAME)

CM 1

CRN 168677-68-7

CMF C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>



CM 2

CRN 9004-34-6

CMF Unspecified

CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 131571-36-3 CAPLUS

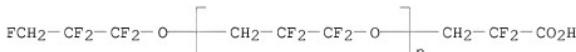
CN Cellulose, ester with  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -fluoropoly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)] (9CI) (CA INDEX NAME)

CM 1

CRN 104677-65-8

CMF (C<sub>3</sub> H<sub>2</sub> F<sub>4</sub> O)<sub>n</sub> C<sub>6</sub> H<sub>5</sub> F<sub>7</sub> O<sub>3</sub>

CCI PMS



CM 2

CRN 9004-34-6

CMF Unspecified

CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L10 ANSWER 51 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

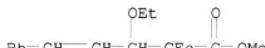
ACCESSION NUMBER: 1991:7136 CAPLUS

DOCUMENT NUMBER: 114:7136

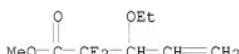
TITLE: Michael addition of 2,2-difluoroketene silyl acetal.  
Preparation of 4,4-difluoroglutamic acid and  
5,5-difluorolysine

AUTHOR(S): Kitagawa, Osamu; Hashimoto, Akihiro; Kobayashi,

CORPORATE SOURCE: Yoshiro; Taguchi, Takeo  
 Tokyo Coll. Pharm., Hachioji, 192-03, Japan  
 SOURCE: Chemistry Letters (1990), (8), 1307-10  
 CODEN: CMLTAG; ISSN: 0366-7022  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 114:7136  
 AB 2,2-Difluoroketene silyl acetals F2C:C(OMe)OSiR3 (R = Me, Et), generated in situ by treating ICF2CO2Me with Zn followed by R3SiCl, readily reacted with  $\alpha,\beta$ -unsatd. carbonyl compds. or acetals to give the 1,4-addition products, preferentially. The difluoro analogs of glutamic acid and lysine were prepared through the present reaction.  
 IT 130835-35-7P 130835-36-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 130835-35-7 CAPLUS  
 CN 4-Pentenoic acid, 3-ethoxy-2,2-difluoro-, methyl ester (CA INDEX NAME)



RN 130835-36-8 CAPLUS  
 CN 4-Pentenoic acid, 3-ethoxy-2,2-difluoro-, methyl ester (CA INDEX NAME)



L10 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1991:6504 CAPLUS  
 DOCUMENT NUMBER: 114:6504  
 TITLE: Preparation of 3-(2-nitroimidazo)-2,2-difluoropropionamides and analogs as radiosensitizers  
 INVENTOR(S): Kagiya, Tsutomu; Abe, Mitsuyuki; Nishimoto, Seiichi; Shibamoto, Yuta; Otomo, Susumu; Tanami, Tohru; Shimokawa, Kazuhiro; Yoshizawa, Toru; Hisanaga, Yorisato  
 PATENT ASSIGNEE(S): Nishijima, Yasunori, Japan; Taisho Pharmaceutical Co., Ltd.; Daikin Industries, Ltd.  
 SOURCE: Eur. Pat. Appl., 18 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 373630	A1	19900620	EP 1989-123062	19891213	
R: AT, BE, CH, CA 2005261	DE, ES, FR, GB, A1	GR, IT, LI, LU, NL, SE	19900614	CA 1989-2005261	19891212
US 4977273	A	19901211	US 1989-448909	19891212	
AU 8946713	A	19900621	AU 1989-46713	19891213	
AU 625581	B2	19920716			
ZA 8909503	A	19900926	ZA 1989-9503	19891213	
JP 02275863	A	19901109	JP 1989-325437	19891214	

PRIORITY APPLN. INFO.:

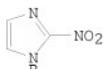
JP 1988-315974

A 19881214

OTHER SOURCE(S):

CASREACT 114:6504; MARPAT 114:6504

GI



AB The title compds. [I; R = CH<sub>2</sub>C<sub>FX</sub>CH<sub>2</sub>OR<sub>1</sub>; R<sub>1</sub> = CH<sub>2</sub>CH(OR<sub>2</sub>)CH<sub>2</sub>OR<sub>2</sub>, (CH<sub>2</sub>)<sub>l</sub>OR<sub>2</sub>, (CH<sub>2</sub>)<sub>m</sub>(CF<sub>2</sub>)<sub>n</sub>[CONH(CHR<sub>3</sub>)<sub>r</sub>(CF<sub>2</sub>)<sub>p</sub>]qZ, etc.; R<sub>2</sub> = H, OH (sic), alkyl, acyl; R<sub>22</sub> = PhCH, Me<sub>2</sub>C; R<sub>3</sub> = H, alkyl; X = H, halo; Z = H, CO<sub>2</sub>R<sub>3</sub>, CO<sub>2</sub>H, CONH<sub>2</sub>, etc.; l = 1-3; m, n = 0-4; p = 0-2; q, r = 0-3] were prepared as hypoxic cell sensitizers. Thus, I (R = CH<sub>2</sub>CF<sub>2</sub>CO<sub>2</sub>Me) was stirred 1 h with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Me.HCl in MeOH containing KOH and the product stirred 2 days with aqueous NH<sub>3</sub>-MeOH containing KOH to give I (R = CH<sub>2</sub>CF<sub>2</sub>CONHCH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>)

which

gave cell-survival rate of EMT-6 tumor cells X-irradiated in mouse thigh 66% that of unirradiated cells after administration of 100 mg/kg i.p.

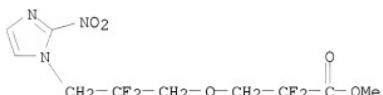
IT 130777-27-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of radiosensitizers)

RN 130777-27-4 CAPLUS

CN Propanoic acid, 3-[2,2-difluoro-3-(2-nitro-1H-imidazol-1-yl)propoxy]-2,2-difluoro-, methyl ester (CA INDEX NAME)



L10 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:547802 CAPLUS

DOCUMENT NUMBER: 113:147802

TITLE: Structure-activity studies of fluoroketone inhibitors of  $\alpha$ -lytic protease and human leukocyte elastase

AUTHOR(S): Govardhan, Chandrika P.; Abeles, Robert H.

CORPORATE SOURCE: Grad. Dep. Biochem., Brandeis Univ., Waltham, MA, 02254, USA

SOURCE: Archives of Biochemistry and Biophysics (1990), 280(1), 137-46

CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of peptidyl fluoroketones that reversibly inhibit the serine proteases human leukocyte elastase (HLE) and  $\alpha$ -lytic protease ( $\alpha$ -LP) were synthesized. Ac-ambo-AlaCF<sub>3</sub> inhibits HLE and  $\alpha$ -LP with K<sub>i</sub>s of 2.4 and 15 mM, resp. The effects of structural variations on this parent compound on K<sub>i</sub> and the kinetics of inhibition were studied. The acetyl group was replaced by the tripeptide Z-L-Ala-L-Ala-L-Pro to yield the tetrapeptide trifluoroketone (TFK) Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF<sub>3</sub> (I). This extension reduced K<sub>i</sub> 3500-fold for HLE and 3000-fold for  $\alpha$ -LP. Removal of a F atom from a TFK decreases K<sub>i</sub> .apprx.15-30-fold with both enzymes. Replacement of one atom of I by a residue

(-CH<sub>2</sub>-CH<sub>2</sub>-COLeuOMe) (II) which can interact with the S'1 and S'2 subsites decreased Ki 30-fold for HLE and 150-fold for  $\alpha$ -LP compared to Z-L-Ala-L-Ala-L-Pro-ambo-AlaCF2H. The Ki of II for HLE is approx. equal to that of trifluoroketone I. For  $\alpha$ -LP Ki of II is 10-fold lower than that for the trifluoroketone I. Inhibitors with Ki values <10-7M exhibit slow binding kinetics. By analogy to cholinesterases and chymotrypsin, it is likely that these enzymes combine with the keto form of the inhibitor to form the enzyme-inhibitor complex. Therefore, Kon and Ki were corrected for the ketone concentration. The corrected kon values for the slow

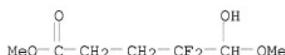
binding inhibitors are in most cases less than diffusion controlled, ranging between 8.2 + 104 and 4.68 + 106 M<sup>-1</sup> s<sup>-1</sup>. An exception is Z-L-Ala-L-Ala-L-Pro-ambo-ValCF3 where kon = 9 + 107 M<sup>-1</sup> s<sup>-1</sup>, which is nearly diffusion controlled.

IT 129660-36-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to nitro alc.)

RN 129660-36-2 CAPLUS

CN Pentanoic acid, 4,4-difluoro-5-hydroxy-, methyl ester (CA INDEX NAME)



L10 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1990:119358 CAPLUS  
DOCUMENT NUMBER: 112:119358  
TITLE: Preparation of cyclic or acyclic nucleoside (fluoroalkyl)phosphonates as antiviral and antitumor agents  
INVENTOR(S): Casara, Patrick; Jund, Karin  
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA  
SOURCE: Eur. Pat. Appl., 19 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 339161 R: FR	A1	19891102	EP 1988-400806	19880401
EP 335770	A2	19891004	EP 1989-400773	19890320
EP 335770	A3	19901227		
EP 335770 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE	B1	19970115		
AT 147746	T	19970215	AT 1989-400773	19890320
FI 8901462	A	19891002	FI 1989-1462	19890328
ZA 8902284	A	19900228	ZA 1989-2284	19890328
DK 8901573	A	19891002	DK 1989-1573	19890331
NO 8901381	A	19891002	NO 1989-1381	19890331
HU 49627	A2	19891030	HU 1989-1608	19890331
HU 204535	B	19920128		
JP 02072192	A	19900312	JP 1989-78743	19890331
CN 1036578	A	19891025	CN 1989-101905	19890401
AU 8932410	A	19891005	AU 1989-32410	19890403
AU 614128	B2	19910822		
AU 9178366	A	19910912	AU 1991-78366	19910614

AU 631319  
PRIORITY APPLN. INFO.:

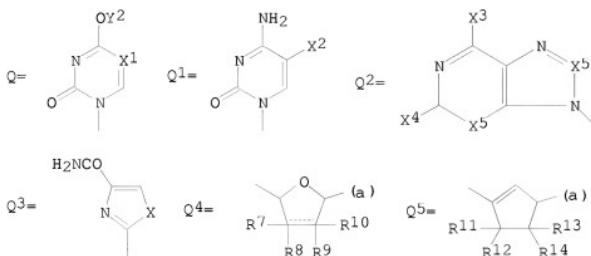
B2 19921119

EP 1988-400806  
EP 1989-400773

A 19880401  
A 19890320

OTHER SOURCE(S):  
GI

CASREACT 112:119358; MARPAT 112:119358



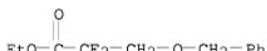
AB The title fluorinated nucleotides HOP(O)(CH<sub>2</sub>F<sub>3-n</sub>)OCHRZB [I; n = 0, 1, 2; B = Q-Q3, 5-carbamoyl-1,2,4-triazol-1-yl; X = S, Se; X1 = N, CY1; Y1 = H, Me, Et, iodo, F, Cl, Br, NH2, SH, CH:CH2, C.tpbond.CH, etc.; X2 = H, F, Me, CH:CHBr; X3 = H, OH, CL, NH2, SMe, SH; X4 = H, NH2, F, Br, Cl, iodo; X5 = N, CH; Y2 = H, Et; Z = CH<sub>2</sub>CHRICH<sub>2</sub>-(a), CHR<sub>1</sub>OCHR<sub>2</sub>-(a), CHR<sub>3</sub>CHR<sub>4</sub>CH<sub>2</sub>-(a), CHR<sub>5</sub>OCH<sub>2</sub>-(a), Q4, Q5, etc., where the (a)-terminus is bonded to B; R1 = H, CH<sub>2</sub>OH, CH(OH)CH<sub>2</sub>OH, Me, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OH, CHO; R2 = H, CH<sub>2</sub>OH, CH<sub>2</sub>CH<sub>2</sub>OH, CHO; R3 = H, OH, CH<sub>2</sub>OH; R4 = H, OH; R5 = CF<sub>2</sub>CH<sub>2</sub>OH; R6 = CHF<sub>2</sub>, CF<sub>3</sub>, CF<sub>2</sub>CH<sub>2</sub>OH; R7, R9 = H, OH, F, N<sub>3</sub>, NH<sub>2</sub>, Cl; R8, R10 = H, F, Cl; R11, R13 = H, OH; R12, R14 = H], useful as antiviral and antitumor agents (no data) are prepared. Thus, condensation of 9-[(2-hydroxyethoxy)methyl]guanine with CF<sub>2</sub>HF(O)(OH)<sub>2</sub> in the presence of DCC in pyridine gave 2-[(9-guanyl)methoxy]ethyl difluoromethylphosphonate.

IT 125512-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for acyclic nucleoside  
(fluoroalkyl)phosphonates)

RN 125512-29-0 CAPLUS

CN Propanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX  
NAME)



L10 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:119356 CAPLUS

DOCUMENT NUMBER: 112:119356

TITLE: Preparation of (acyclo)nucleoside  
(fluoroalkyl)phosphonates as antivirals and antitumors

INVENTOR(S): Casar, Patrick; Jund, Karin

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

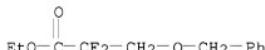
SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 335770	A2	19891004	EP 1989-400773	19890320
EP 335770	A3	19901227		
EP 335770	B1	19970115		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 339161	A1	19891102	EP 1988-400806	19880401
R: FR				
FI 8901462	A	19891002	FI 1989-1462	19890328
DK 8901573	A	19891002	DK 1989-1573	19890331
NO 8901381	A	19891002	NO 1989-1381	19890331
HU 49627	A2	19891030	HU 1989-1608	19890331
HU 204535	B	19920128		
JP 02072192	A	19900312	JP 1989-78743	19890331
CN 1036578	A	19891025	CN 1989-101905	19890401
AU 8932410	A	19891005	AU 1989-32410	19890403
AU 614128	B2	19910822		
AU 9178366	A	19910912	AU 1991-78366	19910614
AU 631319	B2	19921119		
PRIORITY APPLN. INFO.:			EP 1988-400806	A 19880401
			EP 1989-400773	A 19890320

OTHER SOURCE(S): MARPAT 112:119356  
 GI For diagram(s), see printed CA Issue.  
 AB The title compound [HOP(O)(CH<sub>n</sub>F<sub>3-n</sub>)OCH<sub>2</sub>X<sub>B</sub>; I; II; B = (substituted) nucleoside base, e.g., uracil, thymine, cytosine, 5-halouracil, 5-carboxyuracil; n = 0, 1,2; T = H, OH; X = (substituted) (oxa)trimethylene, (substituted) propadienylene, (substituted) ethylene, Q or its derivative, Q1 or its derivative; R15-R19 = H, nucleoside base, etc.], useful as antivirals and antitumor agents (no data), are prepared 9-(2-Hydroxyethoxy)methylguanine was condensed with (difluoromethyl)phosphonic acid in pyridine containing DCC to give 2-(9-guaninylmethoxy)ethyl (difluoromethyl)phosphonate.  
 IT 125512-29-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
     (preparation of, as intermediate for antivirals and antitumors)  
 RN 125512-29-0 CAPLUS  
 CN Propanoic acid, 2,2-difluoro-3-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



L10 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1990:56418 CAPLUS

DOCUMENT NUMBER: 112:56418

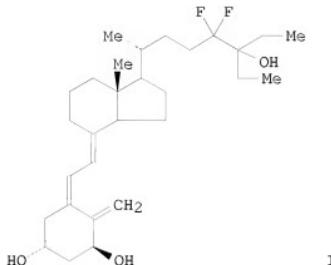
TITLE: Synthesis and biological activity of novel vitamin D analogs: 24,24-difluoro-25-hydroxy-26,27-dimethylvitamin D<sub>3</sub> and 24,24-difluoro-1<sub>a</sub>,25-dihydroxy-26,27-dimethylvitamin D<sub>3</sub>

AUTHOR(S): Gill, Harpal S.; Londowski, James M.; Corradino, Robert A.; Zinsmeister, Alan R.; Kumar, Rajiv

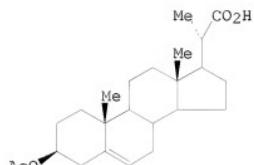
CORPORATE SOURCE: Eagle-Picher Ind., Inc., Lenexa, KS, 66215, USA  
 SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 480-90

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 112:56418  
GI



I



II

AB The title vitamin D<sub>3</sub> derivs. I (R = H, OH) were prepared from 22,23-dinorcholenic acid II in several steps. I are highly potent vitamin D analogs with bioactivity in vivo similar to that of 25-hydroxyvitamin D<sub>3</sub>.

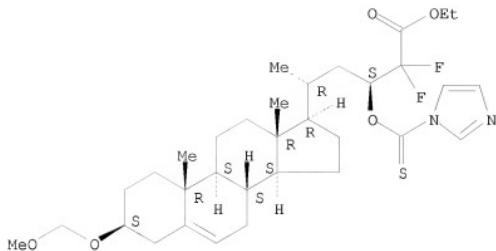
IT 123836-02-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and cleavage of, with tributyltin hydride)

RN 123836-02-2 CAPLUS

CN Chol-5-ene-24-carboxylic acid, 24,24-difluoro-23-(1H-imidazol-1-ylthioxomethoxy)-3-(methoxymethoxy)-, ethyl ester, (3 $\beta$ ,23S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



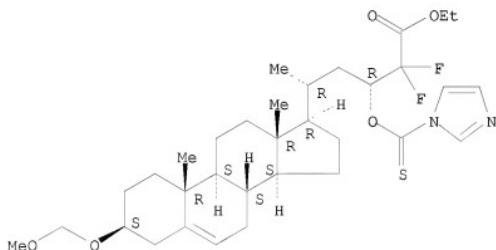
IT 123836-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of, with tributyltin hydride)

RN 123836-01-1 CAPLUS

CN Chol-5-ene-24-carboxylic acid, 24,24-difluoro-23-(1H-imidazol-1-ylthiomethoxy)-3-(methoxymethoxy)-, ethyl ester, (3 $\beta$ ,23R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:534693 CAPLUS

DOCUMENT NUMBER: 111:134693

TITLE: Preparation of 2',2'-difluoro nucleosides

INVENTOR(S): Chou, Ta Sen; Heath, Perry Clark; Patterson, Lawrence Edward

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

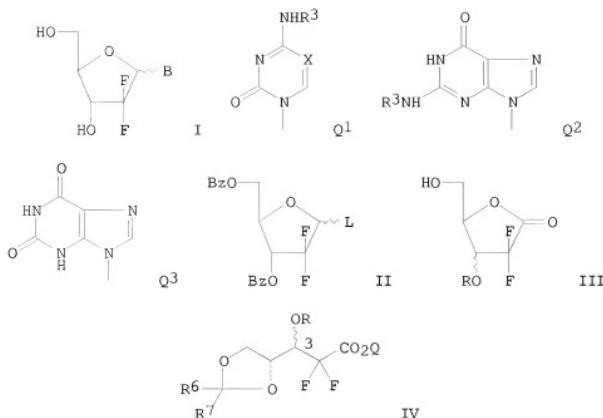
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 306190	A2	19890308	EP 1988-307750	19880822
EP 306190	A3	19911121		
EP 306190	B1	19980408		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE			
IL 87517	A 19930513	IL 1988-87517	19880822
CA 1324128	C 19931109	CA 1988-575329	19880822
EP 630905	A1 19941228	EP 1994-202041	19880822
EP 630905	B1 19990506		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE			
IL 102144	A 19950629	IL 1988-102144	19880822
EP 688782	A1 19951227	EP 1995-201845	19880822
EP 688782	B1 20020502		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE			
EP 688783	A1 19951227	EP 1995-201846	19880822
EP 688783	B1 20010613		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE			
AT 164847	T 19980415	AT 1988-307750	19880822
ES 2113845	T3 19980516	ES 1988-307750	19880822
AT 179713	T 19990515	AT 1994-202041	19880822
ES 2131152	T3 19990716	ES 1994-202041	19880822
ES 2157289	T3 20010816	ES 1995-201846	19880822
AT 217009	T 20020515	AT 1995-201845	19880822
ES 2176279	T3 20021201	ES 1995-201845	19880822
JP 01071894	A 19890316	JP 1988-213482	19880826
JP 2738540	B2 19980408		
HU 47590	A2 19890328	HU 1988-4471	19880826
HU 202249	B 19910228		
HU 206886	B 19930128	HU 1990-6268	19880826
HU 62909	A2 19930628	HU 1992-1768	19880826
HU 213199	B 19970328		
JP 10072457	A 19980317	JP 1997-227946	19880826
JP 10072484	A 19980317	JP 1997-227948	19880826
KR 9702659	B1 19970307	KR 1988-10908	19880827
US 4965374	A 19901023	US 1989-445139	19891204
US 5223608	A 19930629	US 1990-551972	19900712
US 5434254	A 19950718	US 1993-49220	19930419
CA 1330988	C 19940726	CA 1993-616612	19930422
CA 1330989	C 19940726	CA 1993-616614	19930422
CA 1331008	C 19940726	CA 1993-616615	19930422
CA 1331194	C 19940802	CA 1993-616613	19930422
US 5945547	A 19990831	US 1997-820821	19970319
JP 10072483	A 19980317	JP 1997-227952	19970825
JP 2899576	B2 19990602		
JP 10081696	A 19980331	JP 1997-227954	19970825
JP 2899577	B2 19990602		
GR 3036500	T3 20011130	GR 2001-401360	20010831
PRIORITY APPLN. INFO.:		US 1987-90725	A 19870828
		CA 1988-575329	A3 19880822
		EP 1988-307750	A3 19880822
		IL 1988-87517	A3 19880822
		US 1988-236058	B3 19880824
		HU 1988-4471	A3 19880826
		JP 1988-213482	A3 19880826
		US 1989-445139	A3 19891204
		US 1990-551972	A3 19900712
		US 1993-49220	A3 19930419
		US 1995-431595	B1 19950501

OTHER SOURCE(S): CASREACT 111:134693; MARPAT 111:134693  
GI



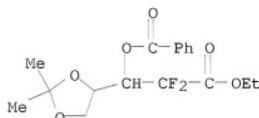
**AB** Ca. 1:1 anomeric mixsts. of the title nucleosides I [B = nucleoside base, e.g., Q<sup>1</sup>, Q<sup>2</sup>, Q<sup>3</sup>; X = N, CR<sup>4</sup>; R<sup>3</sup> = H, C1-4 alkyl, COR<sup>5</sup>; R<sup>4</sup> = H, C1-4 alkyl, amino, Br, Cl, iodo; R<sup>5</sup> = H, C1-4 alkyl], useful as antiviral agents (no data), are prepared via reaction of protected difluorosugars II (L = leaving group) with an appropriate base B-H. Also, sugar derivs. III (R = H, Bz) are prepared by hydrolyzing propionates IV (Q = C1-4 alkyl; R<sup>6</sup>, R<sup>7</sup> = alkyl) with a strong acid followed by azeotropic distillation of H<sub>2</sub>O. 3,5-Di-O-benzoyl-2-deoxy-2,2-difluoro-D-erythro-pentofuranose in C<sub>1</sub>CH<sub>2</sub>CH<sub>2</sub>Cl containing CF<sub>3</sub>SO<sub>3</sub>SiMe<sub>3</sub> was refluxed with bis(trimethylsilyl)-N-acetylcytosine for about 8 h to give, after deprotection, a 1:1  $\alpha/\beta$  anomeric mixture of 2'-deoxy-2',2'-difluorocytidine.

**IT** 122111-09-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(deacetonation and lactonization of)

**RN** 122111-09-5 CAPLUS

**CN** Pentonic acid, 2-deoxy-2,2-difluoro-4,5-O-(1-methylethyldene)-, ethyl ester, benzoate (9CI) (CA INDEX NAME)

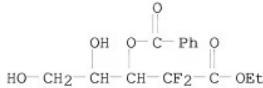


**IT** 122111-10-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

**RN** 122111-10-8 CAPLUS

**CN** Pentonic acid, 2-deoxy-2,2-difluoro-, ethyl ester, 3-benzoate (9CI) (CA INDEX NAME)



L10 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:85059 CAPLUS  
 DOCUMENT NUMBER: 106:85059  
 TITLE: Amino acid and peptide derivatives as peptidase inhibitors  
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA  
 SOURCE: Jpn. Kokai Tokkyo Koho, 52 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61183253	A	19860815	JP 1986-21371	19860204
JP 2529825	B2	19960904		
AU 8652881	A	19860807	AU 1986-52881	19860131
AU 600226	B2	19900809		
ZA 8600746	A	19860924	ZA 1986-746	19860131
IL 77748	A	19911121	IL 1986-77748	19860131
CA 1341029	C	20000620	CA 1986-500832	19860131
DK 8600515	A	19860805	DK 1986-515	19860203
FI 8600484	A	19860805	FI 1986-484	19860203
FI 94254	B	19950428		
FI 94254	C	19950810		
NO 8600371	A	19860805	NO 1986-371	19860203
NO 169543	B	19920330		
NO 169543	C	19920708		
HU 40142	A2	19861128	HU 1986-467	19860203
HU 207102	B	19930301		
CN 86101268	A	19870204	CN 1986-101268	19860203
ES 551597	A1	19871116	ES 1986-551597	19860203
EP 195212	A2	19860924	EP 1986-101437	19860204
EP 195212	A3	19881005		
EP 195212	B1	19931124		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 97652	T	19931215	AT 1986-101437	19860204
ES 553504	A1	19871016	ES 1986-553504	19860326
ES 553505	A1	19871016	ES 1986-553505	19860326
US 5496927	A	19960305	US 1994-248847	19940525
US 5849866	A	19981215	US 1995-481666	19950607
US 6130315	A	20001010	US 1998-139009	19980824
PRIORITY APPLN. INFO.:				
		US 1985-697987	A 19850204	
		EP 1986-101437	A 19860204	
		US 1986-874721	B1 19860616	
		US 1988-267758	B1 19881101	
		US 1989-372162	B2 19890627	
		US 1990-540033	B1 19900619	
		US 1992-980141	B1 19921123	
		US 1993-102522	B1 19930804	
		US 1994-248847	A3 19940525	
		US 1995-481666	A3 19950607	
AB R1NHCHR2COX [R1 = H, amino protecting group, amino acid residue, peptide residue; R2 = side chain of an amino acid; X = H, (un)substituted				

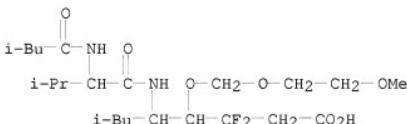
fluoroalkyl, etc.], useful as peptidase inhibitors (no data), were prepared Thus, CH<sub>2</sub>:CHCH<sub>2</sub>CF<sub>2</sub>CH(OH)CH(NH<sub>2</sub>)CH<sub>2</sub>CHMe<sub>2</sub> was condensed with N-isovalerylvaline in THF containing dicyclohexylcarbodiimide at 23° for 15 h to give N1-(3,3-difluoro-2-hydroxy-1-isobutyl-5-hexenyl)-N2-isovalerylvalinamide.

IT 106771-24-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as peptidase inhibitor)

RN 106771-24-8 CAPLUS

CN Octanoic acid, 3,3-difluoro-4-[(2-methoxyethoxy)methoxy]-7-methyl-5-[[3-methyl-2-((3-methyl-1-oxobutyl)amino)-1-oxobutyl]amino]- (CA INDEX NAME)



L10 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:1554140 CAPLUS

DOCUMENT NUMBER: 105:154140

ORIGINAL REFERENCE NO.: 105:24849a,24852a

TITLE: Fluorocarbon resin foams

INVENTOR(S): Namba, Mutsumi; Shirasaki, Osamu; Hirata, Tomohiko

PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 183022	A2	19860604	EP 1985-112857	19851010
EP 183022	A3	19861217		
R: DE, FR, GB, IT, NL				
JP 61091229	A	19860509	JP 1984-213664	19841011
JP 63020859	B	19880430		
JP 61162534	A	19860723	JP 1985-1866	19850109
JP 03002451	B	19910116		
JP 61171743	A	19860802	JP 1985-11491	19850123
JP 03002452	B	19910116		
EP 350969	A2	19900117	EP 1989-115501	19851010
EP 350969	A3	19900530		
R: DE, FR, GB, IT, NL				
PRIORITY APPLN. INFO.:				
		JP 1984-213664	A	19841011
		JP 1985-1866	A	19850109
		JP 1985-11491	A	19850123
		EP 1985-112857	P	19851010

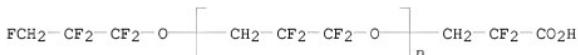
AB Undiscolored foams with uniform, fine cells, useful in covering elec. cables, are prepared by molding molten fluoropolymers in the presence of a depolymerizable polymers of (fluoro)olefins, polyethers, or C<sub>2</sub>-20 polycarbonyloxy compds and, optionally, nucleating agents. Thus, a mixture of 1 part BN (particle size 1-8μ) and 100 parts 82:18 C2F4-C3F6 copolymer was pelletized, mixed with 1.0 part Me methacrylate polymer (particle size <500μ) and extruded to a foam with expansion ratio 60%, uniform cells, and no discoloration.

IT 104677-65-8

RL: USES (Uses)  
(in fluoropolymer foam manufacture)

RN 104677-65-8 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2-carboxy-2,2-difluoroethyl)- $\omega$ -(1,1,2,2,3-pentafluoropropoxy) - (9CI) (CA INDEX NAME)



L10 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:553525 CAPLUS

DOCUMENT NUMBER: 105:153525

ORIGINAL REFERENCE NO.: 105:24757a,24760a

TITLE: Design and synthesis of potent and specific renin inhibitors containing difluorostatine, difluorostatone, and related analogs

AUTHOR(S): Thaisrivongs, Suvit; Pals, Donald T.; Kati, Warren M.; Turner, Steve R.; Thomasco, Lisa M.; Watt, William

CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA

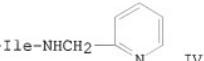
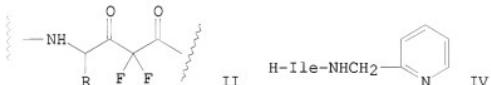
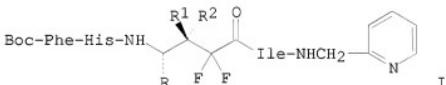
SOURCE: Journal of Medicinal Chemistry (1986), 29(10), 2080-7

DOCUMENT TYPE: CODEN: JMCMAR; ISSN: 0022-2623

LANGUAGE: Journal

OTHER SOURCE(S): English

GI CASREACT 105:153525



AB Title peptides I (Boc = Me3CO2C; R = CH2CHMe2, CH2Ph, cyclohexylmethyl, R1 = OH, R2 = H; R = CH2CHMe2, R1 = H, R2 = OH or R1R2 = O) and II (R = CH2CHMe2, CH2Ph, cyclohexylmethyl) were prepared as renin inhibitors. Thus, the Reformatskii reaction of L-Me2CHCH2CH(NHBoc)CH2OH with BrCF2CO2Et in the presence of Zn under sonating conditions gave Me2CHCH2CH(NHBoc)CH(OH)CF2CO2Et (III) as a mixture of the (3R, 4S)- and (3S, 4S)-diastereoisomers, whereas only (3R, 4S)-III was obtained from the above reaction when it was carried out under refluxing conditions. (3R, 4S)-III was coupled with isoleucinamide IV by DCC/HOBt to give the dipeptide, which was converted into I (R = CH2CHMe2, R1 = OH, R3 = H) (V) by stepwise peptide couplings in solution V is an effective inhibitor of human plasma renin, whereas its 3S-epimer (I; R = CH2CHMe2, R1 = H, R2 = OH) exhibited a 60-fold reduction in inhibitory activity. I (R = CH2CHMe2, R1R2 = O) is a more effective inhibitor of renin than the corresponding

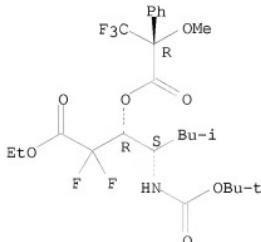
nonfluorinated compound  
IT 103322-62-9P 103420-30-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 103322-62-9 CAPLUS

CN Benzenoacetic acid,  $\alpha$ -methoxy- $\alpha$ -(trifluoromethyl)-,  
2-[(1,1-dimethylethoxy)carbonyl]amino]-1-(2-ethoxy-1,1-difluoro-2-  
oxoethyl)-4-methylpentyl ester, [1R-[1R\*(R\*),2S\*]]- (9CI) (CA INDEX NAME)

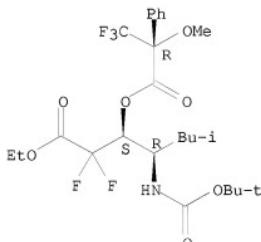
Absolute stereochemistry.



RN 103420-30-0 CAPLUS

CN Benzenoacetic acid,  $\alpha$ -methoxy- $\alpha$ -(trifluoromethyl)-,  
2-[(1,1-dimethylethoxy)carbonyl]amino]-1-(2-ethoxy-1,1-difluoro-2-  
oxoethyl)-4-methylpentyl ester, [1S-[1R\*(S\*),2S\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:543602 CAPLUS

DOCUMENT NUMBER: 105:143602

ORIGINAL REFERENCE NO.: 105:23005a,23008a

TITLE: Etchant composition

INVENTOR(S): Fujii, Tsuneo; Deguchi, Takayuki; Tamari, Shinji

PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 182306	A2	19860528	EP 1985-114526	19851115
EP 182306	A3	19880427		
EP 182306	B1	19910724		
R: DE, FR, GB				
JP 61270381	A	19861129	JP 1985-259205	19851118
JP 63045461	B	19880909		
US 4725375	A	19880216	US 1986-908943	19860916
PRIORITY APPLN. INFO.:			JP 1984-242648	A 19841117
			US 1985-798407	A2 19851115

AB An etchant for etching a Cr or Cr oxide layer (e.g., in the preparation of masks for transferring patterns to semiconductor wafers) is composed of a Ce(IV) salt, a nonionic or anionic F-containing surfactant, H<sub>2</sub>O, and, optionally, ≥1 of HClO<sub>4</sub>, HOAc, H<sub>2</sub>SO<sub>4</sub>, HNO<sub>3</sub>, HCl, and their salts. The etchant can homogeneously etch a resist pattern having both wide and narrow gaps on a Cr or Cr oxide layer.

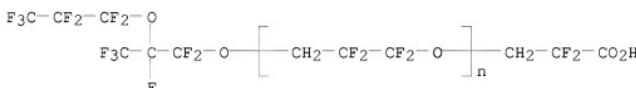
IT 104335-43-5

RL: USES (Uses)  
(etchant containing, for etching chromium or chromium oxide for mask preparation)

RN 104335-43-5 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)], α-(2-carboxy-2-

-difluoroethyl)-ω-[1,1,2,3,3,3-hexafluoro-2-  
(heptafluoropropoxy)propoxy]-, potassium salt (9CI) (CA INDEX NAME)



● K

L10 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:534494 CAPLUS

DOCUMENT NUMBER: 105:134494

ORIGINAL REFERENCE NO.: 105:21719a,21722a

TITLE: Fluoroacyl peroxides

INVENTOR(S): Oka, Masahiko; Morita, Shigeru

PATENT ASSIGNEE(S): Daikin Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 186215	A2	19860702	EP 1985-116622	19851227
EP 186215	A3	19871104		
EP 186215	B1	19890816		
R: DE, FR, GB				
JP 61152652	A	19860711	JP 1984-278997	19841227
JP 63044744	B	19880906		

US 4654444 A 19870331 US 1985-813545 19851226  
 US 4663407 A 19870505 US 1986-909277 19860919  
 PRIORITY APPLN. INFO.: JP 1984-278997 A 19841227  
 US 1985-813545 A3 19851226

OTHER SOURCE(S): MARPAT 105:134494

AB The peroxides [RO(CH<sub>2</sub>CF<sub>2</sub>CF<sub>2</sub>O)nCH<sub>2</sub>CF<sub>2</sub>C(O)O]<sub>2</sub> [R = Cl-10 (halo)hydrocarboyl; n = 0-3] are useful as initiators for low-temperature polymerization of vinyl compds.

Thus, [(CH<sub>3</sub>)<sub>3</sub>COCH<sub>2</sub>CF<sub>2</sub>C(O)O]<sub>2</sub> (I) was prepared by adding 1.0 g Na<sub>2</sub>O<sub>2</sub> and 5.0 g (CH<sub>3</sub>)<sub>3</sub>COCH<sub>2</sub>CF<sub>2</sub>COCl to 50 g 20% aqueous NaCl at -20° over 30 min. The short half-life of I [21 min at 20°] makes it suitable for polymerization at -10° to +30°.

IT 104360-91-0

RL: CAT (Catalyst use); USES (Uses)  
 (catalyst, for low-temperature polymerization, manufacture of)

RN 104360-91-0 CAPLUS

CN Peroxide, bis[3-(1,1-dimethylethoxy)-2,2-difluoro-1-oxopropyl] (9CI) (CA INDEX NAME)



L10 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:69315 CAPLUS

DOCUMENT NUMBER: 104:69315

ORIGINAL REFERENCE NO.: 104:11113a,11116a

TITLE: Halogen-containing polyether

INVENTOR(S): Ohsaka, Yohnosuke; Tohzuka, Takashi; Takaki, Shoji

PATENT ASSIGNEE(S): Daikin Kogyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 148482	A2	19850717	EP 1984-116003	19841220
EP 148482	A3	19851227		
EP 148482	B1	19920325		
R: DE, FR, GB, IT, NL				
JP 60137928	A	19850722	JP 1983-251069	19831226
JP 63032812	B	19880701		
JP 60202122	A	19851012	JP 1984-58877	19840326
JP 63043419	B	19880830		
JP 61113616	A	19860531	JP 1984-235610	19841107
JP 01060170	B	19891221		
EP 415462	A1	19910306	EP 1990-119306	19841220
EP 415462	B1	19960508		
R: DE, FR, GB, IT, NL				
CA 1259443	A1	19890912	CA 1984-470995	19841224
SU 1806149	A3	19930330	SU 1984-3839427	19841225
US 4845268	A	19890704	US 1986-940191	19861209
US 4973742	A	19901127	US 1989-338036	19890414
RU 2073692	C1	19970220	RU 1991-4895780	19910626
RU 2107074	C1	19980320	RU 1992-5010940	19920226
PRIORITY APPLN. INFO.:				
			JP 1983-251069	A 19831226
			JP 1984-58877	A 19840326

JP 1984-235610 A 19841107  
 US 1984-684345 A1 19841220  
 US 1986-940191 A3 19861209

AB Chemical and thermally stable halogen-containing polyethers useful as lubricants are prepared by ring-opening polymerization of 2,2,3,3-tetrafluorooxetane (I) and optional fluorination and/or chlorination. Thus,  $\text{F}(\text{CH}_2\text{CF}_2\text{CF}_2\text{O})_n\text{CH}_2\text{CF}_2\text{COF}$  (II) was prepared by ring-opening polymerization of I in the presence of CsF.

A reactor containing 1.5 kg II was heated to 100°-120°. The II was irradiated with a Hg lamp as a mixture of F(g) and N(g) was fed to the reactor at 1 L/min for 100 h, and then N was fed at 2 L/min for 50 h. A viscous fluoropolymer (1.8 kg) having  $\text{CF}_2\text{CF}_2\text{CF}_2\text{O}$  repeating units, with kinematic viscosity at 40° (v) 65 cS, was formed. A rotary vacuum pump using the viscous fluoropolymer as lubricant was used in an apparatus to form O, H, and  $\text{CCl}_4$  plasmas. After 30 days operation the pump motor showed no current irregularity, and the lubricant still had v 65 CS.

IT 99488-69-4P 99488-70-7P 99488-71-8P

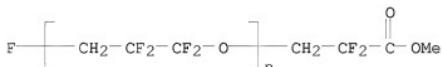
99488-72-9P

RL: PREP (Preparation)

(oligomeric, preparation of, chemical and thermally stable)

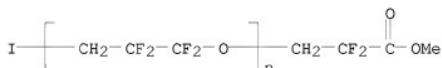
RN 99488-69-4 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2,2-difluoro-3-methoxy-3-oxopropyl)- $\omega$ -fluoro- (9CI) (CA INDEX NAME)



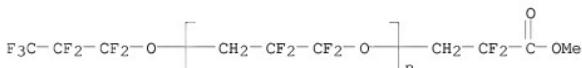
RN 99488-70-7 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2,2-difluoro-3-methoxy-3-oxopropyl)- $\omega$ -iodo- (9CI) (CA INDEX NAME)



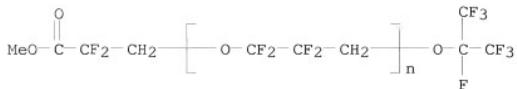
RN 99488-71-8 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2,2-difluoro-3-methoxy-3-oxopropyl)- $\omega$ -(heptafluoropropoxy)- (9CI) (CA INDEX NAME)



RN 99488-72-9 CAPLUS

CN Poly[oxy(1,1,2,2-tetrafluoro-1,3-propanediyl)],  $\alpha$ -(2,2-difluoro-3-methoxy-3-oxopropyl)- $\omega$ -(1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethoxy)- (9CI) (CA INDEX NAME)



L10 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:19352 CAPLUS

DOCUMENT NUMBER: 104:19352

ORIGINAL REFERENCE NO.: 104:3249a, 3252a

TITLE: 2,2-Difluoropropionic acid derivatives

INVENTOR(S): Ohsaka, Yohsuke; Tohzuka, Takashi; Takaki, Shoji; Negishi, Yoshio; Kohno, Satoru

PATENT ASSIGNEE(S): Daikin Kogyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 148490	A1	19850717	EP 1984-116103	19841221
EP 148490	B1	19900516		
R: DE, FR, GB, IT				
JP 60136536	A	19850720	JP 1983-251070	19831226
JP 01049340	B	19891024		
JP 61130254	A	19860618	JP 1984-253884	19841129
JP 02037904	B	19900828		
US 4719052	A	19880112	US 1984-684344	19841220
EP 258911	A1	19880309	EP 1987-113971	19841221
EP 258911	B1	19901031		
R: DE, FR, GB, IT				
CA 1293739	C	19911231	CA 1984-470916	19841221
JP 02223538	A	19900905	JP 1990-6575	19900116
JP 05002660	B	19930113		
CA 1318327	C2	19930525	CA 1991-616011	199110227
PRIORITY APPLN. INFO.:			JP 1983-251070	A 19831226
			JP 1984-253884	A 19841129
			CA 1984-470916	A3 19841221
			EP 1984-116103	P 19841221

OTHER SOURCE(S): CASREACT 104:19352; MARPAT 104:19352

AB FCH<sub>2</sub>CF<sub>2</sub>COF (I) and other 2,2-difluoropropionic acid derivs. RCH<sub>2</sub>CF<sub>2</sub>COR<sub>1</sub> [R = Cl, Br, iodo, R<sub>2</sub>O, R<sub>2</sub>CO<sub>2</sub>, R<sub>3</sub>CH<sub>2</sub>CF<sub>2</sub>C<sub>2</sub>O<sub>2</sub>; R<sub>1</sub> = F, R<sub>2</sub>O, R<sub>4</sub>CH<sub>2</sub>O; R<sub>2</sub> = (non)halogenated aliphatic hydrocarbyl, (un)substituted aromatic hydrocarbyl;

R3

= F, Cl, Br, iodo, R<sub>2</sub>O, R<sub>2</sub>CO<sub>2</sub>; R<sub>4</sub> = aliphatic perfluorohydrocarbyl] were prepared by ring opening of 2,2,3,3-tetrafluorooxetane (II) in the presence of a catalyst. Thus, 13 g II, 1.8 g KF, and 15 mL diglyme were stirred at 150° for 8 h to give, after distillation, 12.8 g of a product mixture containing 65 mol % I. A similar reaction of II with 28 weight% NaOMe in MeOH gave 47.5% MeOCH<sub>2</sub>CF<sub>2</sub>CO<sub>2</sub>Me.

IT 99497-39-9P 99497-40-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, from tetrafluorooxetane)

RN 99497-39-9 CAPLUS

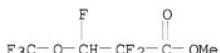
CN Propanoic acid, 2,2-difluoro-3-methoxy-, methyl ester (CA INDEX NAME)



RN 99497-40-2 CAPLUS  
 CN Propanoic acid, 2,2-difluoro-3-(2,2,3,3,3-pentafluoropropoxy)-, 2,2,3,3,3-pentafluoropropyl ester (CA INDEX NAME)



L10 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1979:404937 CAPLUS  
 DOCUMENT NUMBER: 91:4937  
 ORIGINAL REFERENCE NO.: 91:923a,926a  
 TITLE: Study of polyfluoracyl fluorides formed in the electrochemical fluorination of methyl 3-methoxypropionate  
 AUTHOR(S): Berenblit, V. V.; Nikitin, V. A.; Sass, V. P.; Senyushov, L. N.; Starobin, Yu. K.; Tsyganov, Yu. V.  
 CORPORATE SOURCE: USSR  
 SOURCE: Zhurnal Organicheskoi Khimii (1979), 15(2), 284-92  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB Products of electrochem. fluorination of  $\text{MeOCH}_2\text{CH}_2\text{CO}_2\text{Me}$  (polyfluoroacyl fluorides) were investigated by condensing them with MeOH, followed by rectification of the Me esters formed and study of them via  $^{19}\text{F}$  and H NMR and mass spectra.  
 IT 70411-04-0  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 70411-04-0 CAPLUS  
 CN Propanoic acid, 2,2,3-trifluoro-3-(trifluoromethoxy)-, methyl ester (CA INDEX NAME)



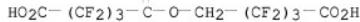
L10 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:529011 CAPLUS  
 DOCUMENT NUMBER: 89:129011  
 ORIGINAL REFERENCE NO.: 89:19953a,19956a  
 TITLE: Reduction of perfluorocarboxylic acid anhydrides to 1,1-dihydroperfluoro alcohols  
 AUTHOR(S): Kolomnikova, G. D.; Kalinkin, M. I.; Tskhurbaeva, Z. Ts.; Parnes, Z. N.; Kursanov, D. N.  
 CORPORATE SOURCE: Inst. Elementoorg. Soedin., Moscow, USSR  
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1978), (7), 1681-3  
 CODEN: IASKA6; ISSN: 0002-3353  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB  $\text{Et}_3\text{SiH}$  reduced  $(\text{RCO})_2\text{O}$  [I; R = CF<sub>3</sub>, C<sub>3</sub>F<sub>7</sub>; R<sub>2</sub> = (CF<sub>2</sub>)<sub>3</sub>] to the

corresponding RCH<sub>2</sub>OH and HO<sub>2</sub>C(CF<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>OH in 60–80% yield and lesser amounts.  
of RCH<sub>2</sub>2CR. Hydrogenation of I (R = same) with PtO<sub>2</sub>, (Ph<sub>3</sub>P)<sub>2</sub>PtCl<sub>2</sub> or  
Ru(O<sub>2</sub>CCF<sub>3</sub>)<sub>3</sub> gave lower yields of same products.

IT 67710-61-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 67710-61-6 CAPLUS

CN Pentanedioic acid, hexafluoro-, mono(4-carboxy-2,2,3,3,4,4-hexafluorobutyl) ester (9CI) (CA INDEX NAME)



L10 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1978:442477 CAPLUS  
DOCUMENT NUMBER: 89:42477  
ORIGINAL REFERENCE NO.: 89:6569a,6572a  
TITLE: Functional fluorine derivatives by transformation of a  
1H-perfluoroalkyl group  
INVENTOR(S): Wakselman, Claude; Nguyen Thoai  
PATENT ASSIGNEE(S): Agence Nationale de Valorisation de la Recherche, Fr.  
Fr. Demande, 10 pp.  
SOURCE: CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2341559	A1	19770916	FR 1976-4711	19760220
FR 2341559	B1	19790824		

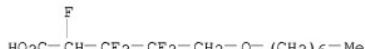
PRIORITY APPLN. INFO.: FR 1976-4711 A 19760220

AB R1(CF<sub>2</sub>)<sub>n</sub>1CHF<sub>2</sub> (R1 = F or protected organic group, n is an integer) were treated with M<sub>1</sub>/M<sub>n</sub>R<sub>2</sub> (M = alkali or alkaline earth metal, m = valence of M, R = hydrocarbon group) and the products hydrolyzed by acid to give the resp. R<sub>2</sub>(CF<sub>2</sub>)nCHFCNR<sub>2</sub> (R<sub>2</sub> = F or organic group). The reaction of PhCH<sub>2</sub>OCH<sub>2</sub>(CF<sub>2</sub>)<sub>3</sub>CHF<sub>2</sub> with Et<sub>2</sub>NH and BuLi and addition of concentrated HCl in H<sub>2</sub>O gave PhCH<sub>2</sub>OCH<sub>2</sub>(CF<sub>2</sub>)<sub>2</sub>CHFCONET<sub>2</sub>.

IT 66790-29-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydride reduction of)

RN 66790-29-2 CAPLUS

CN Pentanoic acid, 2,3,3,4,4-pentafluoro-5-(heptyloxy)- (CA INDEX NAME)



L10 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1975:496334 CAPLUS  
DOCUMENT NUMBER: 83:96334  
ORIGINAL REFERENCE NO.: 83:15116h,15117a  
TITLE: Haloacrylic acids. IV. Reaction of Grignard reagents with substituted methyl-2,3,3-trifluoroalkanoates  
AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav

CORPORATE SOURCE: Inst. Chem. Technol., Prague, Czech.  
SOURCE: Collection of Czechoslovak Chemical Communications  
(1975), 40(5), 1542-9

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Reaction of MeMgI with EtOCHMeCF2CHFCO2Me at 35° gave EtOCHMeCF2CHFCMe2OH (I). The reaction at -35° gave a mixture of I and EtOCHMeCF2CHFCOMe. Analogous results were obtained with EtMgBr or in the reaction of RCF2CHFCO2Me (II) ( $R = 2$ -tetrahydrofuryl throughout) with MeMgI or EtMgBr. Reaction of II with Me2CHMgBr gave a mixture of RCF2CHFC(OH)(CHMe2)2 and (by reduction) RCF2CHFCH(OH)CHMe2. When treated with P2O5, I, EtOCHMeCF2CHFCMe2OH, and RCF2CHFCMe2OH (III) gave EtOCHMeCF2CHFCMe:CH2 (IV), EtOCHMeCF2CHFCEt:CHMe, and RCF2CHFCMe:CH2, resp.; with SOC12, I gave I and IV whereas III yielded RCF2CHFCMe2Cl.

IT 52916-69-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(Grignard reactions of)

RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



L10 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:449032 CAPLUS

DOCUMENT NUMBER: 81:49032

ORIGINAL REFERENCE NO.: 81:7835a, 7838a

TITLE: Photochemical addition of ethers to methyl trifluoroacrylate

AUTHOR(S): Sendrik, V. P.; Paleta, Oldrich; Dedek, Vaclav  
CORPORATE SOURCE: Vys. Sk. Chem. Technol., Prague, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications  
(1974), 39(4), 1061-71

CODEN: CCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

LANGUAGE: English

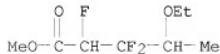
AB In the uv-initiated 1:1 adduct formation of ethers with F2C:CFCO2Me, the reactivity decreased in the order: THF > 4-methyl-1,3-dio-xane > 1,3-dioxolane > Et2O > MeOCH2CH2OMe > 1,4-dioxane.

IT 52916-69-5P 52916-70-8P 52916-71-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

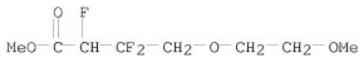
RN 52916-69-5 CAPLUS

CN Pentanoic acid, 4-ethoxy-2,3,3-trifluoro-, methyl ester (CA INDEX NAME)



RN 52916-70-8 CAPLUS

CN Butanoic acid, 2,3,3-trifluoro-4-(2-methoxyethoxy)-, methyl ester (CA INDEX NAME)



RN 52916-71-9 CAPLUS  
CN Pentanoic acid, 2,3,3-trifluoro-4,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1971:124920 CAPLUS  
 DOCUMENT NUMBER: 74:124920  
 ORIGINAL REFERENCE NO.: 74:20183a,20186a  
 TITLE: Polyfluorocycloalkenes. IX. Reactions of  
     1H, 2H-octafluorocyclohexene, -hexafluorocyclopentene,  
     and -tetrafluorocyclobutene with methanol under ionic  
     conditions  
 AUTHOR(S): Stephens, Robert; Clayton, A. B.; Collins, D.; Tatlow,  
     John C.  
 CORPORATE SOURCE: Chem. Dep., Univ. Birmingham, Birmingham, UK  
 SOURCE: Journal of the Chemical Society [Section] C: Organic  
     (1971), (7), 1177-82  
 DOCUMENT TYPE: CODEN: JSOCAX; ISSN: 0022-4952  
 LANGUAGE: English  
 AB 1H, 2H-Octafluoro-cyclohexene reacted with NaOMe-MeOH to give  
     1H, 1H, 2H-2-methoxyoctafluorocyclohexane, 1H, 6H-6-methoxyheptafluoro-  
     cyclohexene, 1H, 6H - 2 - methoxyheptafluorocyclohexene, and  
     1H, 2H-3, 3-dimethoxyhexafluorocyclohexene. Similarly, 1H,-2H -  
     hexafluorocyclopentene gave 1H, 1H, 2H - 2 - methoxyhexa-fluorocyclopentane  
     and 1H, 5H - 5 - methoxypentafluorocyclopentene, and 1H, 2H-  
     tetrafluorocyclobutene gave 1H, 4H-4-methoxytrifluorocyclobutene. The  
     results are consistent with an addition-elimination mechanism and not a  
     direct allylic substitution.  
 IT 32670-08-9P 32670-09-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
     (preparation of)  
 RN 32670-08-9 CAPLUS  
 CN Hexanedioic acid, 2,2,3,3,4,4-hexafluoro-5-methoxy-, compd. with  
     phenylmethyl carbamimidothioate (1:2) (CA INDEX NAME)

CM 1

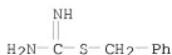
CRN 45213-92-1  
CMF C7 H6 F6 Q5



CM 2

CRN 621-85-2

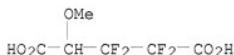
CMF C8 H10 N2 S



RN 32670-09-0 CAPLUS  
CN Glutaric acid, 2,2,3,3-tetrafluoro-4-methoxy-, compd. with  
2-benzyl-2-thiopoulosurea (1:2) (8CI) (CA INDEX NAME)

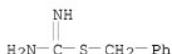
CM 1

CRN 45153-12-6  
CMF C6 H6 F4 O5



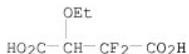
CM 2

CRN 621-85-2  
CMF C8 H10 N2 S



L10 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1963:66124 CAPLUS  
DOCUMENT NUMBER: 58:66124  
ORIGINAL REFERENCE NO.: 58:11227d-f  
TITLE: Nucleophilic displacement reactions of halogenated cyclobutenes  
AUTHOR(S): Park, J. D.; Wilson, L. H.; Lacher, J. R.  
CORPORATE SOURCE: Univ. of Colorado, Boulder  
SOURCE: Journal of Organic Chemistry (1963), 28, 1008-12  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
GI For diagram(s), see printed CA Issue.  
AB The nucleophilic displacement reactions of Ia ( $\text{R} = \text{H}$ ,  $\text{R}_1 = \text{R}_2 = \text{F}$ ) (I), Ia ( $\text{R} = \text{Cl}$ ,  $\text{R}_1 = \text{R}_2 = \text{F}$ ) (II), Ia ( $\text{R} = \text{R}_1 = \text{Cl}$ ,  $\text{R}_2 = \text{F}$ ) (III), and Ia ( $\text{R} = \text{R}_1 = \text{R}_2 = \text{Cl}$ ) (IV) were carried out with ethanolic KOH. I yielded 3-ethoxy-3,4,4-trifluorocyclobutene and 3,3-dioethoxy-4,4-difluorocyclobutene (allylic substitution without rearrangement). II and III both gave identical rearranged products, 1-fluoro-2-chloro-3-ethoxy-4,4-difluorocyclobutene, and IV yielded Ia ( $\text{R} = \text{Cl}$ ,  $\text{R}_1 = \text{R}_2 = \text{OEt}$ ) (allylic substitution).  
IT 10117-86-9P, Succinic acid, 3-ethoxy-2,2-difluoro-, dipotassium salt  
RL: PREP (Preparation)  
(preparation of)  
RN 10117-86-9 CAPLUS  
CN Butanedioic acid, 3-ethoxy-2,2-difluoro-, dipotassium salt (9CI) (CA

INDEX NAME)



● 2 K

L10 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1955:36084 CAPLUS  
DOCUMENT NUMBER: 49:36084  
ORIGINAL REFERENCE NO.: 49:6987e-f  
TITLE: Fluorinated organic bromides  
INVENTOR(S): Conly, James C.  
PATENT ASSIGNEE(S): Douglas Aircraft Co., Inc.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2678953	----	19540518	US 1950-190251	19501014
AB	Bromoperfluorocarbons are prepared from the Ag salt of a perfluoro acid and Br. n-C <sub>3</sub> F <sub>7</sub> CO <sub>2</sub> H in Et <sub>2</sub> O treated with excess powdered Ag <sub>2</sub> CO <sub>3</sub> , the Et <sub>2</sub> O decanted after the CO <sub>2</sub> evolution, and the solution evaporated yields almost quant. n-C <sub>3</sub> F <sub>7</sub> CO <sub>2</sub> Ag (I), which, stirred with the addition of Br, evolves CO <sub>2</sub> and C <sub>3</sub> F <sub>7</sub> Br, b. 12-13°. The use of these compds. as chain-initiating and -terminating agents, fire-resistant materials, and solvents is suggested.			
IT	428-89-7, Propionic acid, 2,2-difluoro-3-methoxy-, silver salt (reaction with Br, and product therefrom)			
RN	428-89-7 CAPLUS			
CN	Propanoic acid, 2,2-difluoro-3-methoxy-, silver(1+) salt (9CI) (CA INDEX NAME)			



● Ag(I)

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